

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Hong Liu Examiner #: _____ Date: 08/03/00
 Art Unit: 1624 Phone Number 306-5814 Serial Number: 07/548,081
 Mail Box and Bldg/Room Location: 4C18 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

 Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: _____

Inventors (please provide full names): _____

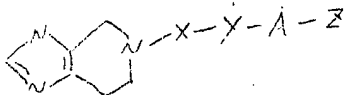
Point of Contact: _____
 John Dantzman
 Technical Info. Specialist
 GMT 1E05 Tel: 308-4488

Earliest Priority Filing Date: _____

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

John please!

R¹³ R¹⁵ may form a
 polycyclic ring
 R¹⁶, R¹⁷ may form a
 heterocyclic ring



X is a bond, -CH₂-, -C(=O)-, -C(=S)-, -S(=O)-, -S(=O)₂-, -C(=N-CN)-, -C(=C-CN₂)-, -C[=C(CN)]-, -C(=CH-CN)-, -C(=NR¹²)-, -C(=N-S(=O)₂-R¹³)-

Y is a bond, -O-, or NR¹²

A is a bond, alkylene, alkenylene, ~~alkyl~~ alkynylene, C₃-8-cycloalkylene, phenylene, or together with NR¹² for a heterocycle

Z is R¹³, CR¹³, SR¹³, NR¹³, CH₂R¹³, CR¹³R¹⁴, CR¹³R¹⁴R¹⁵ or =CR¹³R¹⁴

See also proviso on page 106-147

STAFF USE ONLY

Searcher: JOHN DANTZMAN

Searcher Phone #: _____

Searcher Location: _____

Date Searcher Picked Up: 8-11-00Date Completed: 8-18-00Searcher Prep & Review Time: 90

Clerical Prep Time: _____

Online Time: 120

Type of Search

NA Sequence (#) _____

AA Sequence (#) _____

Structure (#) 20

Bibliographic _____

Litigation _____

Fulltext _____

Patent Family _____

Other _____

Vendors and cost where applicable

STN ☒

Dialog _____

Questel/Orbit _____

Dr.Link _____

Lexis/Nexis _____

Sequence Systems _____

WWW/Internet _____

Other (specify) _____

=> d his

(FILE 'HOME' ENTERED AT 07:03:25 ON 18 AUG 2000)

FILE 'REGISTRY' ENTERED AT 07:03:33 ON 18 AUG 2000
ACT LIU548/A

L1 STR
L2 839 SEA FILE=REGISTRY SSS FUL L1

L3 STR L1
L4 13 S L3
L5 16 S L3 SSS SAM SUB=L2
L6 STR L3
L7 13 S L6
L8 426 S L6 SSS FUL SUB=L2

FILE 'CAPLUS' ENTERED AT 07:05:54 ON 18 AUG 2000
L9 145 S L8

FILE 'REGISTRY' ENTERED AT 07:07:38 ON 18 AUG 2000
L10 STR L6
L11 13 S L10 SSS SAM SUB=L8
ACT LIU548B/A

L12 STR
L13 STR
L14 STR
L15 STR
L16 STR
L17 STR
L18 STR
L19 STR
L20 STR
L21 STR
L22 STR
L23 STR
L24 STR
L25 (839)SEA FILE=REGISTRY SSS FUL L24
L26 0 SEA FILE=REGISTRY SUB=L25 SSS FUL (L12 OR L13 OR L14 OR L15
OR

L27 STR L12
L28 STR L13
L29 STR L14
L30 STR L15
L31 STR L16
L32 STR L17
L33 STR L18
L34 STR L19
L35 STR L20
L36 STR L21
L37 STR L22
L38 STR L23
L39 STR L24
L40 0 S L10 NOT (L27-L39) SSS SAM SUB=L2
Searched by John Dantzman 703-308-4488

L41 0 S L6 NOT (L27-L39) SSS SAM SUB=L2
L42 30 S L27-L39 SSS SAM SUB=L2
L43 3 S L27-L38 SSS SAM SUB=L2
L44 STR L1
L45 16 S L44 NOT L27-L38 SSS SAM SUB=L2
L46 STR L1
L47 10 S L46 NOT (L27-L38) SSS SAM SUB=L2
L48 179 S L46 NOT (L27-L38) SSS FUL SUB=L2

← 179 compounds

FILE 'CAPLUS' ENTERED AT 07:23:14 ON 18 AUG 2000
L49 44 S L48

FILE 'CAOLD' ENTERED AT 07:30:09 ON 18 AUG 2000
L50 5 S L48

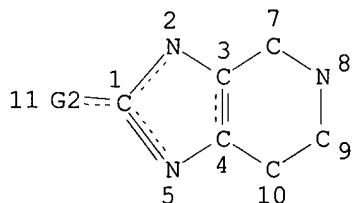
44 cites Caplus

5 cites Caold

$\Rightarrow d$ que 148

L1

STR



N @12 Ak @14 F3C—O
 16 @17

```
VAR G2=H/14/X/CN/CF3/17/OH/12
```

NODE ATTRIBUTES:

NSPEC IS RC AT ~~12~~

CONNECT IS E1 RC ~~AT~~ 14

DEFAULT MLEVEL IS ~~18~~ 21

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

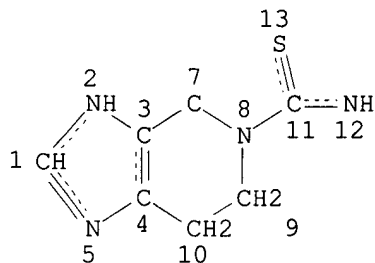
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

L2 839 SEA FILE=REGISTRY SSS FUL L1

L27 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 12

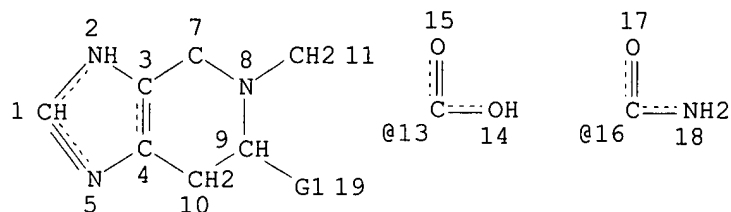
STEREO ATTRIBUTES: NONE

L28 STR

Brood

Parent Search

"Not"
1 of 12

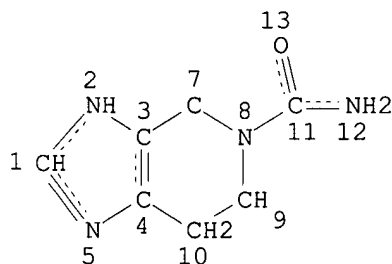


"Not"
2 of 12

VAR G1=13/16
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE
L29 STR

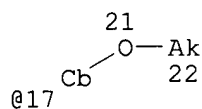
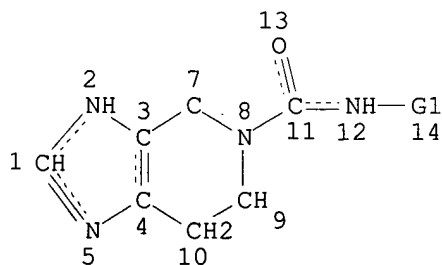


"Not"
3 of 12

NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
L30 STR



Cb @23 Ak @25

"Not"
4 of 12

VAR G1=PH/17/23/25

NODE ATTRIBUTES:

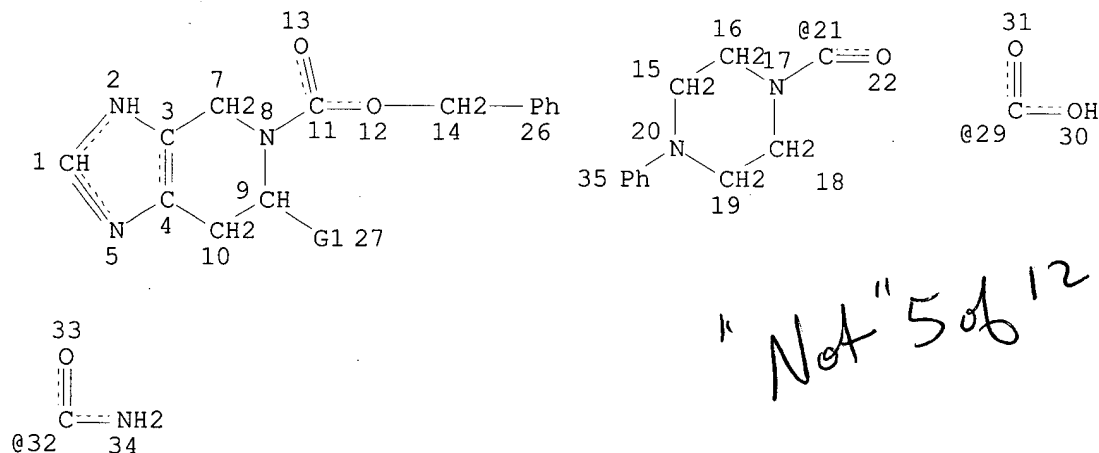
CONNECT IS E2 RC AT 17
 CONNECT IS E1 RC AT 22
 CONNECT IS E1 RC AT 23
 CONNECT IS E1 RC AT 25
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 GGCAT IS MCY UNS AT 17
 GGCAT IS SAT AT 23
 GGCAT IS SAT AT 25
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I
 NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

L31 STR



VAR G1=29/32/21

NODE ATTRIBUTES:

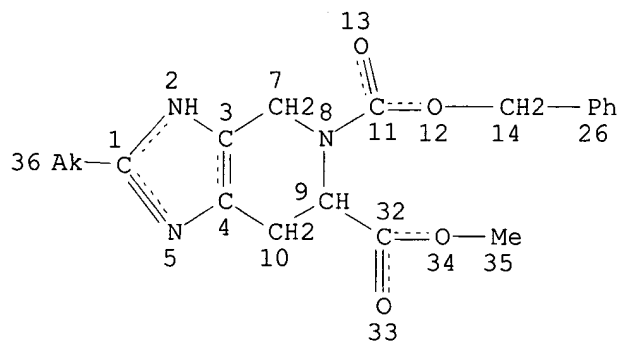
DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I
 NUMBER OF NODES IS 30

STEREO ATTRIBUTES: NONE

L32 STR



"Not"
6 of 12

NODE ATTRIBUTES:

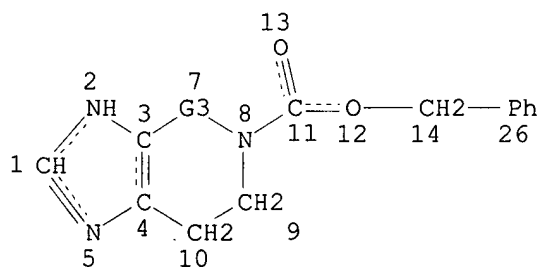
CONNECT IS E1 RC AT 36
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS E4 C AT 36

GRAPH ATTRIBUTES:

RSPEC I
 NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

L33 STR



"Not"
7 of 12

CH-Et CH-Pr-i CH-Ph
 @27 28 @30 31 @33 34

VAR G3=CH2/27/30/33

NODE ATTRIBUTES:

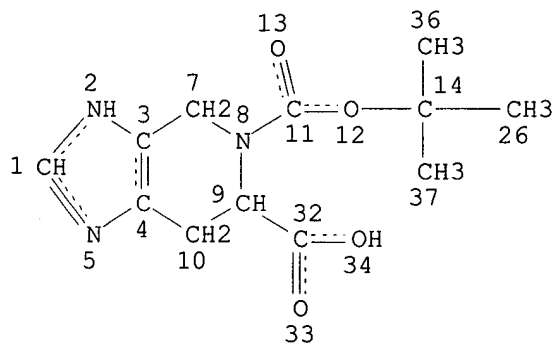
DEFAULT MLEVEL IS ATOM
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GRAPH ATTRIBUTES:

RSPEC I
 NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE

L34 STR

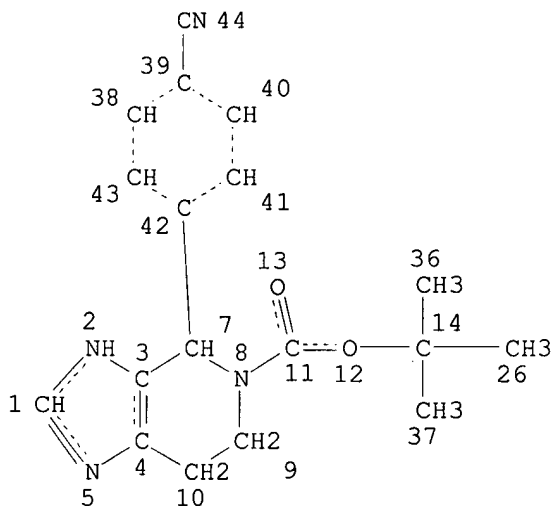


"Not"
8 of 12

NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE
L35 STR

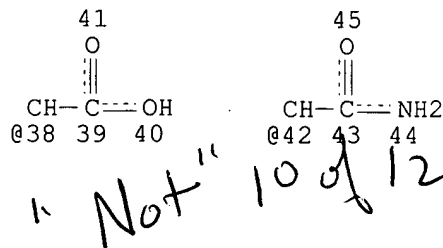
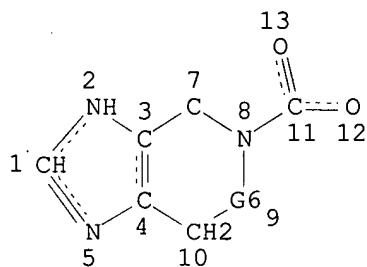


"Not"
9 of 12

NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 23

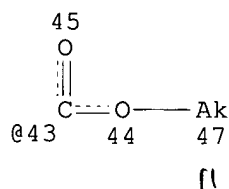
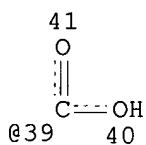
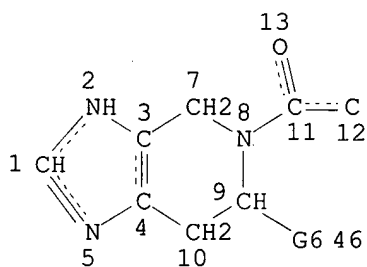
STEREO ATTRIBUTES: NONE
L36 STR



VAR G6=CH2/38/42
 NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC I
 NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE
 L37 STR

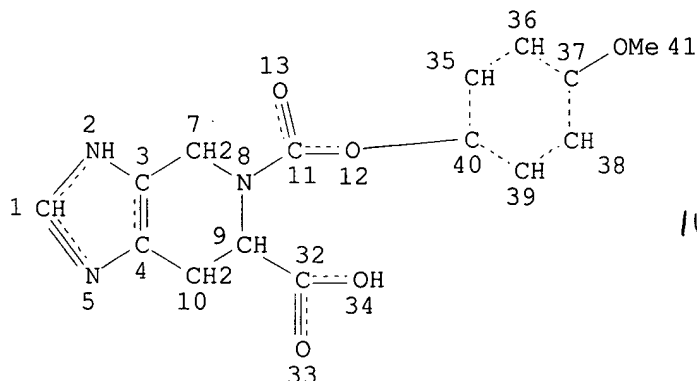


CH2-OH
 @48 49

VAR G6=39/43/48
 NODE ATTRIBUTES:
 CONNECT IS E3 RC AT 12
 CONNECT IS E1 RC AT 47
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC I
 NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE
 L38 STR

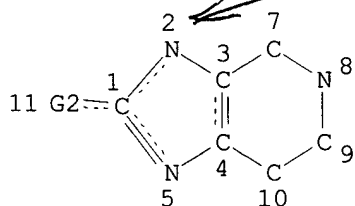


"Not" 12 of 12

NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC I
 NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE
 L46 STR



N @12 Ak @14 F3C—O
 16 @17

VAR G2=H/14/X/CN/CF3/17/OH/12

NODE ATTRIBUTES:
 NSPEC IS RC AT 12
 CONNECT IS E2 RC AT 2
 CONNECT IS E2 RC AT 5
 CONNECT IS E3 RC AT 8
 CONNECT IS E1 RC AT 14
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC I
 NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE
 L48 179 SEA FILE=REGISTRY SUB=L2 SSS FUL L46 NOT ((L27 OR L28 OR L29
 Searched by John Dantzman 703-308-4488

Requires H to be here

Further refining of
 broad base compound

Requires substitution to be here

Isolated Ring

OR L30 OR L31 OR L32 OR L33 OR L34 OR L35 OR L36 OR L37 OR
L38))

=> d bib abs hitstr

L49 ANSWER 1 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 2000:84604 CAPLUS

DN 132:141951

TI Pharmaceutical compositions containing ACAT and MMP inhibitors for the treatment of atherosclerotic lesions

IN Bocan, Thomas Michael Andrew

PA Warner-Lambert Company, USA

SO PCT Int. Appl., 222 pp.

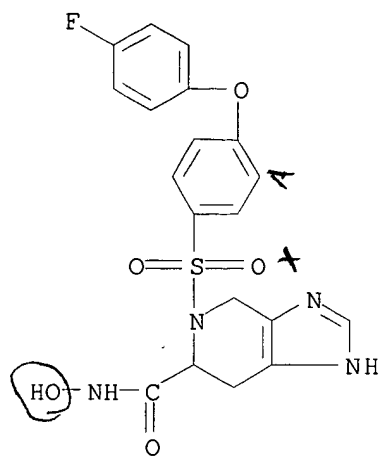
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 2000004892	A2	20000203	WO 1999-US13948	19990618
	WO 2000004892	A3	20000518		
	W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9947017	A1	20000214	AU 1999-47017	19990618
PRAI	US 1998-93639		19980721		
	WO 1999-US13948		19990618		
AB	Acyl-CoA:cholesterol acyltransferase (ACAT) and matrix metalloproteinase (MMP) inhibitors are coadministered for the redn. of both the macrophage and smooth muscle cell component of atherosclerotic lesions, thus impairing the expansion of existing lesions and the development of new lesions and for the prevention of plaque rupture and the promotion of lesion regression in a mammal. The direct antiatherosclerotic potential of the combination of ACAT inhibitor, [[2,4,6-tris-(1-methyl)phenyl]acetyl]-2,6-bis(1-methylethyl)phenyl sulfamic acid, and the HMG-CoA reductase inhibitor, simvastatin, in rabbits was studied. A tablet contained 2-(4'-bromobiphenyl-4-sulfonylamino)-3-Me butyric acid				
25	ACAT compd. lactose 50, corn starch 20, and magnesium stearate 5 mg.				
IT	256647-31-1				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(pharmaceutical compns. contg. ACAT and MMP inhibitors for treatment				
of	atherosclerotic lesions)				
RN	256647-31-1 CAPLUS				
CN	1H-Imidazo[4,5-c]pyridine-6-carboxamide, 5-[[4-(4-fluorophenoxy)phenyl]sulfonyl]-4,5,6,7-tetrahydro-N-hydroxy- (9CI) (CA INDEX NAME)				



=> d bib abs hitstr 2

L49 ANSWER 2 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 2000:17749 CAPLUS

DN 132:161039

TI .kappa.-opioid receptors behind the blood-brain barrier are involved in the anti-hypertensive effects of systemically administered .kappa.-agonists in the conscious spontaneously hypertensive rat

AU Shen, S.; Ingenito, A. J.

CS Department of Pharmacology, School of Medicine, East Carolina University, Greenville, NC, 27858-4353, USA

SO J. Pharm. Pharmacol. (1999), 51(11), 1251-1256

CODEN: JPPMAB; ISSN: 0022-3573

PB Royal Pharmaceutical Society of Great Britain

DT Journal

LA English

AB We have shown previously that chronic intrahippocampal, i.p. and s.c. administrations of non-peptide opioid receptor agonists induced depressor responses in the spontaneously hypertensive rat (SHR). However, it is

not

clear whether the hypotensive effect of systemic administration involves .kappa. receptors behind the blood-brain barrier. In this study, the relative roles of central vs peripheral .kappa.-opioid receptors in the hypotensive effect of .kappa.-agonists was examd. in conscious SHRs following chronic s.c. administration of two selective .kappa.-agonists, BRL 52656 which freely penetrates the blood-brain barrier, and BRL 52974 which has only limited ability to do so. Initial studies detd. the dose-response relationship for each of the two drugs given i.p. twice a day, while monitoring systolic arterial pressure (SAP), mean arterial pressure (MAP) and heart rate (HR) measured by the tail-cuff method.

Both

drugs caused biphasic arterial pressure responses, with lower doses of

BRL

52656 causing depressor effects and higher doses resulting in pressor effects. By contrast, lower doses of BRL 52974 caused pressor effects

and

higher doses depressor effects. The biphasic effects occurred with BRL 52656 from 0.01 to 3.0 mg kg⁻¹ and that for BRL 52974 from 0.1 to 30 mg kg⁻¹. In subsequent studies the drugs were infused chronically, s.c. via osmotic mini-pumps over a 14-day period, BRL 52656 at 0.2 or 0.5 mg kg⁻¹/day and BRL 52974 at 0.2 mg kg⁻¹/day. At lower doses, BRL 52656 decreased SAP, MAP and HR but at higher doses only bradycardia was obsd. BRL 52974 given chronically s.c. over 14 days had no significant effects on arterial pressure and decreased heart rate only after seven days of treatment. Collectively, the results established that only the .kappa.-agonist, which gained access to the central nervous system, lowered arterial pressure and heart rate, whereas the compd. with limited ability to cross the blood-brain barrier was ineffective at equiv. doses. The complex dose-response pattern found with both drugs suggests that .kappa.-agonists have central hypotensive and bradycardic actions at low doses but at higher doses a mixt. of both central and peripheral actions leads to hypertension and tachycardia.

IT

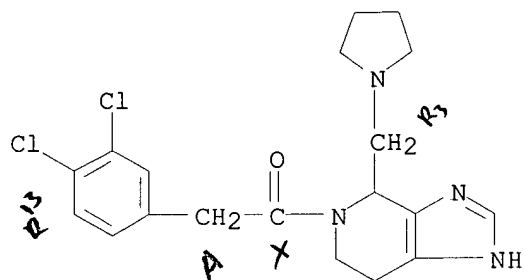
145544-79-2, BRL 52974

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(.kappa.-opioid receptors behind the blood-brain barrier are involved in the anti-hypertensive effects of systemically administered

Searched by John Dantzman 703-308-4488

.kappa.-agonists in the conscious SHR)
RN 145544-79-2 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 5-[(3,4-dichlorophenyl)acetyl]-4,5,6,7-tetrahydro-4-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)



same as reference 17

RE.CNT 25

RE

- (1) Bhargava, H; J Pharmacol Exp Ther 1988, V245, P460 CAPLUS
 - (2) Brooks, D; J Pharmacol Exp Ther 1993, V266, P164 CAPLUS
 - (3) Carter, D; J Physiol 1985, V367, P363 CAPLUS
 - (4) Feuerstein, G; Life Sci 1982, V31, P2197 CAPLUS
 - (5) Gulati, A; Eur J Pharmacol 1988, V156, P247 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr 3

~~149~~ ANSWER 3 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 1999:652921 CAPLUS

DN 132:18475

TI Affinity and Selectivity of Matrix Metalloproteinase Inhibitors: A Chemometrical Study from the Perspective of Ligands and Proteins

AU Matter, Hans; Schwab, Wilfried

CS Hoechst Marion Roussel Chemical Research, Frankfurt am Main, D-65926, Germany

SO J. Med. Chem. (1999), 42(22), 4506-4523

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB A novel strategy to understand affinity and selectivity for enzyme inhibitors using information from ligands and target protein 3D

structures

is described. It was applied to 2-arylsulfonyl-1,2,3,4-tetrahydro-isoquinoline-3-carboxylates and -hydroxamates as inhibitors of the matrix metalloproteinases MMP-3 (stromelysin-1) and MMP-8 (human neutrophil collagenase). As the first step, consistent and predictive 3D-QSAR

models

were derived using CoMFA, CoMSIA, and GRID/Golpe approaches, leading to the identification of binding regions where steric, electronic, or hydrophobic effects are important for affinity. These models were validated using multiple analyses using two or five randomly chosen cross-validation groups and randomizations of biol. activities. Second, 3D-QSAR models were derived based on the affinity ratio $IC_{50}(MMP-8)/IC_{50}(MMP-3)$, allowing the identification of key ligand determinants for selectivity toward one of both enzymes. In addn. to

this

ligands' view, the third step encompasses a chemometrical approach based on principal component anal. (PCA) of multivariate GRID descriptors to uncover the major differences between both protein binding sites with respect to their GRID probe interaction pattern. The resulting information, based on the accurate knowledge of the target protein 3D structures, led to a consistent picture in good agreement with exptl. obsd. differences in selectivity toward MMP-8 or MMP-3. The interpretation of all three classes of statistical models leads to detailed SAR information for MMP inhibitors, which is in agreement with available data for binding site topologies, ligand affinities, and selectivities. Thus the combined chem. analyses provide guidelines and accurate activity predictions for designing novel, selective MMP inhibitors.

IT 191327-21-6

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

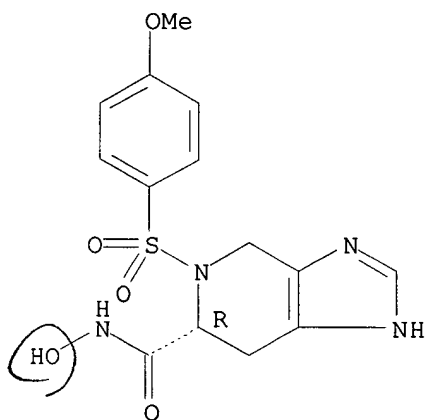
(affinity and selectivity of matrix metalloproteinase inhibitors: chemometrical study from perspective of ligands and proteins)

RN 191327-21-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-hydroxy-5-[(4-methoxyphenyl)sulfonyl]-, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Searched by John Dantzman 703-308-4488



RE.CNT 41

RE

- (1) Becker, J; Protein Sci 1995, V4, P1966 CAPLUS
 - (2) Beckett, R; Drug Discuss Today 1996, V1, P16 CAPLUS
 - (4) Beckett, R; Exp Opin Ther Patents 1998, V8, P259 CAPLUS
 - (5) Betz, M; Eur J Biochem 1997, V247, P356 CAPLUS
 - (7) Cheng, Y; Biochem Pharm 1973, V22, P3099 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr 4

L49 ANSWER 4 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 1999:460401 CAPLUS

DN 131:87906

TI Preparation of tetrahydrobenzindole derivatives for treatment and prevention of diseases caused by abnormality in serotonin regulatory system

IN Kikuchi, Chika; Ando, Takashi; Fuji, Kazuyuki; Okuno, Masayo; Satoh, Eriko; Shiiyama, Masako; Ushiroda, Osamu; Koyama, Masao; Hiranuma, Toyokazu

PA Meiji Seika Kaisha, Ltd., Japan

SO PCT Int. Appl., 139 pp.

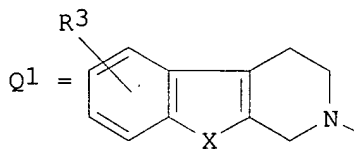
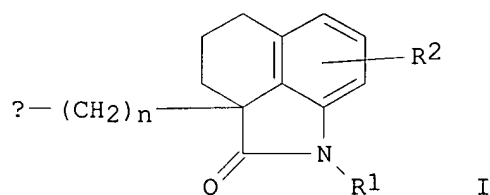
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9933804	A1	19990708	WO 1998-JP5827	19981222
	W: CA, CN, JP, KR, NO, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 11189585	A2	19990713	JP 1997-358381	19971225
PRAI	JP 1997-358380		19971225		
	JP 1997-358381		19971225		
	JP 1998-85913		19980331		
	JP 1998-136872		19980519		
	JP 1998-229709		19980814		
	JP 1998-319336		19981110		
OS	MARPAT 131:87906				
GI					



AB Compds. I [.alpha. = Q1, etc.; R1 = H, alkyl, etc.; R2 = H, halo, etc.; X = NR10, etc. (R10 = H, etc.); n = 2 to 6; R3 = H, etc.] are prepd.

Thus,

2a-(4-bromobutyl)-2a,3,4,5-tetrahydro-1H-benz[cd]indol-2-one 150 mg was reacted with 2,3,4,9-tetrahydro-1H-pyrido[2,4-b]indole 168 mg to give 2a-[4-(2,3,4,9-tetrahydro-1H-pyrido[2,4-b]indole)butyl]-2a,3,4,5-tetrahydro-1H-benz[cd]indol-2-one 73 mg, showing Ki values 227 nM in affinity test to 5-HT7 receptor, and 7 nM in affinity test to 5-HT2 receptor.

IT 230301-50-5P 230301-52-7P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic

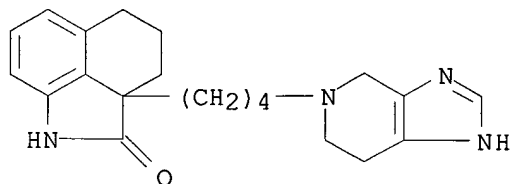
Searched by John Dantzman 703-308-4488

preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)

(tetrahydrobenzindole derivs. for treatment and prevention of diseases
caused by abnormality in serotonin regulatory system)

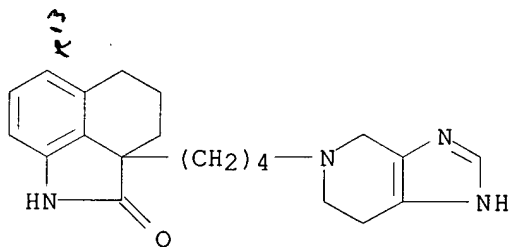
RN 230301-50-5 CAPLUS

CN Benz[cd]indol-2(1H)-one,
2a,3,4,5-tetrahydro-2a-[4-(1,4,6,7-tetrahydro-5H-
imidazo[4,5-c]pyridin-5-yl)butyl]- (9CI) (CA INDEX NAME)



RN 230301-52-7 CAPLUS

CN Benz[cd]indol-2(1H)-one,
2a,3,4,5-tetrahydro-2a-[4-(1,4,6,7-tetrahydro-5H-
imidazo[4,5-c]pyridin-5-yl)butyl]-, monohydrochloride (9CI) (CA INDEX
NAME)



● HCl

RE.CNT 2

RE

(1) Carter, D; Eur J Pharmacol 1995, V280(3), P243 CAPLUS

(2) Leysen, J; Mol Pharmacol 1996, V50(6), P1567 CAPLUS

=> d bib abs hitstr 5

149 ANSWER 5 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 1999:308109 CAPLUS

DN 131:138914

TI Quantitative Structure-Activity Relationship of Human Neutrophil Collagenase (MMP-8) Inhibitors Using Comparative Molecular Field Analysis and X-ray Structure Analysis

AU Matter, Hans; Schwab, Wilfried; Barbier, Denis; Billen, Guenter; Haase, Burkhard; Neises, Bernhard; Schudok, Manfred; Thorwart, Werner;

Schreuder,

Herman; Brachvogel, Volker; Loenze, Petra; Weithmann, Klaus Ulrich

CS Chemical Research Core Research Functions, Hoechst Marion Roussel, Frankfurt am Main, D-65926, Germany

SO J. Med. Chem. (1999), 42(11), 1908-1920

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB A set of 90 novel 2-(arylsulfonyl)-1,2,3,4-tetrahydroisoquinoline-3-carboxylates and -hydroxamates as inhibitors of the matrix metalloproteinase human neutrophil collagenase (MMP-8) was designed, synthesized, and investigated by 3D-QSAR techniques (CoMFA, CoMSIA) and x-ray structure anal. Docking studies of a ref. compd. are based on crystal structures of MMP-8 complexed with peptidic inhibitors to propose a model of its bioactive conformation. This model was validated by a 1.7 .ANG. x-ray structure of the catalytic domain of MMP-8. The 3D-QSAR models based on a superposition rule derived from these docking studies were validated using conventional and cross-validated r2 values using the leave-one-out method, repeated analyses using two randomly chosen cross-validation groups plus randomization of biol. activities. This led to consistent and highly predictive 3D-QSAR models with good correlation coeffs. for both CoMFA and CoMSIA, which were found to correspond to exptl. detd. MMP-8 catalytic site topol. in terms of steric, electrostatic, and hydrophobic complementarity. Subsets selected as smaller training sets using 2D fingerprints and max. dissimilarity

methods

resulted in 3D-QSAR models with remarkable correlation coeffs. and a high predictive power. This allowed to compensate the weaker zinc binding properties of carboxylates by introducing optimal fitting P1' residues. The final QSAR information agrees with all exptl. data for the binding topol. and thus provides clear guidelines and accurate activity predictions for novel MMP-8 inhibitors.

IT 191327-21-6

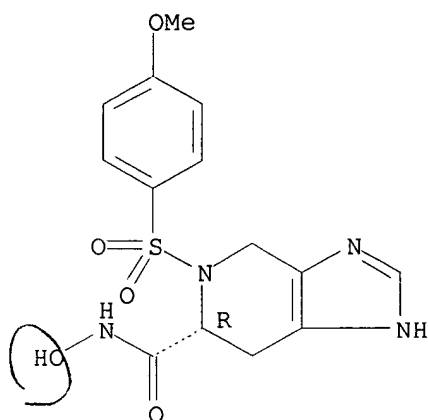
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)

(QSAR of (arylsulfonyl)tetrahydroisoquinoline carboxylates and -hydroxamates as human neutrophil collagenase (MMP-8) inhibitors)

RN 191327-21-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-hydroxy-5-[(4-methoxyphenyl)sulfonyl]-, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 69

RE

- (3) Beeley, N; Curr Opin Ther Pat 1994, V4, P7 CAPLUS
 - (5) Betz, M; Eur J Biochem 1997, V247, P356 CAPLUS
 - (7) Bode, W; EMBO J 1994, V13, P1263 CAPLUS
 - (9) Burley, S; Science 1985, V229, P23 CAPLUS
 - (10) Caldwell, C; Bioorg Med Chem Lett 1996, V6, P323 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr 6

49 ANSWER 6 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 1998:612094 CAPLUS

DN 129:245172

TI Preparation of 4,4-difluoro-2,3,4,5-tetrahydro-1H-1-benzazepine derivatives as oxytocin antagonists

IN Matsuhisa, Akira; Murakami, Takeshi; Sakuda, Shuichi; Kawano, Noriyuki; Shibasaki, Kumiko; Tanaka, Akihiro

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9839325	A1	19980911	WO 1998-JP916	19980305
	W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9861204	A1	19980922	AU 1998-61204	19980305
	EP 987264	A1	20000322	EP 1998-905779	19980305
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,			
FI					
PRAI	JP 1997-52163		19970306		
	WO 1998-JP916		19980305		
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title 4,4-Difluoro-2,3,4,5-tetrahydro-1H-1-benzazepine derivs. represented by formula (I) or salts thereof (wherein A is 5-membered heteroarylene; B is optionally substituted aryl or 5- or 6-membered heteroaryl; D is carbonyl or lower alkylene; R1 is -NR3R4, -O-(lower alkyl) or OH; R2 is optionally halogenated lower alkyl, -O-(lower alkyl), -S-(lower alkyl) or -CO-(lower alkyl); R3 and R4 are each independently hydrogen, lower alkyl or the like; and n is 0, 1 or 2). The above compds.

exhibit the oxytocin antagonism and are effective in inhibiting threatened

premature birth or abortion, or precesarean birth and useful as remedies for dysmenorrhea and so on (no data). Thus, 1H-benzoazepin-5-ylideneacetic acid deriv. (II; R = OH) was condensed with 2-(piperazin-1-yl)ethanol using DCC at room temp. for 18 h to give II (R

=

Q).

Searched by John Dantzman 703-308-4488

IT 213021-71-7P

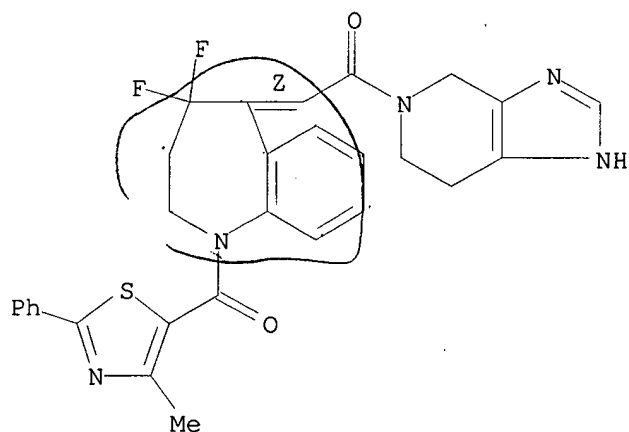
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of difluorotetrahydrobenzazepine derivs. as oxytocin antagonists)

RN 213021-71-7 CAPLUS

CN 1H-1-Benzazepine,

4,4-difluoro-2,3,4,5-tetrahydro-1-[(4-methyl-2-phenyl-5-thiazolyl)carbonyl]-5-[2-oxo-2-(1,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)ethylidene]-, monohydrochloride, (5Z)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.



● HCl

=> d bib abs hitstr 7

L49 ANSWER 7 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 1998:120508 CAPLUS

DN 128:249173

TI Synthesis of glycyl-L-spinacine and study of its protonation and Cu(II) complex-formation equilibria in aqueous solution

AU Conato, Chiara; Remelli, Maurizio; Guerrini, Remo; Pulidori, Fernando

CS Department of Chemistry, University of Ferrara, Ferrara, I-44100, Italy

SO Ann. Chim. (Rome) (1998), 88(1-2), 91-102

CODEN: ANCRAL; ISSN: 0003-4592

PB Societa Chimica Italiana

DT Journal

LA English

AB A new dipeptide, glycyl-L-spinacine, was synthesized and fully characterized. Protonation consts. were detd. and binary Cu(II) complex formation equil. investigated in an aq. soln. (25.degree., I = 0.1 mol dm⁻³, KNO₃) using the potentiometric and spectrophotometric techniques. Formation of mononuclear and binuclear complex species was found.

Binding

sites and structure hypotheses are discussed from exptl. and literature data available.

IT **205066-92-8P**, Glycyl-L-spinacine

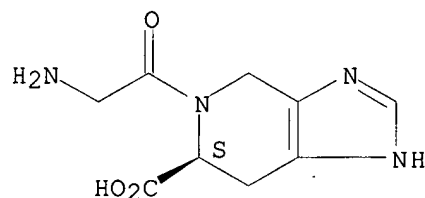
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn., protonation and copper(II) complexation equil.)

RN 205066-92-8 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-(aminoacetyl)-4,5,6,7-tetrahydro-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



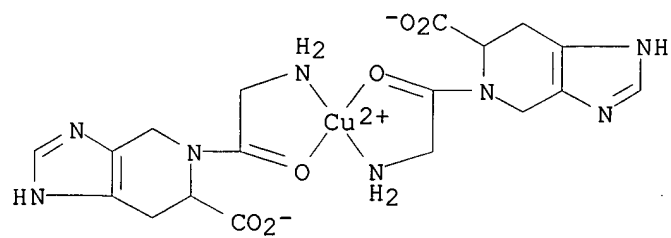
IT **205066-93-9**

RL: FMU (Formation, unclassified); PRP (Properties); FORM (Formation, nonpreparative)
(stability const.)

RN 205066-93-9 CAPLUS

CN Copper, bis[5-[(amino-.kappa.N)acetyl-.kappa.O]-4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine-6-carboxylato]-, [SP-4-1-(S),(S)]- (9CI) (CA

INDEX
NAME)



=> d bib abs hitstr 8

L49 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 1997:801522 CAPLUS

DN 128:75655

TI Synthesis of spinacine and spinacine derivatives: crystal and molecular structures of N.pi.-hydroxymethyl spinacine and N.alpha.-methyl spinaceamine

AU Remelli, Maurizio; Pulidori, Fernando; Guerrini, Remo; Bertolasi, Valerio

CS Dipartimento di Chimica, Universita di Ferrara, Ferrara, I-44100, Italy

SO J. Chem. Crystallogr. (1997), 27(9), 507-513

CODEN: JCCYEV; ISSN: 1074-1542

PB Plenum Publishing Corp.

DT Journal

LA English

AB The natural amino acid L-Spinacine (4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine-6-carboxylic acid) has been synthesized following a new pathway

which gives a chem. and optically pure product with an excellent yield.

The crystal structures of a synthetic intermediate, N.pi.-

hydroxymethylspinacine, and a spinacine deriv., N.alpha.-

methylspinaceamine, have been investigated through X-ray diffraction.

Spi(.pi.MeOH) crystallizes with a water mol. and displays a zwitterionic

character. The carboxylate group is in equatorial position and forms a

short electrostatic interaction of 2.618(2) .ANG. between one of its

oxygens and the protonated nitrogen of the tetrahydropyridine ring. The

crystal packing is assured by strong OH---O, OH---N, NH---N intermol.

hydrogen bonds and CH---O close contacts. The biprotonated compds.

Spm(.alpha.Me) crystallizes with two Cl- anions and a water mol. The

pos.

charge on the imidazole ring is delocalized on the conjugated moiety

N=C-N. The crystal is built up by clusters formed by two biprotonated

Spm(.alpha.Me) mols., four Cl- anions and two water mols. linked together

by hydrogen bonds.

IT 92223-95-5P 200575-98-0P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

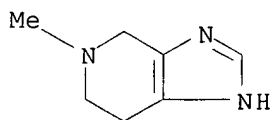
(synthesis and crystal and mol. structure of spinacine and

spinaceamine

derivs.)

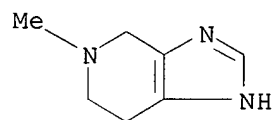
RN 92223-95-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl-, dihydrochloride
(9CI) (CA INDEX NAME)



● 2 HCl

RN 200575-98-0 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl-, dihydrochloride,
monohydrate (9CI) (CA INDEX NAME)

● 2 HCl

● H₂O

=> d bib abs hitstr 9

~~149~~ ANSWER 9 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 1997:720114 CAPLUS

DN 128:13253

TI Fused pyridine N-hydroxy carboxamide derivatives and analogs as inhibitors

of metalloproteases, process for their preparation, and pharmaceutical compositions containing them

IN De Nanteuil, Guillaume; Paladino, Joseph; Remond, Georges; Atassi, Ghanem;

Pierre, Alain; Tucker, Gordon; Bonnet, Jacqueline; Sabatini, Massimo

PA Adir Et Compagnie, Fr.

SO Eur. Pat. Appl., 31 pp.

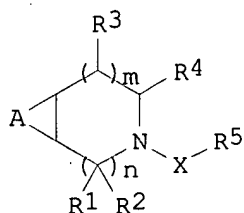
CODEN: EPXXDW

DT Patent

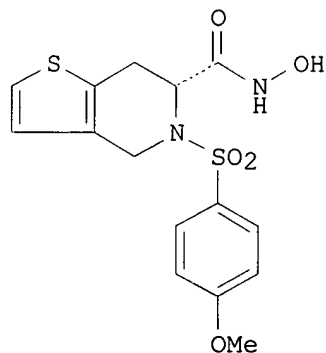
LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 803505	A1	19971029	EP 1997-400913	19970423
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,				
FI	FR 2748026	A1	19971031	FR 1996-5321	19960426
	FR 2748026	B1	19980605		
	NO 9701862	A	19971027	NO 1997-1862	19970423
	CA 2203618	AA	19971026	CA 1997-2203618	19970424
	AU 9719121	A1	19971030	AU 1997-19121	19970424
	AU 713680	B2	19991209		
	CN 1165817	A	19971126	CN 1997-109728	19970425
	JP 10059936	A2	19980303	JP 1997-108954	19970425
	US 5866587	A	19990202	US 1997-842982	19970425
PRAI	FR 1996-5321		19960426		
OS	CASREACT 128:13253; MARPAT 128:13253				
GI					



I



II

AB Title compds. I are disclosed [wherein m, n = 0, 1, 2; R1, R2 = H, alkyl, aralkyl, aryl; or R1R2 = O, alkylene; R3 = H, alkyl, OH, alkoxy, or aryl; R4 = CONR6OR6', CSNR6OR6', C(:NH)NR6OR6', CO2R7, NHCONHOH, NHCH2CO2R7, CH(NHR7')CO2R7, CH(CO2R7)2; X = SO2, CO, SO2NH; R5 = alkyl (optionally bearing halo, OH, alkoxy, aryl, or CO2R7), cycloalkyl, aryl, or heterocyclyl; R6, R6' = H or alkyl; R7, R7' = H, alkyl, aralkyl; A = fused

arom. (with provisos) or heterocyclic ring]. I are metalloprotease inhibitors, potentially useful for treatment of cancer, rheumatoid arthritis, atherosclerosis, etc. Examples include 30 syntheses of I, 19 prophetic compds., 4 biol. screens for selected compds., and a formulation. For instance,

(R)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine-6-carboxylic acid hydrochloride underwent a sequence of N-sulfonylation with 4-MeOC6H4SO2Cl, amidation with H2NOCH2CH:CH2.HCl, and Pd-mediated deallylation, to give preferred title compd. II. In tests for protection of guinea pig cartilaginous matrix against IL-1.beta.-induced degrdn., II gave 98% protection of collagens and 45% protection of proteoglycans.

IT 191326-89-3P 191327-21-6P

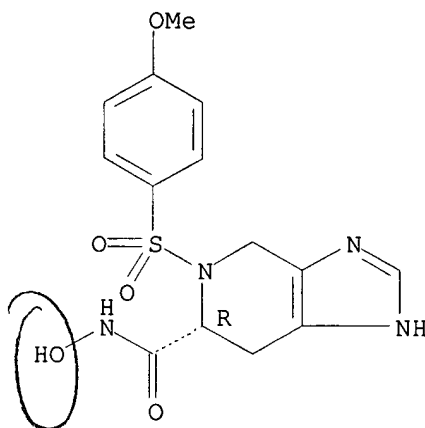
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of fused pyridine N-hydroxy carboxamide derivs. and analogs as metalloprotease inhibitors)

RN 191326-89-3 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-hydroxy-5-[(4-methoxyphenyl)sulfonyl]-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



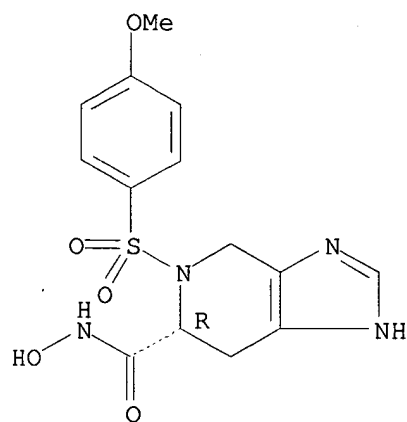
● HCl

RN 191327-21-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-hydroxy-5-[(4-methoxyphenyl)sulfonyl]-, (6R)- (9CI) (CA INDEX NAME)

Searched by John Dantzman 703-308-4488

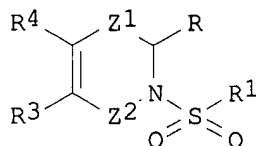
Absolute stereochemistry.



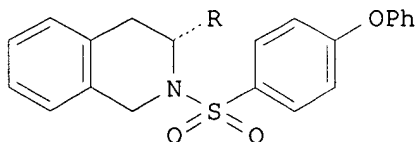
=> d bib abs hitstr 10

L49 ANSWER 10 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1997:443319 CAPLUS
DN 127:65701
TI Preparation of 2-arylsulfonylisoquinoline-3-carboxylic and hydroxamic
acids and analogs as matrix metalloproteinase inhibitors
IN Thorwart, Werner; Schwab, Wilfried; Schudok, Manfred; Haase, Burkhard;
Bartnik, Eckart; Weithmann, Klaus-ulrich
PA Hoechst Aktiengesellschaft, Germany; Thorwart, Werner; Schwab, Wilfried;
Schudok, Manfred; Haase, Burkhard; Bartnik, Eckart; Weithmann,
Klaus-Ulrich
SO PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9718194	A1	19970522	WO 1996-EP4776	19961104
	W: AU, BG, BR, BY, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, RU, SG, SI, TR, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,				
SE	DE 19542189	A1	19970515	DE 1995-19542189	19951113
	DE 19612298	A1	19971002	DE 1996-19612298	19960328
	AU 9675624	A1	19970605	AU 1996-75624	19961104
	AU 707707	B2	19990715		
	EP 861236	A1	19980902	EP 1996-938052	19961104
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,				
FI	JP 2000500145	T2	20000111	JP 1997-518542	19961104
	BR 9611479	A	19990713	BR 1996-11479	19970312
PRAI	DE 1995-19542189	19951113			
	DE 1996-19612298	19960328			
	WO 1996-EP4776	19961104			
OS	MARPAT 127:65701				
GI					



I



II

AB Title compds. [I; R = CO₂H or CONHOH; R₁ = (un)substituted phenyl(alkyl),
-naphthyl, etc.; R₃R₄ = (un)substituted CH:CHCH:CH, atoms to complete a
heterocyclic ring, etc.; Z₁,Z₂ = (CH₂)₀₋₂] were prepd. Thus, Me
(R)-1,2,3,4-tetrahydroisoquinoline-3-carboxylate was N-sulfonate by
4-(PhO)C₆H₄SO₂Cl and the product converted in 2 steps to title compd. II
(R = CONHOH). Data for biol. activity of I were given.

IT 191326-89-3P 191327-21-6P

Searched by John Dantzman 703-308-4488

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

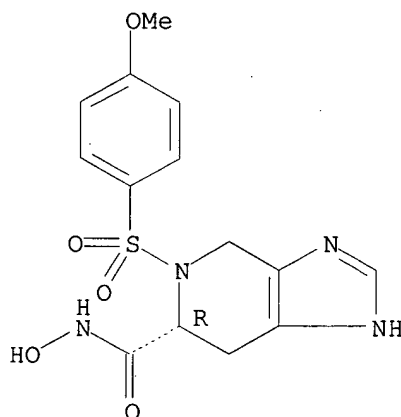
(prepn. of 2-arylsulfonylisoquinoline-3-carboxylic and hydroxamic acids

and analogs as matrix metalloproteinase inhibitors)

RN 191326-89-3 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-hydroxy-5-[(4-methoxyphenyl)sulfonyl]-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

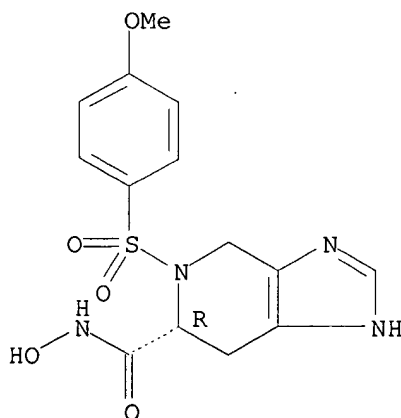


● HCl

RN 191327-21-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-hydroxy-5-[(4-methoxyphenyl)sulfonyl]-, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 191327-25-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 2-arylsulfonylisoquinoline-3-carboxylic and hydroxamic

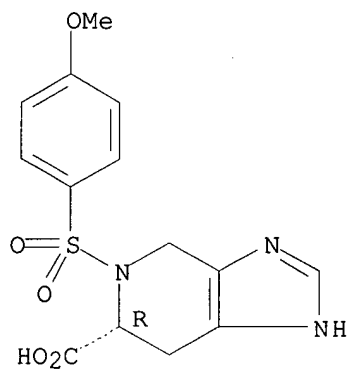
acids

and analogs as matrix metalloproteinase inhibitors)

RN 191327-25-0 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 4,5,6,7-tetrahydro-5-[(4-methoxyphenyl)sulfonyl]-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

=> d bib abs hitstr 11

L49 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 1997:85131 CAPLUS

DN 126:104085

TI Preparation of benzoic acid derivatives as 5-HT₄ receptor agonists

IN Suzuki, Takeshi; Iwaoka, Kyoshi; Naito, Makoto; Myata, Keiji; Kamato, Takeshi; Oota, Mitsuaki

PA Yamanouchi Pharma Co Ltd, Japan

SO Jpn. Kokai Tokkyo Koho, 25 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 08325234	A2	19961210	JP 1995-131264	19950530

OS MARPAT 126:104085

GI For diagram(s), see printed CA Issue.

AB The title compds. (Ia and Ib; Im = imidazolyl ring; A ring = 4-8 numbered cycloalkyl; n = 0-2; R₂, R₅, R₆ = H, alkyl; B ring = 4-8 numbered

N-contg.

heterocyclyl; R₃ = halo; R₄ = lower alkoxy) are prepd. I, possessing 5-HT₄ receptor antagonism, are useful for prevention and treatment of central and peripheral nervous system, digestive system, cardiovascular system, and urinary system diseases. Thus, 6-(tert-butoxycarbonylamino)-5,6,7,8-tetrahydroimidazo[1,2-a]pyridine was treated with aq. HCl to give the title compd. 6-amino-5,6,7,8-tetrahydroimidazo[1,2-a]pyridine. I showed 5-HT₄ receptor antagonism.

IT **185796-85-4P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzoic acid derivs. as 5-HT₄ receptor agonists)

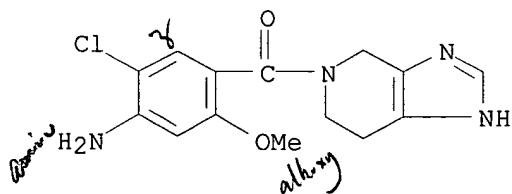
RN 185796-85-4 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine, 5-(4-amino-5-chloro-2-methoxybenzoyl)-4,5,6,7-tetrahydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 185796-84-3

CMF C14 H15 Cl N4 O2



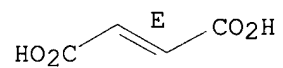
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



=> d bib abs hitstr 12

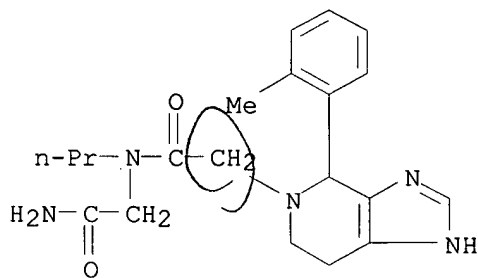
~~149~~ ANSWER 12 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1996:436546 CAPLUS
DN 125:221700
TI Solid phase synthesis of tetrahydroisoquinolines and
tetrahydroimidazopyridines
AU Hutchins, Steven M.; Chapman, Kevin T.
CS Dep. Mol. Design Diversity, Merck Res. Lab., Rahway, NJ, 07065, USA
SO Tetrahedron Lett. (1996), 37(28), 4865-4868
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 125:221700
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

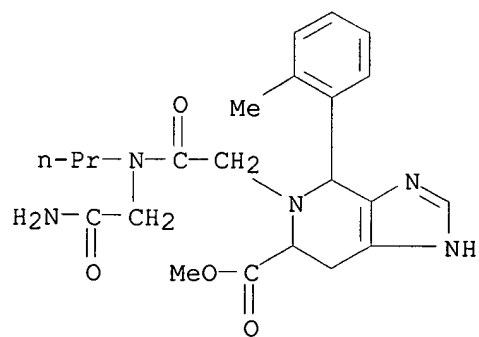
AB The prepn. of 1,2,3,4-tetrahydroisoquinolines I (R1 = Me, Et, CO2Me, R2 = H, Me, OH) and II (R3 = Ph, 2-MeC6H4, 4-O2NC6H4, 2-furyl, etc.) and 4,5,6,7-tetrahydro-3H-imidazo[4,5-c]pyridines III (R1 = H, CO2Me) on a solid support has been developed. The route utilizes substituted m-tyramines, histamines and various arom., aliph. and heterocyclic aldehydes.

IT **181184-56-5P 181184-57-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid phase synthesis of tetrahydroisoquinolines and
-imidazopyridines)

RN 181184-56-5 CAPLUS
CN 5H-Imidazo[4,5-c]pyridine-5-acetamide, N-(2-amino-2-oxoethyl)-1,4,6,7-tetrahydro-4-(2-methylphenyl)-N-propyl- (9CI) (CA INDEX NAME)



RN 181184-57-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-[2-[(2-amino-2-oxoethyl)propylamino]-2-oxoethyl]-4,5,6,7-tetrahydro-4-(2-methylphenyl)-, methyl ester (9CI) (CA INDEX NAME)



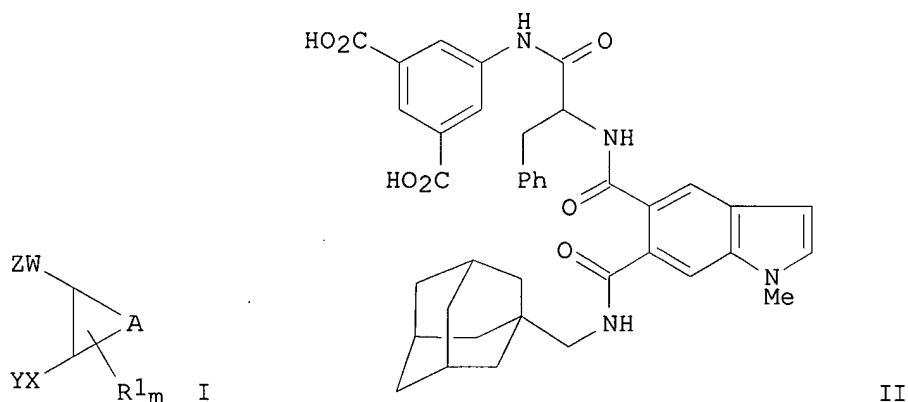
=> d bib abs hitstr 13

L49 ANSWER 13 OF 44 CAPLUS COPYRIGHT 2000 ACS
 AN 1995:801429 CAPLUS
 DN 123:256711
 TI Preparation of gastrin and CCK receptor ligands
 IN Kalindjian, Sarkis Barret; Steel, Katherine Isobel Mary; Pether, Michael
 John; Davies, Jonathan Michael Richard; Low, Caroline Minli Rachel;
 Hudson, Martin Lyn; Buck, Ildiko Maria; McDonald, Iain Mair; Dunstone,
 David John; Tozer, Matthew John
 PA James Black Foundation Ltd., UK
 SO PCT Int. Appl., 124 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9504720	A2	19950216	WO 1994-GB1741	19940809
	WO 9504720	A3	19950803		
	W:	AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ,			
VN	RW:	KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD,			
TG	AU 9473478	A1	19950228	AU 1994-73478	19940809
	AU 682051	B2	19970918		
	EP 720601	A1	19960710	EP 1994-922318	19940809
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,			
SE	JP 09502430	T2	19970311	JP 1994-506306	19940809
	HU 75301	A2	19970528	HU 1996-70	19940809
	ZA 9405998	A	19960212	ZA 1994-5998	19940810
	GB 2290539	A1	19960103	GB 1995-2503	19950209
	WO 9532949	A1	19951207	WO 1995-GB1194	19950525
	W:	AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT			
	RW:	KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9525342	A1	19951221	AU 1995-25342	19950525
	EP 763026	A1	19970319	EP 1995-919561	19950525
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,			
SE	JP 10504525	T2	19980506	JP 1995-500483	19950525
	ZA 9504315	A	19961126	ZA 1995-4315	19950526
	NO 9600488	A	19960315	NO 1996-488	19960206
	FI 9600572	A	19960207	FI 1996-572	19960207
	US 5795907	A	19980818	US 1996-583008	19960318
	US 5912260	A	19990615	US 1996-737725	19961219
	US 5919829	A	19990706	US 1998-64849	19980423

Searched by John Dantzman 703-308-4488

PRAI GB 1993-16608 19930810
 GB 1994-10688 19940527
 WO 1994-GB1741 19940809
 GB 1995-2503 19950209
 WO 1995-GB1194 19950525
 OS MARPAT 123:256711
 GI



AB Title compds. [e.g. I; A = atoms to complete a bicyclic ring system; R₁ = halo, NH₂, cyano, OH, alkyl, CO₂H, etc.; 1 of X, W = CO and the other = CO, SO, SO₂; Y = NR₃R₄, hydrocarbyloxy, etc.; R₃ = H, hydrocarbyl, etc.; R₄ = H, alkyl, (un) esterified CH₂CO₂H; Z = OH, alkoxy, OPh, (un)substituted NH₂, NHZ₁R, etc.; R = H, cyano, alkyl, CH₂OH, CO₂H, etc.; Z₁ = alkylene; m = 0-6] were prepd. Thus, 4-methylphthalic anhydride was converted in 6 steps to indole-5,6-dicarboxylic anhydride which was amidated by adamantane-1-methylamine and the product amidated by (S)-3,5-(PhH₂CO₂C)2C₆H₃NHCOCH(NH₂)CH₂Ph (prepn. given) to give, in 2 addnl. steps, title compd. (S)-II the di-N-methyl-D-glucamine salt of which had pK_i of 9.4 for binding at mouse cortex CCKB receptors in vitro.

IT **167992-39-4P 167992-40-7P**

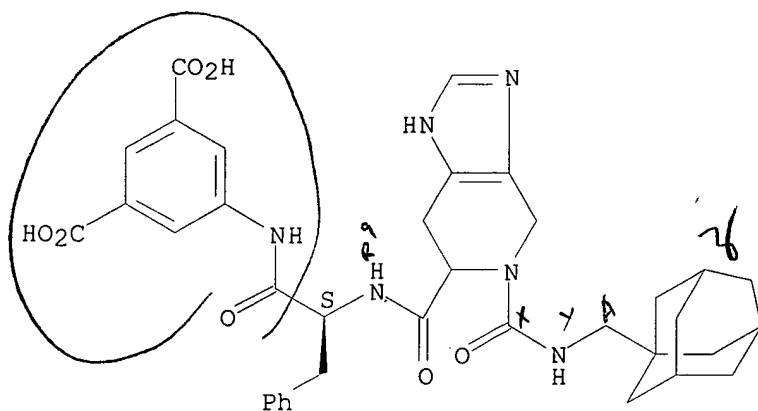
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of gastrin and CCK receptor ligands)

RN 167992-39-4 CAPLUS

CN 1,3-Benzenedicarboxylic acid,

5-[[1-oxo-3-phenyl-2-[[[4,5,6,7-tetrahydro-5-[[[(tricyclo[3.3.1.1^{3,7}]dec-1-ylmethyl)amino]carbonyl]-1H-imidazo[4,5-c]pyridin-6-yl]carbonyl]amino]propyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 167992-40-7 CAPLUS

CN D-Glucitol, 1-deoxy-1-(methylamino)-,

(S)-5-[[[1-oxo-3-phenyl-2-[[[4,5,6,7-tetrahydro-5-[[(tricyclo[3.3.1.1^{3,7}]dec-1-ylmethyl)amino]carbonyl]-1H-imidazo[4,5-c]pyridin-6-yl]carbonyl]amino]propyl]amino]-1,3-benzenedicarboxylate (2:1) (salt) (9CI) (CA INDEX NAME)

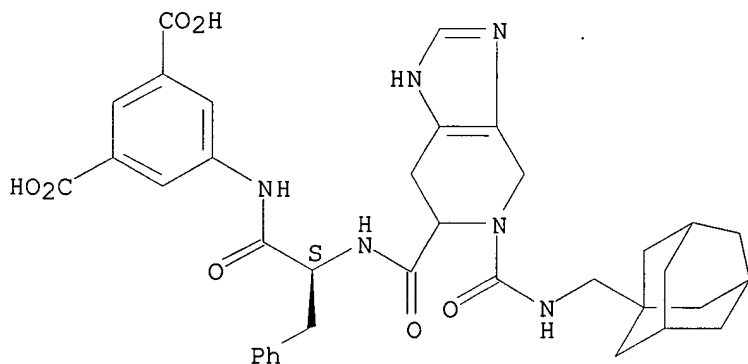
CM 1

CRN 167992-39-4

CMF C36 H40 N6 O7

CDES 1:S

Absolute stereochemistry.



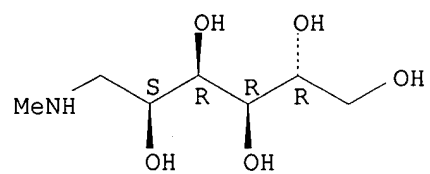
CM 2

CRN 6284-40-8

CMF C7 H17 N O5

CDES *

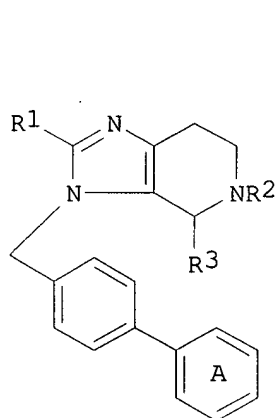
Absolute stereochemistry.



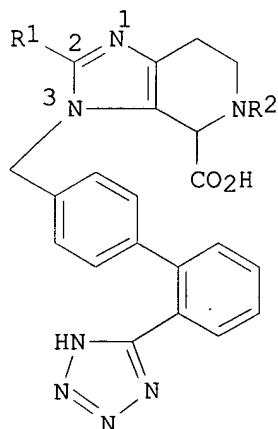
=> d bib abs hitstr 14

L49 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2000 ACS
 AN 1995:750512 CAPLUS
 DN 123:143899
 TI Preparation of 3-(4-biphenylmethyl)-4,5,6,7-tetrahydroimidazo[4,5-c]pyridine derivatives as angiotensin II antagonists
 IN Honma, Yasushi; Sekine, Yasuo; Nomura, Sumihiro; Naito, Kazuaki; Narita, Hiroshi
 PA Tanabe Seiyaku Co, Japan
 SO Jpn. Kokai Tokkyo Koho, 34 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 06312926	A2	19941108	JP 1994-31109	19940301
PRAI	JP 1993-43108		19930304		
OS	MARPAT 123:143899				
GI					



I



II

Same as 29

AB The title compds. [I; R1 = H, lower alkyl; R2 = H, lower alkylsulfonyl, C(O)R, CH2R; wherein R = (un)substituted lower alkyl, lower alkoxy, 5- or 6-membered monocyclic heterocyclyl, (un)substituted Ph, H, (un)substituted NH2, lower alkenyl; R3 = optionally esterified CO2H; ring A = Ph optionally having substituents] and pharmacol. acceptable salts are prepd.

An angiotensin II antagonist for the treatment and prevention of hypertension, nephritis, diabetic nephritis, primary aldosteronism, arteriosclerosis, dementia, brain circulation failure, chronic heart failure, and/or angina pectoris contains the compd. I as the active ingredient. Thus, Me 5-diphenylacetyl-4,5,6,7-tetrahydroimidazo[4,5-c]pyridine-4-carboxylate was dissolved in DMF, treated with NaH under

Searched by John Dantzman 703-308-4488

ice-cooling, and condensed with
[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl bromide to give, after detritylation with HCl in CHCl₃/MeOH and sapon. with 1 N aq. NaOH in MeOH, title compd. (II.2Na; R₁ = H, R₂ = COCHPh₂) and its 1-[2'-(1H-tetrazol-5-yl)biphenyl-4-yl]methyl regioisomer.

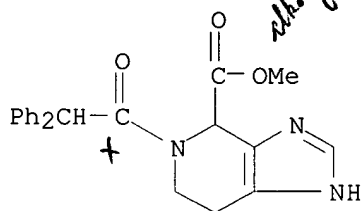
II.2Na (R₁ = Pr, R₂ = Ac) at 3 .times. 10⁻⁷ M in vitro inhibited 100% the angiotensin II-induced contraction of guinea pig aorta and at 3.0 mg/kg p.o. in vivo lowered the blood pressure of spontaneous hypertensive rats by 45 mmHg. It at 3 mg/kg administered in duodenum of dogs in vivo also inhibited 80% the angiotensin II-induced hypertension.

IT **166814-29-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate for prepn. of (biphenylmethyl)tetrahydroimidazo[4,5-c]pyridine derivs. as angiotensin II antagonists for treatment of diseases)

RN 166814-29-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 5-(diphenylacetyl)-4,5,6,7-tetrahydro-, methyl ester (9CI) (CA INDEX NAME)



=> d bib abs hitstr 15

149 ANSWER 15 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 1995:721205 CAPLUS

DN 123:111747

TI Preparation of antibacterial 1-methylcarbapenem derivatives

IN Oida, Sadao; Tanaka, Teruo; Konosu, Toshuki; Mori, Makoto; Myaoka, Takeo; Tajima, Kazu

PA Sankyo Co, Japan

SO Jpn. Kokai Tokkyo Koho, 55 pp.

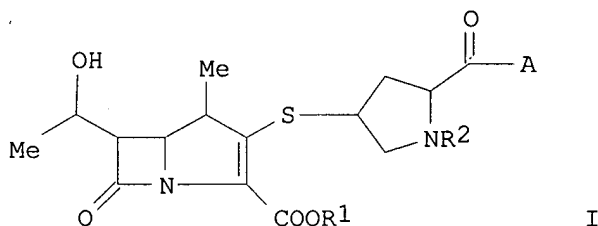
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 07101959	A2	19950418	JP 1993-244299	19930930
OS	MARPAT 123:111747				
GI					



AB Title compds. I [R1 = H, protecting group; R2 = H, protecting group, alkyl, alkenyl, C(:NR3); R3 = H, protecting group; R4 = H, alkyl, amino;

A = cyclic substituent], useful as antibacterials (no data), are prepd. Thus, (2S,4S)-4-acetylthio-1-(4-nitrobenzyloxycarbonyl)-2-[(1S,4S)-5-(4-nitrobenzyloxycarbonyl)-2,5-diazabicyclo[2.2.1]heptan-2-yl]carbonylpyrrolidine (prepn. given) was treated with NaOMe in MeOH-THF and the product was reacted with (1R,5R,6S)-6-[(R)-1-hydroxyethyl]-1-methyl-2-(diphenylphosphoryloxy)carbapenem-3-carboxylic acid

4-nitrobenzyl ester in MeCN contg. diisopropylethylamine to give (1R,5S,6S)-6-(R)-1-

hydroxyethyl-1-methyl-2-[(2S,4S)-1-(4-nitrobenzyloxycarbonyl)-2-[(2S,4S)-5-(4-nitrobenzyloxycarbonyl)-2,5-diazabicyclo[2.2.1]heptan-2-yl]carbonyl]pyrrolidin-4-yl]thio]carbapenem-3-carboxylic acid 4-nitrobenzyl ester. Pharmaceutical compns. contg. I are described.

IT 165893-60-7P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of antibacterial 1-methylcarbapenem derivs.)

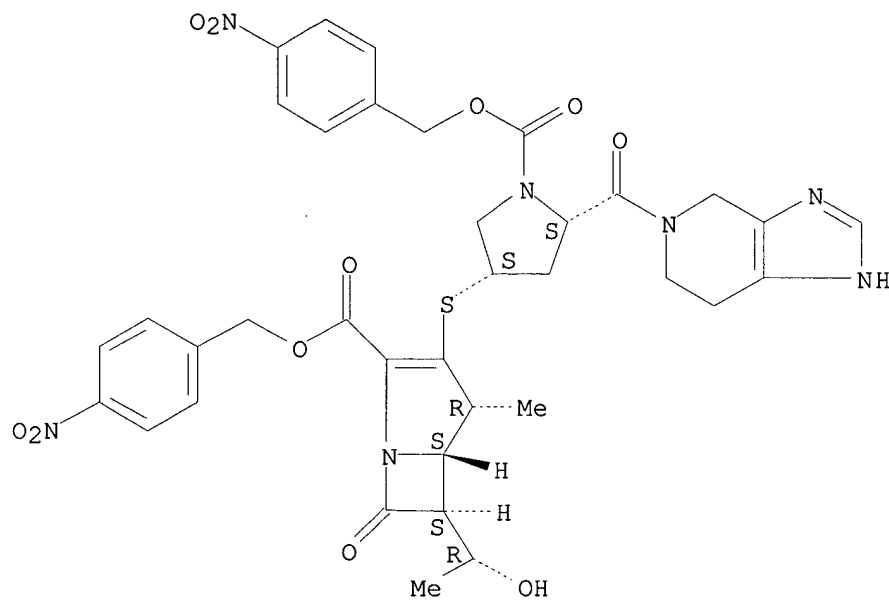
RN 165893-60-7 CAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4-

methyl-3-[[1-[[[4-nitrobenzyl]oxy]carbonyl]pyrrolidin-4-yl]thio]-1-methyl-2-(diphenylphosphoryloxy)carbapenem-3-carboxylic acid

imidazo[4,5-c]pyridin-5-yl)carbonyl]-3-pyrrolidinyl]thio]-7-oxo-,
 (4-nitrophenyl)methyl ester,
 [4R-[3(3S*,5S*),4.alpha.,5.beta.,6.beta.(R*)]
]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



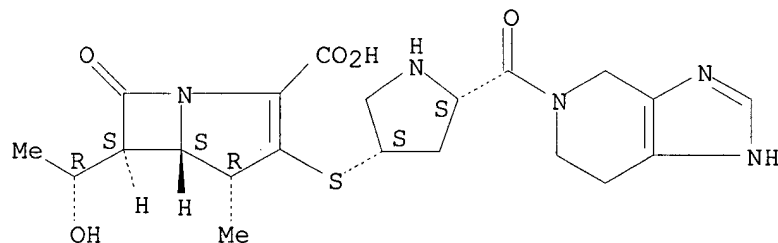
IT 165893-88-9P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of antibacterial 1-methylcarbapenem derivs.)

RN 165893-88-9 CAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4-methyl-7-oxo-3-[[5-[(1,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)carbonyl]-3-pyrrolidinyl]thio]-, monohydrochloride,
 [4R-[3(3S*,5S*),4.alpha.,5.beta.,6.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

LIU

09/548081

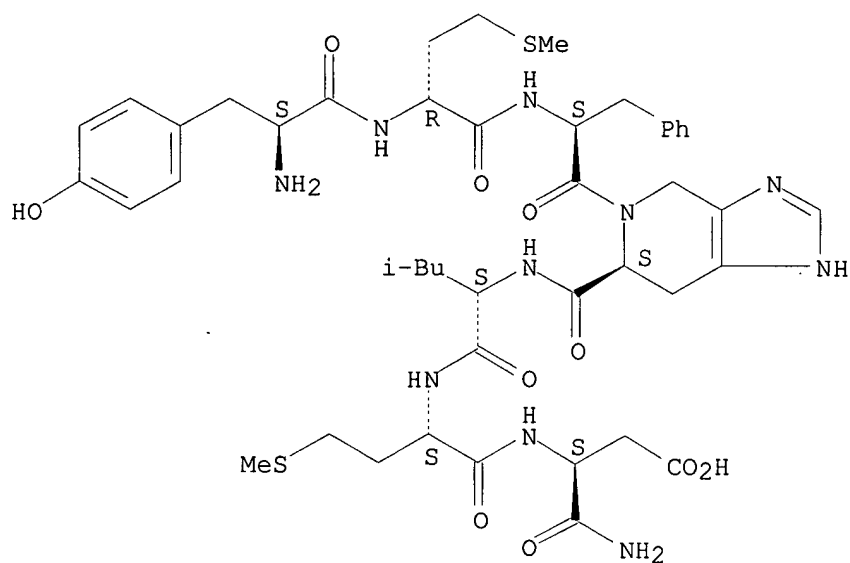
Page 33

Searched by John Dantzman 703-308-4488

=> d bib abs hitstr 16

~~LA~~ 9 ANSWER 16 OF 44 CAPLUS COPYRIGHT 2000 ACS
~~AN~~ 1995:409660 CAPLUS
DN 123:9907
TI Prerequisite for His4 in deltorphin A for high .delta. opioid receptor selectivity
AU Salvadori, S.; Guerrini, R.; Forlani, V.; Bryant, S. D.; Attila, M.; Lazarus, L. H.
CS Dept. Pharm. Sci., Univ. Ferrara, Ferrara, Italy
SO Amino Acids (1994), 7(3), 291-304
CODEN: AACIE6; ISSN: 0939-4451
DT Journal
LA English
AB Anal. of deltorphin A position 4 analogs included: backbone constrained MeHis, spinacine (Spi), MePhe, and tetrahydroisoquinoline-3-carboxylic acid (Tic); spatially confined side-chain phenylglycine (Phg); and imidazole alkylation of L- and D-His4 enantiomers. High .delta. selectivity was lost with the following replacements; MeHis4, MePhe4 and Phg4 reduced .delta. binding and the constrained residues also increased .mu. binding; ring closure between the side-chain and amino group to yield Spi4 or Tic4 increased .mu. affinity. Imidazole methylation of His4 marginally affected opioid binding and doubled .delta. selectivity; alkylated D-His4 derivs. generally maintained .delta. selectivity in spite of decreased .delta. binding and by repulsion at the .mu. receptor. Several low energy conformers of deltorphin A indicated that the His4 imidazole preferred a spatial orientation parallel to the phenolic side-chain of Tyr1 suggestive that this conformation might contribute to high .delta. affinity and selectivity.
IT 163679-46-7P 163679-47-8P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(.delta. opioid receptor selectivity of deltorphin A position 4 analogs)
RN 163679-46-7 CAPLUS
CN Deltorphin A, 4-(L-4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine-6-carboxylic acid)- (9CI) (CA INDEX NAME)

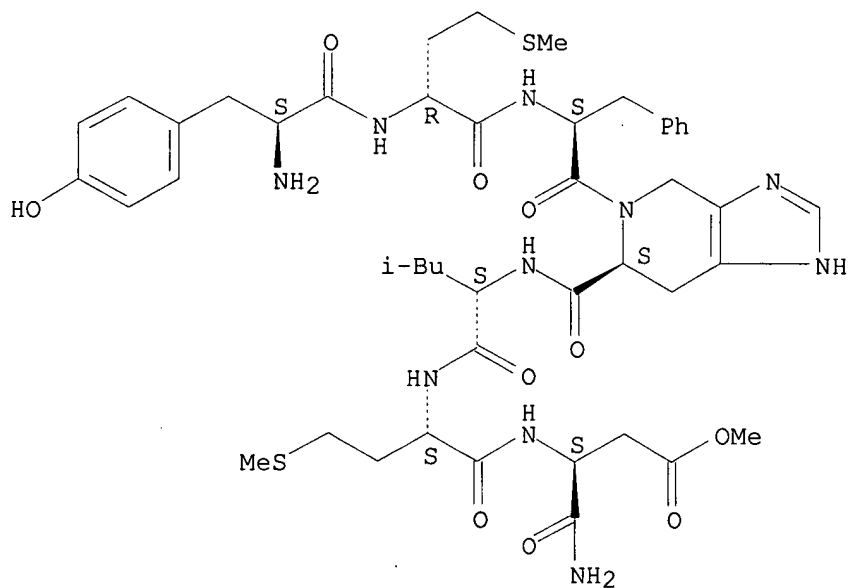
Absolute stereochemistry.



RN 163679-47-8 CAPLUS

CN Deltorpin A, 4-(L-4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine-6-carboxylic acid)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d bib abs hitstr 17

L49 ANSWER 17 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 1995:140935 CAPLUS

DN 122:887

TI Comparative effects of selective .kappa.-opioid receptor agonists on dopamine levels in the dorsal caudate of freely moving rats

AU Zaratin, Paola; Clarke, Geoffrey D.

CS Department of Biology, Smith Kline Beecham Farmaceutici, Via Zambelletti, 20021 Baranzate, Milan, Italy

SO Eur. J. Pharmacol. (1994), 264(2), 151-6

CODEN: EJPHAZ; ISSN: 0014-2999

DT Journal

LA English

AB Microdialysis was utilized to evaluate the effects of selective .kappa.-opioid receptor agonists on dopamine levels in the dorsal caudate of conscious rats. S.c. administration of equiv. antinociceptive doses of

spiradoline - (.+-.)-(5.alpha.,7.alpha.,8.beta.)-3,4-dichloro-N-methyl-N-[7-(1-pyrrolidinyl)-1-ox aspiro[4,5]dec-8-yl]benzeneacetamide - (U62066; 12 mg/kg), BRL 52656 - (2S)-1-[(4-trifluoromethylphenyl)acetyl]-2-[(1-pyrrolidinyl)methyl]piperidine - (2 mg/kg) and enadoline - (-)-(5.beta.,7.beta.,8.alpha.)-N-methyl-N-[7-(1-pyrrolidinyl)-1-oxaspiro[4,5]dec-8-yl]benzo[b]furan-4-acetamide - (CI-977; 0.1 mg/kg) produced similar, statistically significant decreases in dorsal caudate dopamine levels; BRL 53001 - (2S)-2-(dimethylaminomethyl)-1-[(5,6,7,8-tetrahydro-5-oxo-2-naphthyl)acetyl]piperidine - (12 mg/kg) was, however, without effect. At a higher dose (36 mg/kg), BRL 53001 also caused a significant redn. in dopamine levels. BRL 52974 - 4-(1-pyrrolidinylmethyl)-5-[(3,4-dichlorophenyl)acetyl]-4,5,6,7-tetrahydroimidazo[4,5-c]pyridine, a selective .kappa.-opioid receptor agonist with limited ability to cross the blood brain barrier or produce antinociceptive effects, had no effect on dopamine levels at 10 mg/kg

s.c.

Overall, these findings suggest that selective .kappa.-opioid receptor agonists decrease dopamine levels in the dorsal caudate of rats via a central locus of action. Furthermore, compared to other .kappa.-opioid receptor agonists, BRL 53001 appears to have a reduced propensity to decrease dopamine levels at equianalgesic doses.

IT 145544-79-2, BRL 52974

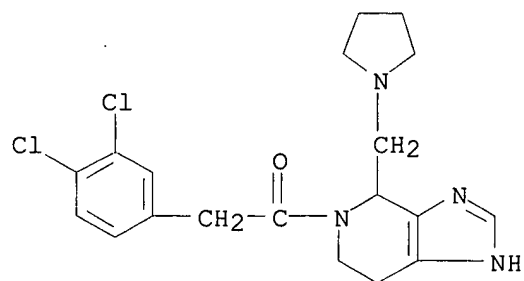
RL: BAC (Biological activity or effector, except adverse); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

(.kappa.-opioid receptor agonist effect on dopamine levels in dorsal caudate)

RN 145544-79-2 CAPLUS

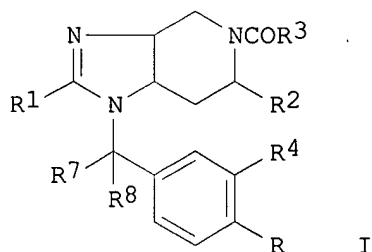
CN 1H-Imidazo[4,5-c]pyridine, 5-[(3,4-dichlorophenyl)acetyl]-4,5,6,7-tetrahydro-4-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)

same as before 2

=> d bib abs hitstr 18

L49 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1995:6850 CAPLUS
DN 122:56042
TI 4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine-6-carboxylic acid amide
derivatives as angiotensin II antagonists
IN Enari, Hiroyuki; Yanaka, Mikiro
PA Kureha Kagaku Kogyo K. K., Japan
SO Eur. Pat. Appl., 49 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 589665	A2	19940330	EP 1993-307445	19930921
	EP 589665	A3	19940622		
	EP 589665	B1	19991117		
	R: AT, BE, CH, DE, DK, FR, GB, IT, LI, NL				
	CA 2106323	AA	19940323	CA 1993-2106323	19930916
	US 5401736	A	19950328	US 1993-121493	19930916
	AT 186726	E	19991215	AT 1993-307445	19930921
	JP 06211853	A2	19940802	JP 1993-257466	19930922
PRAI	JP 1992-276688		19920922		
OS	MARPAT 122:56042				
GI					



AB The title compds. [I; R = amino, carboxy, (1H-tetrazol-5-yl)phenyl, carboxyphenyl, carboxybenzamido, (1H-tetrazol-5-yl)benzamido, carboxyphenylcarbamoyl, (1H-tetrazol-5-yl)-phenylcarbamoyl; R1 = hydrogen, halogen, C1-C6 alkyl, C3-C6 alkenyl, C3-C6 alkynyl, etc; R2 = carbamoyl, mono- or di-C1-C6 alkylcarbamoyl, 4- to 6-membered heterocyclic carbamoyl; R3 = CH2Ph, -CH(Ph)2, -CH(Ph)CH3, -CH(Ph)(C6H11), -CH2CH2Ph, etc.; R4, R7, R8 = hydrogen, C1-C6 alkyl], are prepd. and are demonstrated to have angiotensin II receptor antagonist activity.

IT 159933-00-3P 159933-02-5P 159933-03-6P
159933-04-7P 159933-05-8P 159933-83-2P
159933-84-3P 159933-85-4P 159933-86-5P

Searched by John Dantzman 703-308-4488

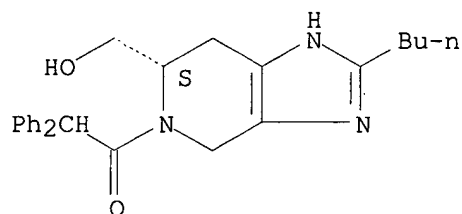
159933-87-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of
tetrahydroimidazopyridinecarbox-

RN 159933-00-3 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-methanol, 2-butyl-5-(diphenylacetyl)-4,5,6,7-tetrahydro-, (S)- (9CI) (CA INDEX NAME)

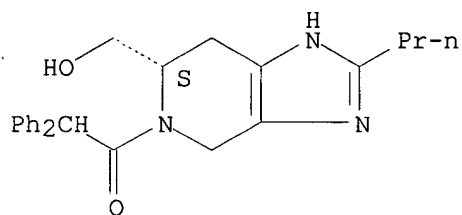
Absolute stereochemistry.



RN 159933-02-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-methanol, 5-(diphenylacetyl)-4,5,6,7-tetrahydro-2-propyl-, (S)- (9CI) (CA INDEX NAME)

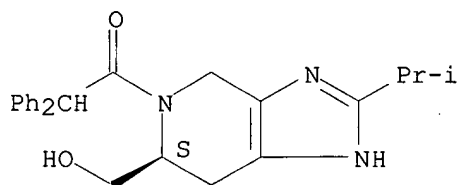
Absolute stereochemistry.



RN 159933-03-6 CAPLUS

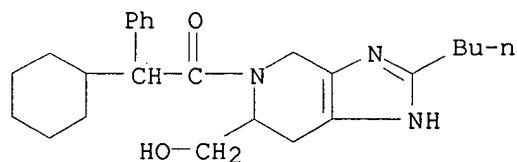
CN 1H-Imidazo[4,5-c]pyridine-6-methanol, 5-(diphenylacetyl)-4,5,6,7-tetrahydro-2-(1-methylethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159933-04-7 CAPLUS

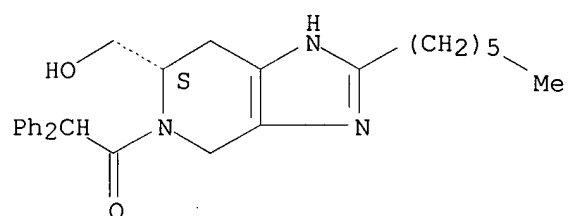
CN 1H-Imidazo[4,5-c]pyridine-6-methanol, 2-butyl-5-(cyclohexylphenylacetyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



RN 159933-05-8 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-methanol, 5-(diphenylacetyl)-2-hexyl-4,5,6,7-tetrahydro-, (S)- (9CI) (CA INDEX NAME)

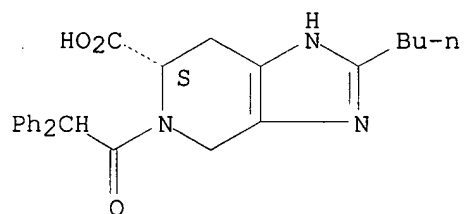
Absolute stereochemistry.



RN 159933-83-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 2-butyl-5-(diphenylacetyl)-4,5,6,7-tetrahydro-, (S)- (9CI) (CA INDEX NAME)

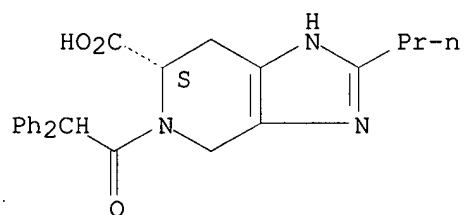
Absolute stereochemistry.



RN 159933-84-3 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-(diphenylacetyl)-4,5,6,7-tetrahydro-2-propyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

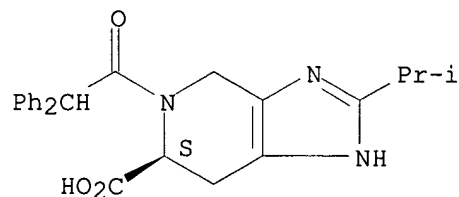


RN 159933-85-4 CAPLUS

Searched by John Dantzman 703-308-4488

CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-(diphenylacetyl)-4,5,6,7-tetrahydro-2-(1-methylethyl)-, (S)- (9CI) (CA INDEX NAME)

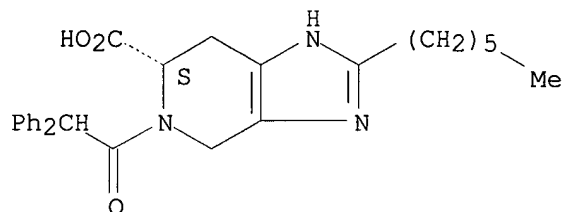
Absolute stereochemistry.



RN 159933-86-5 CAPLUS

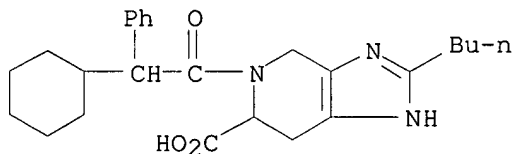
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-(diphenylacetyl)-2-hexyl-4,5,6,7-tetrahydro-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159933-87-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 2-butyl-5-(cyclohexylphenylacetyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



=> d bib abs hitstr 19

149 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 1994:525820 CAPLUS

DN 121:125820

TI Contribution of Alpha-2 adrenoceptors to Kappa opioid agonist-induced water diuresis in the rat

AU Wang, Yi-Xin; Clarke, Geoffrey D.; Sbacchi, Massimo; Petrone, Giuseppe; Brooks, David P.

CS Dep. Renal Pharmacology, SmithKline Beecham Pharmaceuticals, King Prussia, PA, USA

SO J. Pharmacol. Exp. Ther. (1994), 270(1), 244-9

CODEN: JPETAB; ISSN: 0022-3565

DT Journal

LA English

AB Clearance studies in rats using .kappa. opioid agonists have demonstrated that agonists that can cross the blood-brain barrier are more potent water

diuretics than agonists which have limited access to the brain. The mechanism of .kappa. agonist-induced water diuresis is unclear but may involve inhibition of vasopressin secretion and/or an adrenomedullary factor. In the present study the effect of an .alpha.-2 adrenoceptor antagonist (yohimbine, 10 .mu.g/kg. min i.v.) on .kappa. agonist-induced water diuresis was evaluated in conscious chronically instrumented rats. BRL 53117 {1-[(3,4-dichlorophenyl)acetyl]-2-[(3-hydroxy-1-pyrrolidinyl)methyl]4,4-dimethyl piperidine}, a .kappa. agonist that can cross the blood-brain barrier, caused a dose-dependent (1-100 .mu.g/kg) water diuresis which was attenuated by Yohimbine. The ED to cause a free water clearance of zero for BRL 53117 was 13 .mu.g/kg in vehicle-treated rats and 37 .mu.g/kg in yohimbine-treated rats. BRL 52974

{5-[(3,4-dichlorophenyl)acetyl]4-(1-pyrrolidinylmethyl)-4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine}, a compd. with limited ability to cross the blood-brain barrier, also caused a dose-dependent water diuresis, albeit at higher doses (30-3000 .mu.g/kg), and thus a higher ED to cause a free water clearance of zero (129 .mu.g/kg); however, the effect was abolished by yohimbine. The data suggest that kappa agonists cause a water

diuresis

by both a central mechanism involving inhibition of vasopressin secretion and a peripheral mechanism involving stimulation of renal .alpha.-2 receptors.

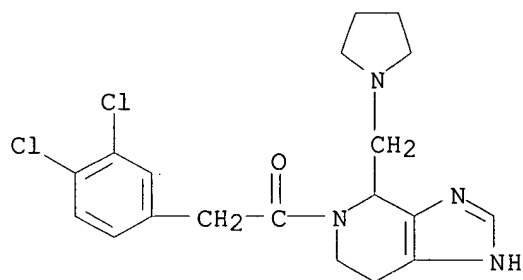
IT 145544-79-2, BRL 52974

RL: BIOL (Biological study)

(diuresis induction by, vasopressin secretion inhibition and kidney .alpha.-2 adrenoceptors in mechanism for)

RN 145544-79-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine, 5-[(3,4-dichlorophenyl)acetyl]-4,5,6,7-tetrahydro-4-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)

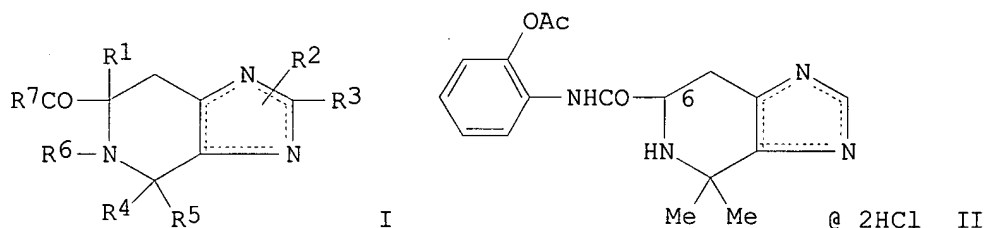


same as 17

=> d bib abs hitstr 20

~~149~~ ANSWER 20 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1994:270386 CAPLUS
DN 120:270386
TI 4,5,6,7-Tetrahydroimidazo[4,5-c]pyridine-6-carboxylic acid derivative
antiemetics
IN Huang, Bao Shan; Feng, Danging D.; Gall, Martin; Evans, Suzanne M.;
Paradkar, Vidyadhar M.; Nair, Raghunathan V.; Latham, Tamara B.
PA Anaquest, Inc., USA
SO U.S., 14 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5262537	A	19931116	US 1993-33522	19930319
OS	MARPAT 120:270386				
GI					



AB The title compds. [I; R1, R2 = H, lower alkyl; R3 = H, lower alkyl, NO₂NH₂CN, alkylmercapto; R4 R5 = H, (un)substituted lower alkyl, aryl; R6 = H, (un)substituted lower alkyl, CHO, arylcarbonyl, etc.; R7 = Ph, thienyl, indolyl, indazolyl, benzo[b]furanyl, benzo[b]thiophenyl, etc.; R4R5 may form a 5- or 6- member satd. hydrocarbon ring], which are selective antagonists of the serotonin 5-HT₃ receptor with little of no

D2 receptor antagonist activity, useful as antiemetics for treating nausea and vomiting, are prepd. Thus, a title compd., II (having an S configuration at the 6th position) was prepd. in 22% yield (m.p. 210.degree.) and demonstrated 100% inhibition. of cisplatin-induced ferret

vomiting at a 0.1 mg/kg, vs. 17% for metaclopramide. II also demonstrated

50% inhibitory concn. in rat brain-derived serotonin 5-HT₃ receptors of 158.21 nM, vs. 514.00 nM for metaclopramide.

IT 154056-46-9 154056-57-2 154056-68-5

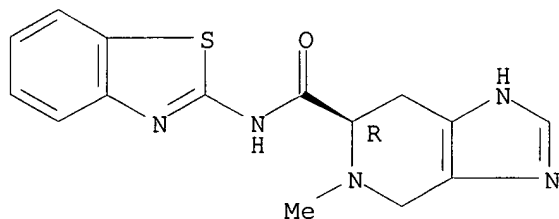
RL: RCT (Reactant)
(antiemetic activity)

RN 154056-46-9 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-2-benzothiazolyl-4,5,6,7-tetrahydro-5-methyl-, (R)- (9CI) (CA INDEX NAME)

Searched by John Dantzman 703-308-4488

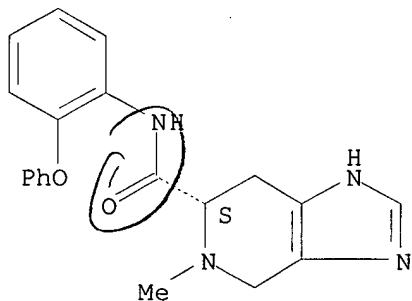
Absolute stereochemistry.



RN 154056-57-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide,
4,5,6,7-tetrahydro-5-methyl-N-(2-
phenoxyphenyl)-, (S)- (9CI) (CA INDEX NAME)

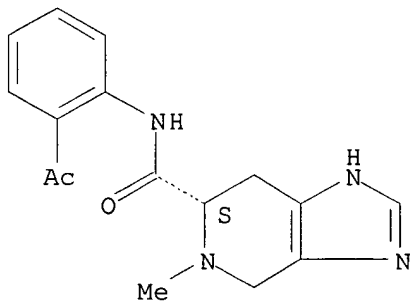
Absolute stereochemistry.



RN 154056-68-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-acetylphenyl)-4,5,6,7-
tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 154055-85-3P 154055-86-4P 154055-87-5P

154055-88-6P 154055-89-7P 154055-90-0P

154055-91-1P 154055-93-3P 154055-94-4P

154055-95-5P 154055-96-6P 154055-97-7P

154055-99-9P 154056-00-5P 154056-01-6P

Searched by John Dantzman 703-308-4488

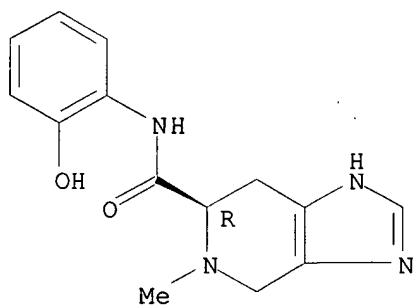
154056-02-7P 154056-04-9P 154056-05-0P
154056-06-1P 154056-07-2P 154056-08-3P
154056-09-4P 154056-10-7P 154056-11-8P
154056-12-9P 154056-13-0P 154056-14-1P
154056-15-2P 154056-16-3P 154056-17-4P
154056-18-5P 154056-19-6P 154056-20-9P
154056-21-0P 154056-22-1P 154056-23-2P
154056-24-3P 154056-25-4P 154056-26-5P
154056-27-6P 154056-28-7P 154056-29-8P
154056-30-1P 154056-31-2P 154056-34-5P
154056-35-6P 154056-36-7P 154056-37-8P
154056-38-9P 154056-39-0P 154056-40-3P
154056-42-5P 154056-43-6P 154056-44-7P
154056-45-8P 154056-46-9P 154056-48-1P
154056-49-2P 154056-50-5P 154056-51-6P
154056-52-7P 154056-53-8P 154056-54-9P
154056-55-0P 154056-56-1P 154056-57-2P
154056-58-3P 154056-59-4P 154056-60-7P
154056-61-8P 154056-62-9P 154056-63-0P
154056-64-1P 154056-65-2P 154056-66-3P
154056-67-4P 154056-68-5P 154056-69-6P
154056-70-9P 154056-71-0P 154056-72-1P
154056-73-2P 154056-74-3P 154056-75-4P
154056-82-3P 154056-83-4P 154056-84-5P
154056-85-6P 154056-86-7P 154056-87-8P
154056-88-9P 154056-89-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antiemetic activity)

RN 154055-85-3 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-hydroxyphenyl)-5-methyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



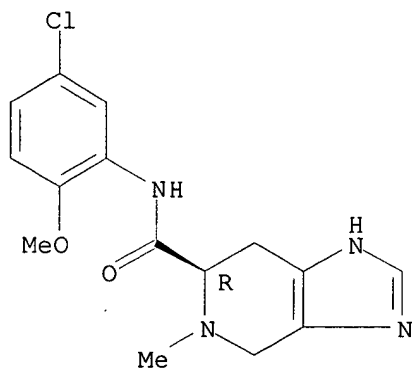
● 2 HCl

RN 154055-86-4 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(5-chloro-2-methoxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Searched by John Dantzman 703-308-4488

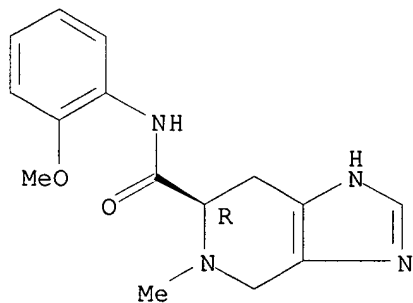


● 2 HCl

RN 154055-87-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-methoxyphenyl)-5-methyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

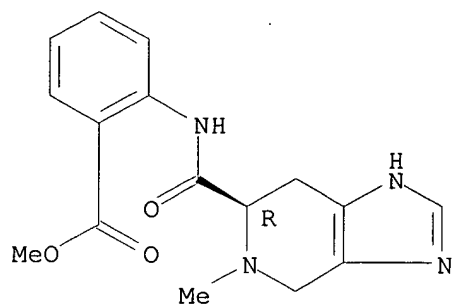


● 2 HCl

RN 154055-88-6 CAPLUS

CN Benzoic acid, 2-[[(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)carbonyl]amino]-, methyl ester, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

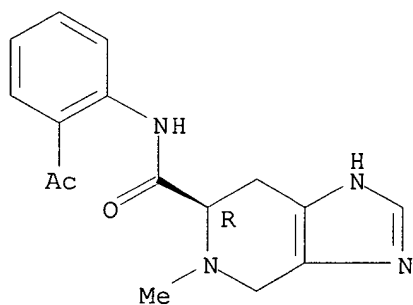


● 2 HCl

RN 154055-89-7 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-acetylphenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

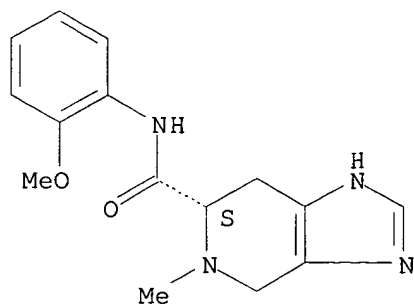


● 2 HCl

RN 154055-90-0 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-methoxyphenyl)-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

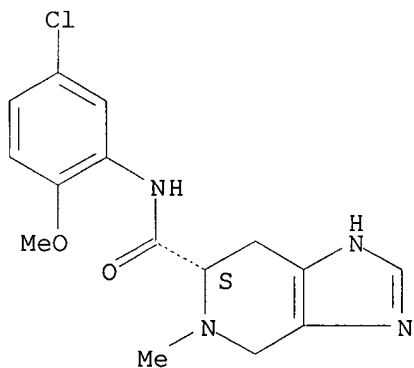
Absolute stereochemistry.



● 2 HCl

RN 154055-91-1 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(5-chloro-2-methoxyphenyl)-
4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX
NAME)

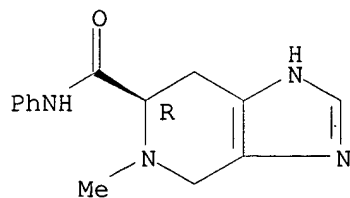
Absolute stereochemistry.



● 2 HCl

RN 154055-93-3 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-
phenyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

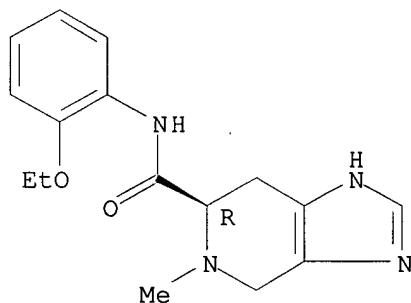


● 2 HCl

RN 154055-94-4 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-ethoxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

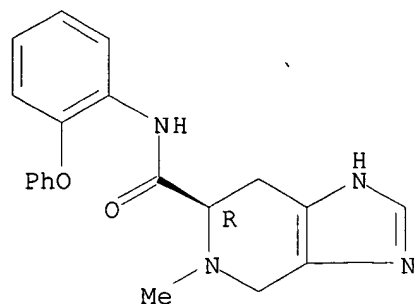


● 2 HCl

RN 154055-95-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-(2-phenoxyphenyl)-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

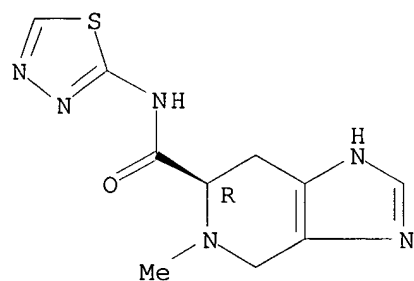


● 2 HCl

RN 154055-96-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-1,3,4-thiadiazol-2-yl-, trihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

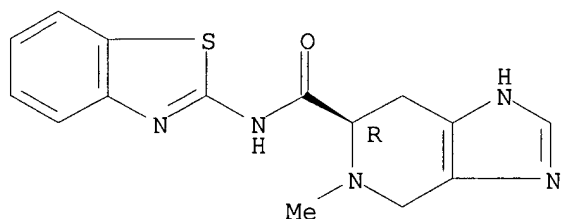


● 3 HCl

RN 154055-97-7 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-2-benzothiazolyl-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

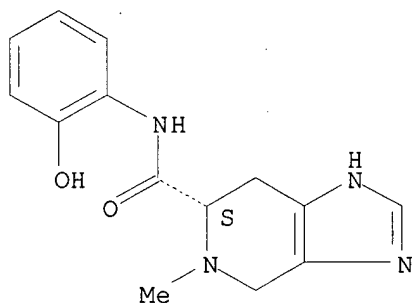


● 2 HCl

RN 154055-99-9 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-hydroxyphenyl)-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

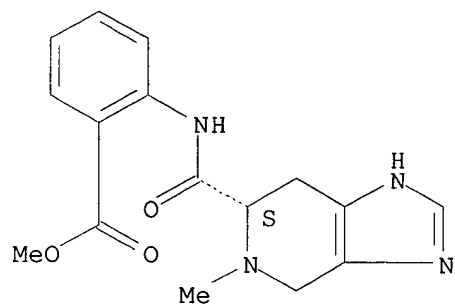


● 2 HCl

RN 154056-00-5 CAPLUS

CN Benzoic acid, 2-[[(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)carbonyl]amino]-, methyl ester, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

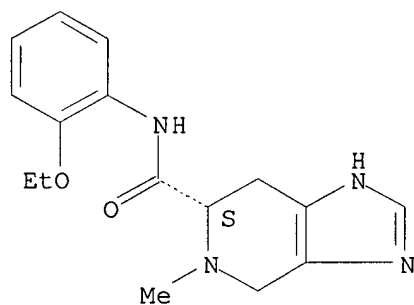


● 2 HCl

RN 154056-01-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-ethoxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

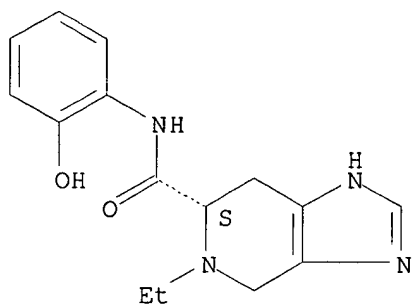


● 2 HCl

RN 154056-02-7 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 5-ethyl-4,5,6,7-tetrahydro-N-(2-hydroxyphenyl)-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

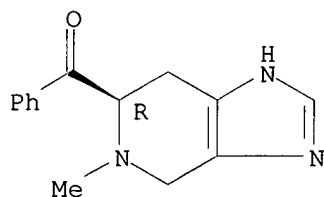
Absolute stereochemistry.



● 2 HCl

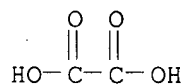
RN 154056-04-9 CAPLUS
 CN Methanone, phenyl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, (R)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)
 CM 1
 CRN 154056-03-8
 CMF C14 H15 N3 O
 CDES 1:R

Absolute stereochemistry.



CM 2

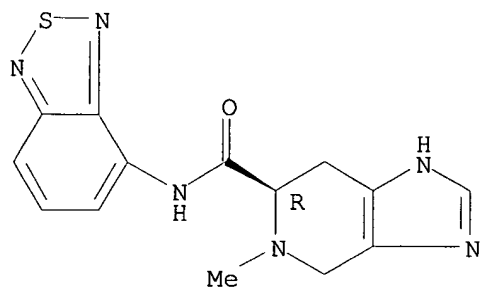
CRN 144-62-7
 CMF C2 H2 O4



RN 154056-05-0 CAPLUS
 CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-2,1,3-benzothiadiazol-4-yl-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Searched by John Dantzman 703-308-4488

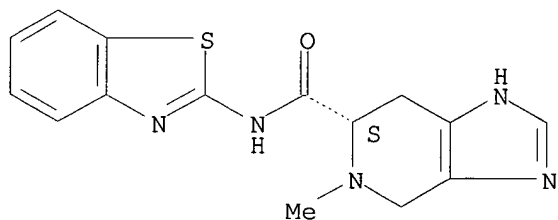


● 2 HCl

RN 154056-06-1 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-2-benzothiazolyl-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

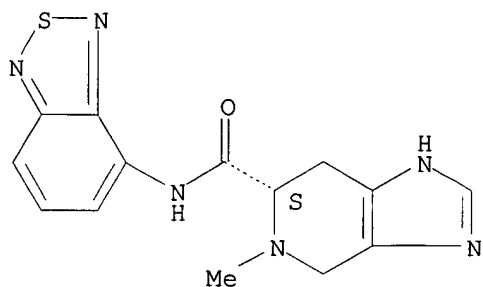


● 2 HCl

RN 154056-07-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-2,1,3-benzothiadiazol-4-yl-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

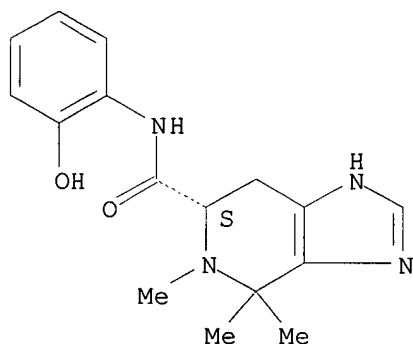


● 2 HCl

RN 154056-08-3 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-hydroxyphenyl)-4,4,5-trimethyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

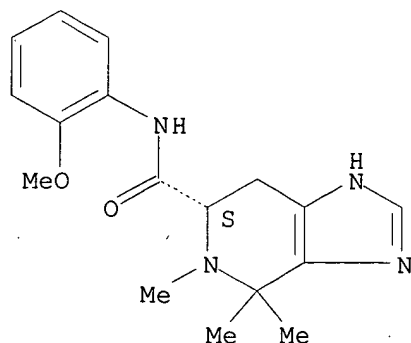


● 2 HCl

RN 154056-09-4 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-methoxyphenyl)-4,4,5-trimethyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

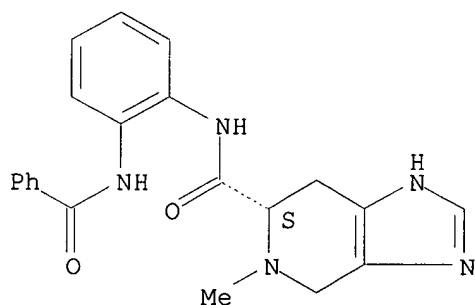


● 2 HCl

RN 154056-10-7 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-[2-(benzoylamino)phenyl]-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

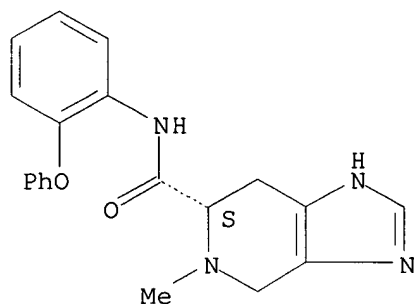


● 2 HCl

RN 154056-11-8 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-(2-phenoxyphenyl)-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

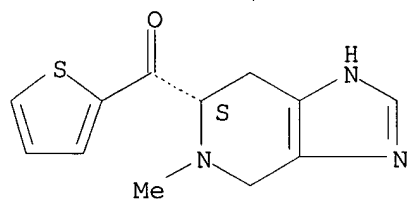


● 2 HCl

RN 154056-12-9 CAPLUS

CN Methanone, (4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-2-thienyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

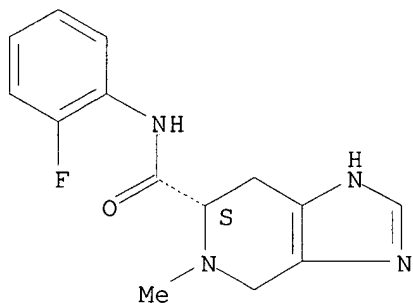


● 2 HCl

RN 154056-13-0 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-fluorophenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

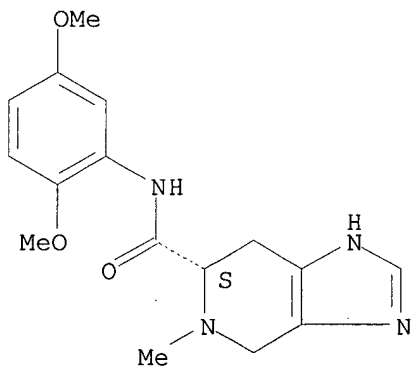


● 2 HCl

RN 154056-14-1 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2,5-dimethoxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

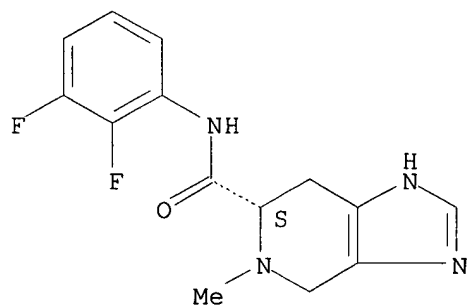


● 2 HCl

RN 154056-15-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2,3-difluorophenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

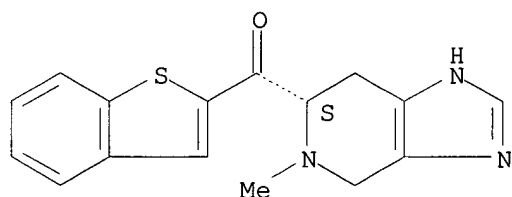


● 2 HCl

RN 154056-16-3 CAPLUS

CN Methanone, benzo[b]thien-2-yl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

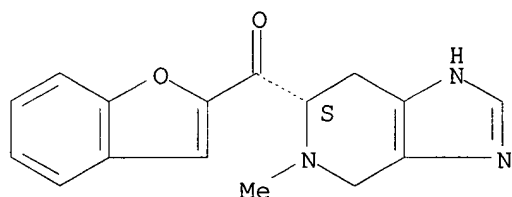


● 2 HCl

RN 154056-17-4 CAPLUS

CN Methanone, 2-benzofuranyl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



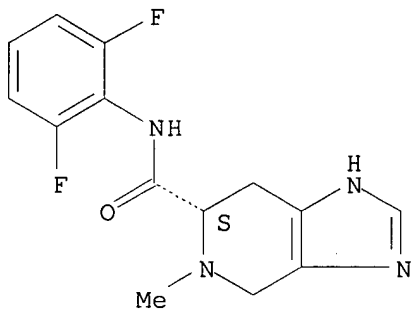
● 2 HCl

RN 154056-18-5 CAPLUS

Searched by John Dantzman 703-308-4488

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2,6-difluorophenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

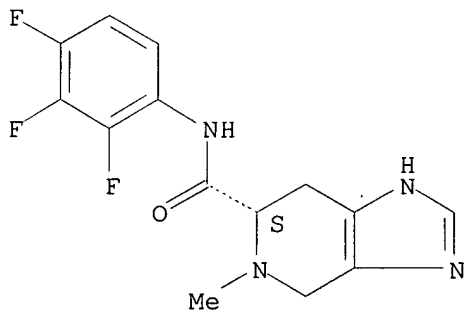


● 2 HCl

RN 154056-19-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-(2,3,4-trifluorophenyl)-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

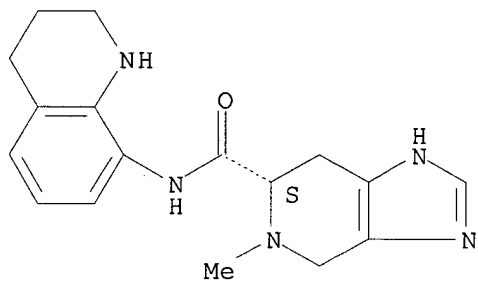


● 2 HCl

RN 154056-20-9 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-(1,2,3,4-tetrahydro-8-quinolinyl)-, trihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

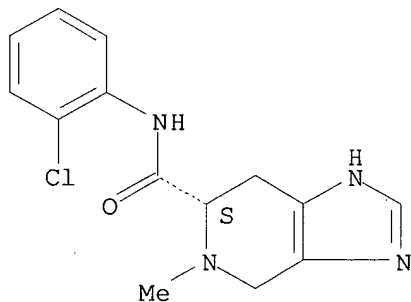


● 3 HCl

RN 154056-21-0 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-chlorophenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

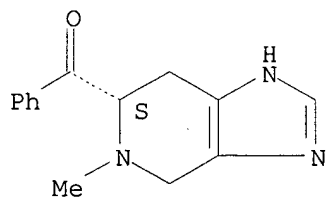


● 2 HCl

RN 154056-22-1 CAPLUS

CN Methanone, phenyl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 154056-23-2 CAPLUS

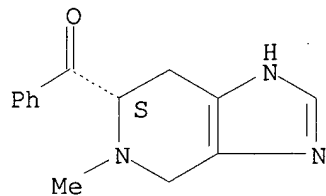
CN Methanone, phenyl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, (S)- (9CI) (CA INDEX NAME)
Searched by John Dantzman 703-308-4488

yl)-, (S)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

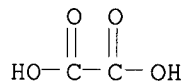
CRN 154056-22-1
CMF C14 H15 N3 O
CDES 1:S

Absolute stereochemistry.



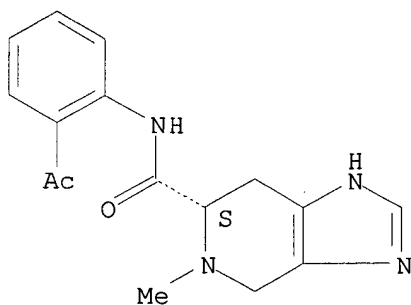
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 154056-24-3 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-acetylphenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

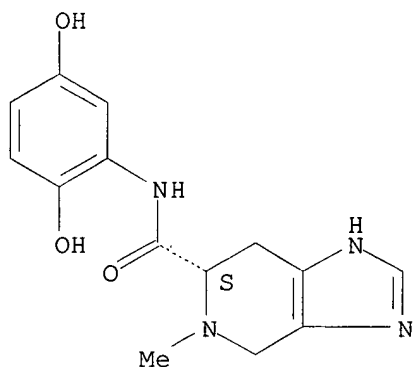


● 2 HCl

RN 154056-25-4 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2,5-dihydroxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrobromide, (S)- (9CI) (CA INDEX NAME)

Searched by John Dantzman 703-308-4488

Absolute stereochemistry.

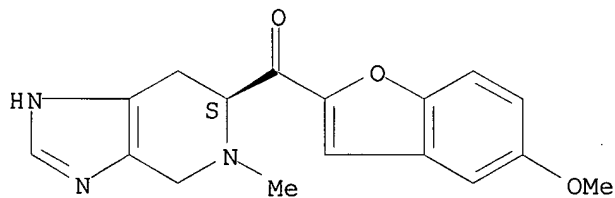


● 2 HBr

RN 154056-26-5 CAPLUS

CN Methanone, (5-methoxy-2-benzofuranyl) (4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

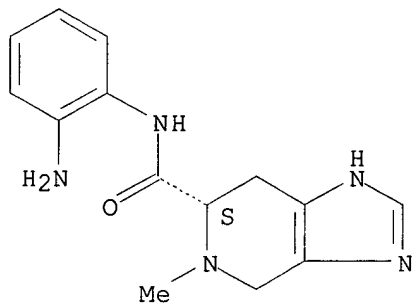


● 2 HCl

RN 154056-27-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-aminophenyl)-4,5,6,7-tetrahydro-5-methyl-, trihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

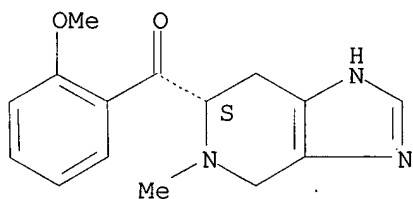


● 3 HCl

RN 154056-28-7 CAPLUS

CN Methanone, (2-methoxyphenyl) (4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

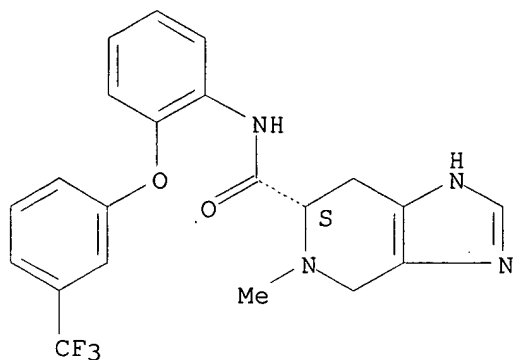


● 2 HCl

RN 154056-29-8 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-[2-[3-(trifluoromethyl)phenoxy]phenyl]-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

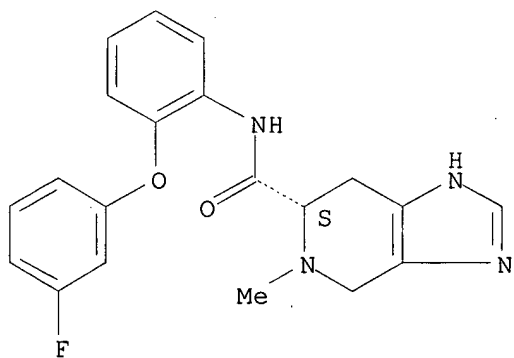


● 2 HCl

RN 154056-30-1 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-[2-(3-fluorophenoxy)phenyl]-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

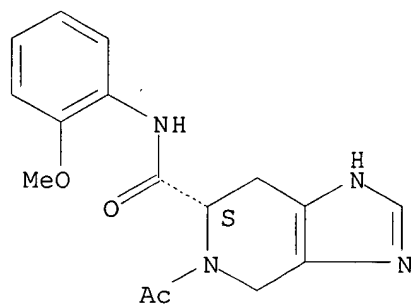


● 2 HCl

RN 154056-31-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 5-acetyl-4,5,6,7-tetrahydro-N-(2-methoxyphenyl)-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

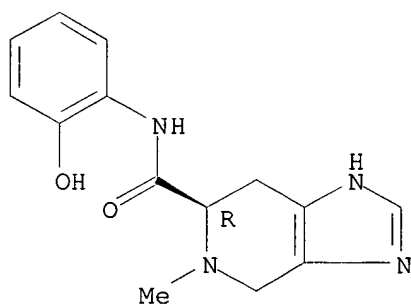


● 2 HCl

RN 154056-34-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-hydroxyphenyl)-5-methyl-, (R)- (9CI) (CA INDEX NAME)

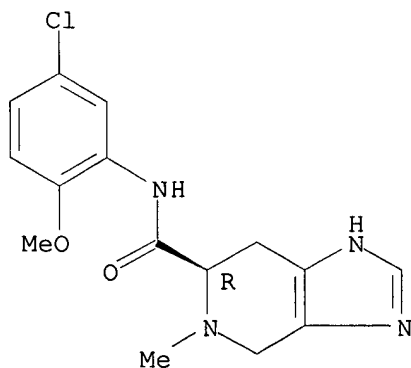
Absolute stereochemistry.



RN 154056-35-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(5-chloro-2-methoxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

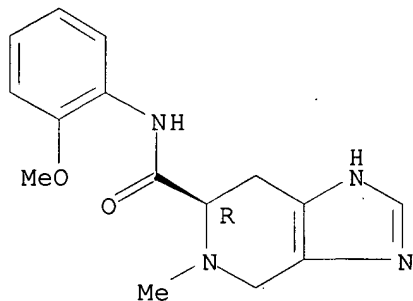


Searched by John Dantzman 703-308-4488

RN 154056-36-7 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-methoxyphenyl)-5-methyl-, (R)- (9CI) (CA INDEX NAME)

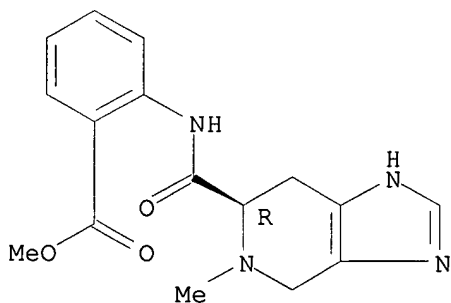
Absolute stereochemistry.



RN 154056-37-8 CAPLUS

CN Benzoic acid, 2-[[[(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)carbonyl]amino]-, methyl ester, (R)- (9CI) (CA INDEX NAME)

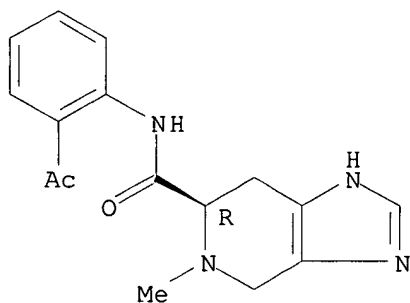
Absolute stereochemistry.



RN 154056-38-9 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-acetylphenyl)-4,5,6,7-tetrahydro-5-methyl-, (R)- (9CI) (CA INDEX NAME)

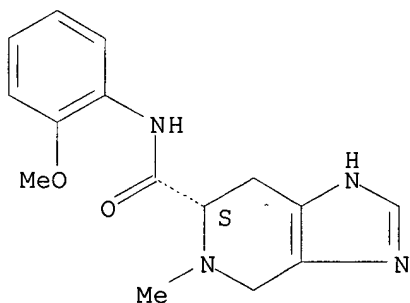
Absolute stereochemistry.



RN 154056-39-0 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-methoxyphenyl)-5-methyl-, (S)- (9CI) (CA INDEX NAME)

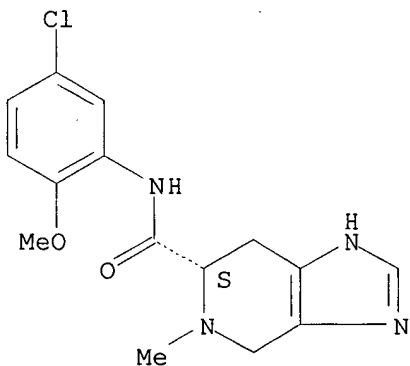
Absolute stereochemistry.



RN 154056-40-3 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(5-chloro-2-methoxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

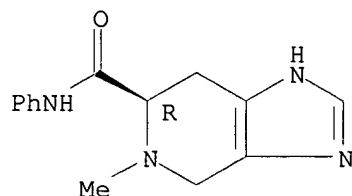


RN 154056-42-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-phenyl-, (R)- (9CI) (CA INDEX NAME)

Searched by John Dantzman 703-308-4488

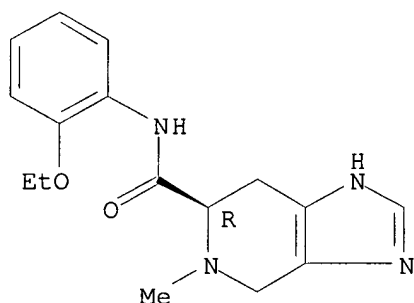
Absolute stereochemistry.



RN 154056-43-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-ethoxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, (R)- (9CI) (CA INDEX NAME)

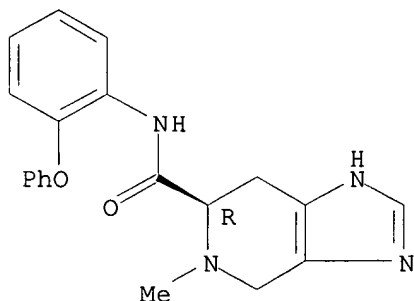
Absolute stereochemistry.



RN 154056-44-7 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-(2-phenoxyphenyl)-, (R)- (9CI) (CA INDEX NAME)

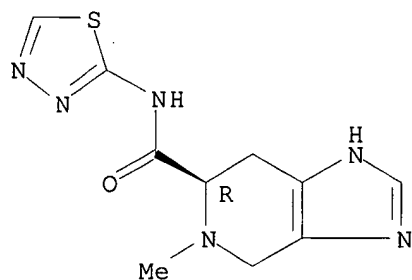
Absolute stereochemistry.



RN 154056-45-8 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-1,3,4-thiadiazol-2-yl-, (R)- (9CI) (CA INDEX NAME)

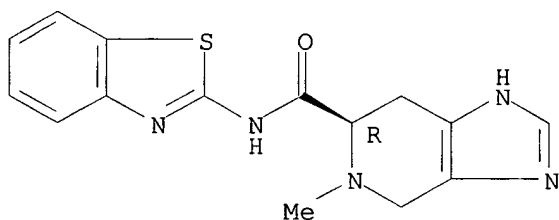
Absolute stereochemistry.



RN 154056-46-9 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-2-benzothiazolyl-4,5,6,7-tetrahydro-5-methyl-, (R)- (9CI) (CA INDEX NAME)

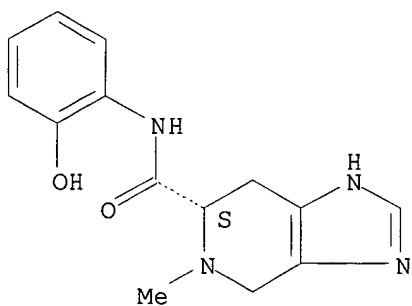
Absolute stereochemistry.



RN 154056-48-1 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-hydroxyphenyl)-5-methyl-, (S)- (9CI) (CA INDEX NAME)

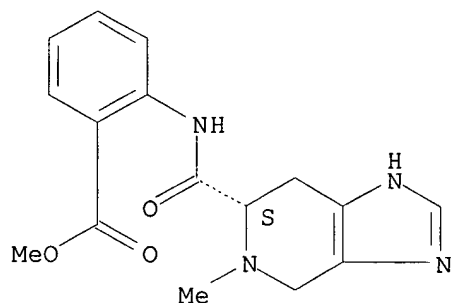
Absolute stereochemistry.



RN 154056-49-2 CAPLUS

CN Benzoic acid,
2-[[[(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)carbonyl]amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

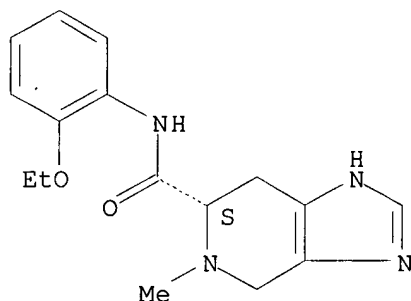
Absolute stereochemistry.



RN 154056-50-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-ethoxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

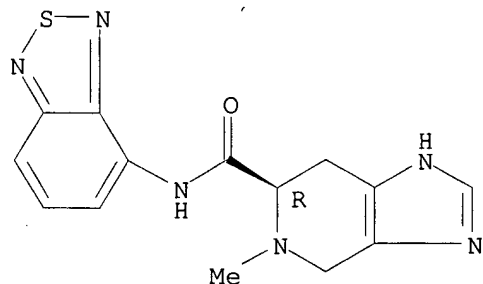
Absolute stereochemistry.



RN 154056-51-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-2,1,3-benzothiadiazol-4-yl-4,5,6,7-tetrahydro-5-methyl-, (R)- (9CI) (CA INDEX NAME)

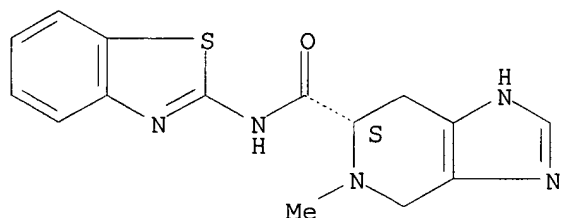
Absolute stereochemistry.



RN 154056-52-7 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-2-benzothiazolyl-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

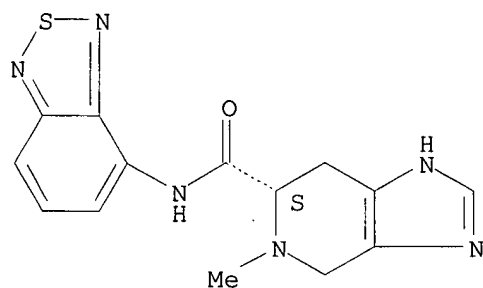
Absolute stereochemistry.



RN 154056-53-8 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-2,1,3-benzothiadiazol-4-yl-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

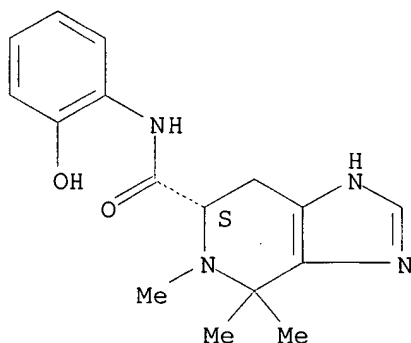
Absolute stereochemistry.



RN 154056-54-9 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-hydroxyphenyl)-4,4,5-trimethyl-, (S)- (9CI) (CA INDEX NAME)

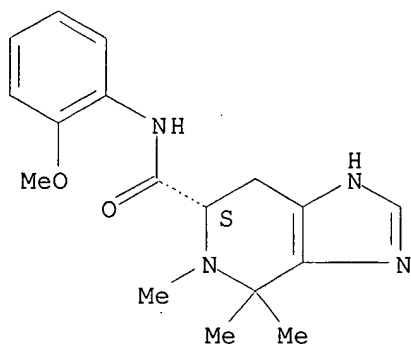
Absolute stereochemistry.



RN 154056-55-0 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-methoxyphenyl)-4,4,5-trimethyl-, (S)- (9CI) (CA INDEX NAME)

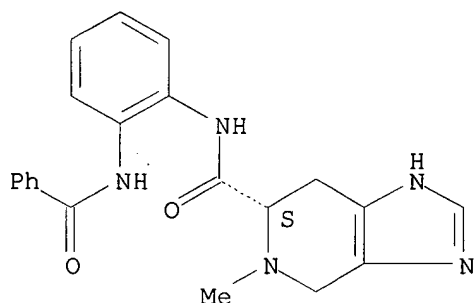
Absolute stereochemistry.



RN 154056-56-1 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-[2-(benzoylamino)phenyl]-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

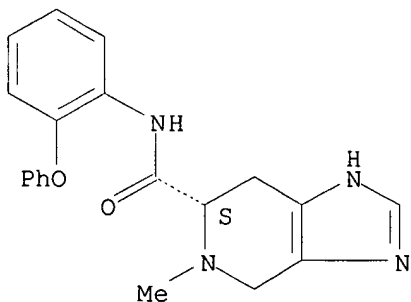
Absolute stereochemistry.



RN 154056-57-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-(2-phenoxyphenyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

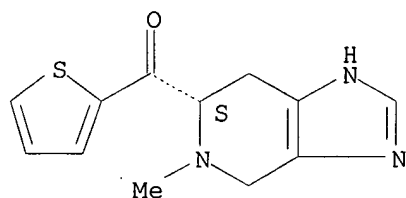


RN 154056-58-3 CAPLUS

CN Methanone, (4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-2-thienyl-, (S)- (9CI) (CA INDEX NAME)

Searched by John Dantzman 703-308-4488

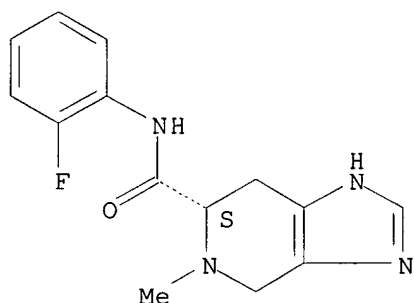
Absolute stereochemistry.



RN 154056-59-4 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-fluorophenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

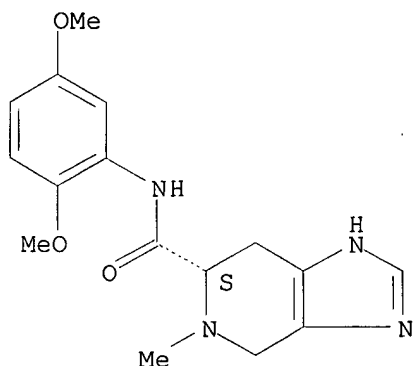
Absolute stereochemistry.



RN 154056-60-7 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2,5-dimethoxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

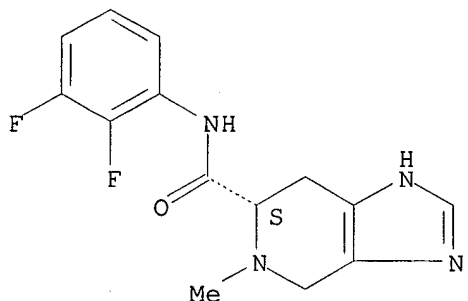


RN 154056-61-8 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2,3-difluorophenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

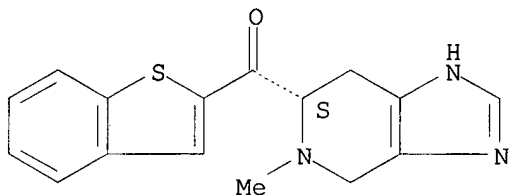
Searched by John Dantzman 703-308-4488



RN 154056-62-9 CAPLUS

CN Methanone, benzo[b]thien-2-yl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, (S)- (9CI) (CA INDEX NAME)

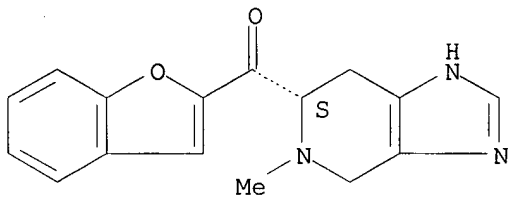
Absolute stereochemistry.



RN 154056-63-0 CAPLUS

CN Methanone, 2-benzofuranyl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, (S)- (9CI) (CA INDEX NAME)

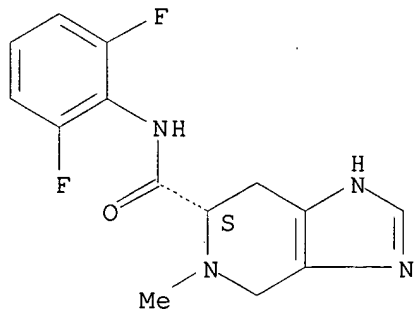
Absolute stereochemistry.



RN 154056-64-1 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2,6-difluorophenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

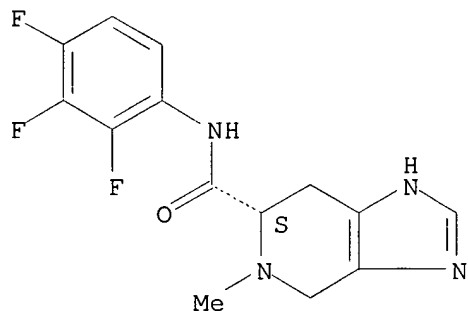
Absolute stereochemistry.



RN 154056-65-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-(2,3,4-trifluorophenyl)-, (S)- (9CI) (CA INDEX NAME)

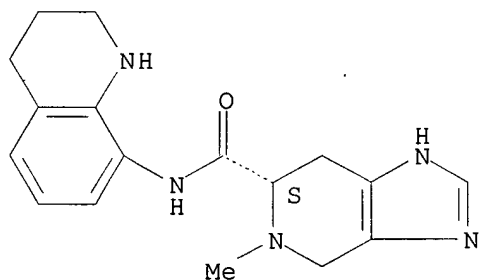
Absolute stereochemistry.



RN 154056-66-3 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-(1,2,3,4-tetrahydro-8-quinolinyl)-, (S)- (9CI) (CA INDEX NAME)

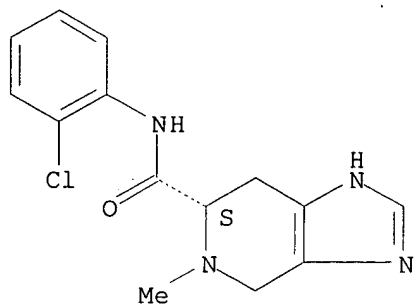
Absolute stereochemistry.



RN 154056-67-4 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-chlorophenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

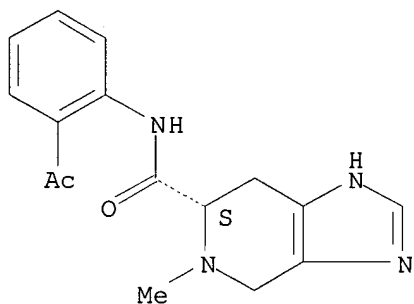
Absolute stereochemistry.



RN 154056-68-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-acetylphenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

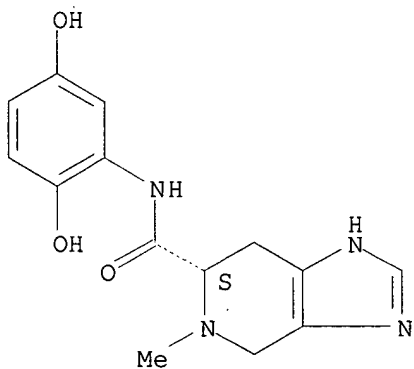
Absolute stereochemistry.



RN 154056-69-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2,5-dihydroxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

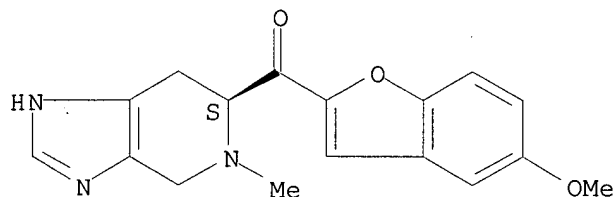
Absolute stereochemistry.



RN 154056-70-9 CAPLUS

CN Methanone, (5-methoxy-2-benzofuranyl)(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, (S)- (9CI) (CA INDEX NAME)

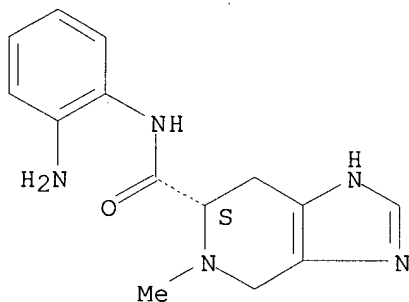
Absolute stereochemistry.



RN 154056-71-0 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-aminophenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

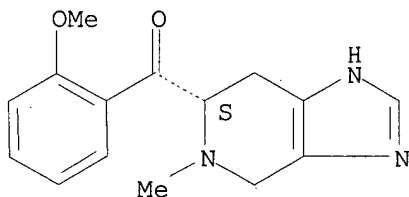
Absolute stereochemistry.



RN 154056-72-1 CAPLUS

CN Methanone, (2-methoxyphenyl)(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, (S)- (9CI) (CA INDEX NAME)

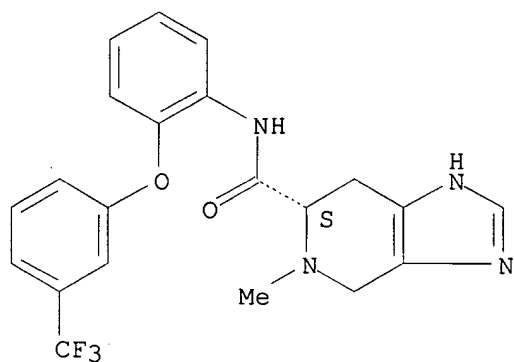
Absolute stereochemistry.



RN 154056-73-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-[2-[3-(trifluoromethyl)phenoxy]phenyl]-, (S)- (9CI) (CA INDEX NAME)

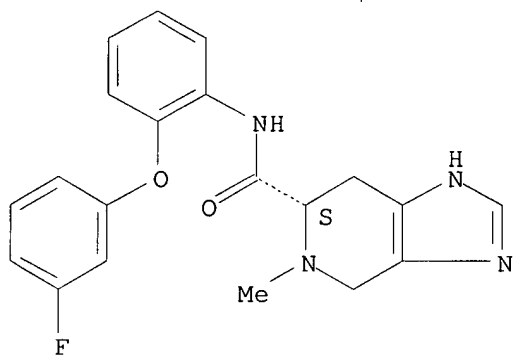
Absolute stereochemistry.



RN 154056-74-3 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-[2-(3-fluorophenoxy)phenyl]-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

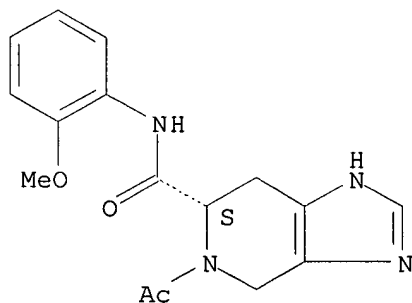
Absolute stereochemistry.



RN 154056-75-4 CAPLUS

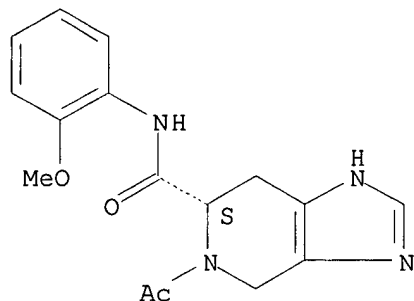
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 5-acetyl-4,5,6,7-tetrahydro-N-(2-methoxyphenyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 154056-82-3 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide,
5-acetyl-4,5,6,7-tetrahydro-N-(2-
methoxyphenyl)-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

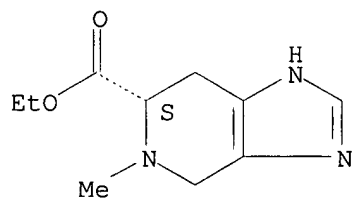
Absolute stereochemistry.



● HCl

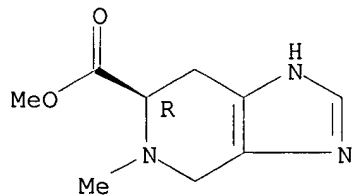
RN 154056-83-4 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid,
4,5,6,7-tetrahydro-5-methyl-,
ethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



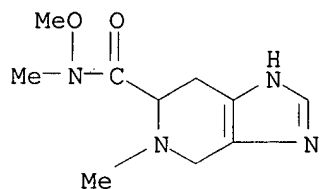
RN 154056-84-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid,
4,5,6,7-tetrahydro-5-methyl-,
methyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



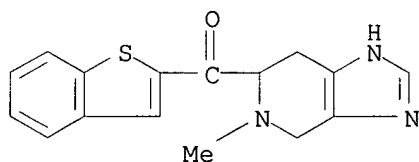
RN 154056-85-6 CAPLUS
Searched by John Dantzman 703-308-4488

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide,
4,5,6,7-tetrahydro-N-methoxy-N,5-
dimethyl- (9CI) (CA INDEX NAME)



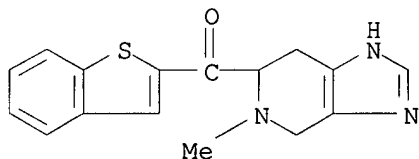
RN 154056-86-7 CAPLUS

CN Methanone, benzo[b]thien-2-yl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-
c]pyridin-6-yl)- (9CI) (CA INDEX NAME)



RN 154056-87-8 CAPLUS

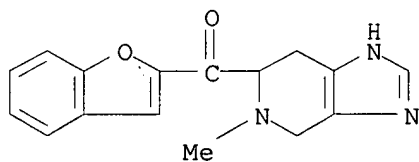
CN Methanone, benzo[b]thien-2-yl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-
c]pyridin-6-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

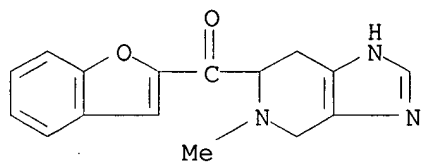
RN 154056-88-9 CAPLUS

CN Methanone, 2-benzofuranyl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-
c]pyridin-6-yl)- (9CI) (CA INDEX NAME)



RN 154056-89-0 CAPLUS

CN Methanone, 2-benzofuranyl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

LIU

09/548081

Page 1

=> d bib abs hitstr 21

~~L/9~~ ANSWER 21 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 1994:218553 CAPLUS

DN 120:218553

TI Preparation of endothelin receptor-binding peptides

IN Coy, David H.; Hocart, Simon J.; Rossowski, Wojciech J.

PA Tulane Educational Fund, USA

SO PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DT Patent

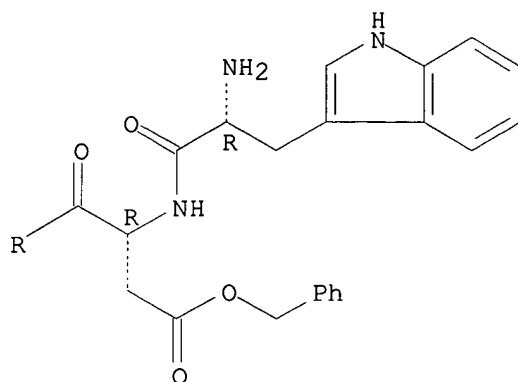
LA English

FAN.CNT 1

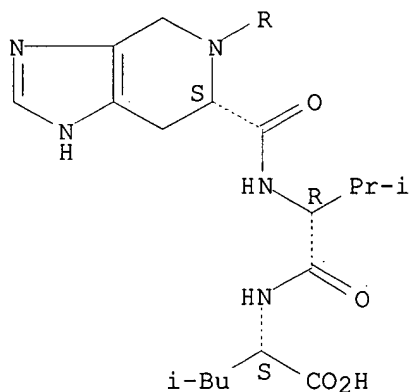
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9317701	A1	19930916	WO 1993-US2195	19930310
	W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	AU 9338021	A1	19931005	AU 1993-38021	19930310
PRAI	US 1992-849876		19920312		
	WO 1993-US2195		19930310		
OS	MARPAT 120:218553				
AB	Cyclo(D-Trp-D-Asp-X-D-Val-Leu) (I; X = .alpha.-amino acid residue with a conformationally restricted C4-16 side chain), and related compds., were prepd. Thus, BOC-D-Trp(OBzl)-D-Ser-Pro-D-Val-Leu-O-Merrifield resin (prepn. given) was stirred with CF3CO2H/anisole/dithiothreitol to give a crude peptide which was stirred with BOP reagent/diisopropylethylamine in DMF to give cyclo(D-Trp-D-Ser-Pro-D-Val-Leu). I (X = 1,2,3,4-tetrahydrocarboline-3-carboxylate residue) showed IC50 = 16.2 nM for binding to endothelin receptors and inhibited endothelin-induced uterine contractions with IC50 = 2 .times.10-7 M, while I (X = 4,5,6,7-tetrahydro-1H-imidazo[c]pyridine-6-carboxylate residue) showed agonist activity.				
IT	153982-46-8P				
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and cyclization of, in prepn. of endothelin receptor ligand)				
RN	153982-46-8 CAPLUS				
CN	L-Leucine, D-tryptophyl-D-.alpha.-aspartyl-L-4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine-6-carbonyl-D-valyl-, 2-(phenylmethyl) ester (9CI) (CA INDEX NAME)				

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



IT 153982-42-4DP, resin bound

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as intermediate for endothelin receptor ligand)

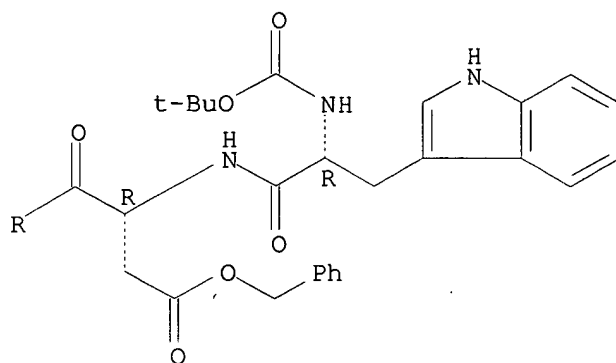
RN 153982-42-4 CAPLUS

CN L-Leucine, N-[(1,1-dimethylethoxy)carbonyl]-D-tryptophyl-D-.alpha.-

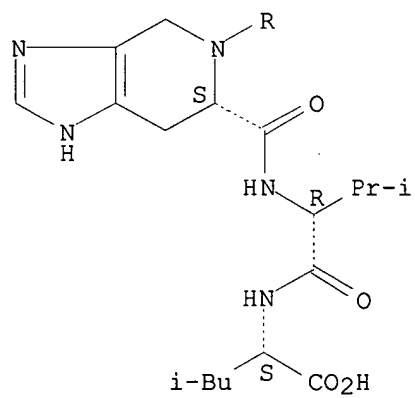
aspartyl-L-4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine-6-carbonyl-D-valyl-
, 2-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

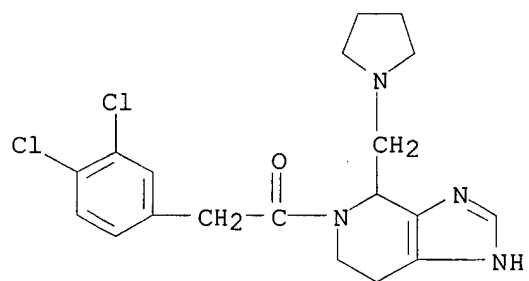


PAGE 2-A



=> d bib abs hitstr 22

~~LA~~ 9 ANSWER 22 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1993:617143 CAPLUS
DN 119:217143
TI Opiate receptors within the blood-brain barrier mediate kappa
agonist-induced water diuresis
AU Brooks, David P.; Giardina, Giuseppe; Gellai, Miklos; Dondio, Guilio;
Edwards, Richard M.; Petrone, Giuseppe; DePalma, P. Dennis; Sbacchi,
Massimo; Jugus, Malcolm; et al.
CS Dep. Renal Pharmacol., SmithKline Beecham Pharm., King of Prussia, PA,
USA
SO J. Pharmacol. Exp. Ther. (1993), 266(1), 164-71
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
AB Data suggest that kappa opioid agonist-induced water diuresis involves
inhibition of vasopressin (AVP) secretion; however, it is not clear
whether this action involves kappa receptors in the neurohypophysis or
receptors behind the blood-brain barrier (BBB). The authors have
investigated the site of action using three selective kappa agonists, BRL
52656 (S(-)-2-(1-pyrrolidinylmethyl)-1-(4-trifluoromethylphenyl) acetyl
piperidine hydrochloride), BRL 53114 ((-)-1-(4-trifluoromethylphenyl)
acetyl-2-(1-pyrrolidinylmethyl)-1-(4-trifluoromethylphenyl) acetyl
piperidine hydrochloride), and BRL 52974
(4-(1-pyrrolidinylmethyl)-5-(3,4-
dichlorophenyl)acetyl-4,5,6,7-tetrahydroimidazo[4,5-c]pyridine), with
varying abilities to cross the BBB. Chem. and functional assays indicate
that BRL 52974 has limited ability to cross the BBB, whereas BRL 53114
and
BRL 52656 can freely penetrate. BRL 52974 was significantly less potent
than BRL 52656 and BRL 53114 in causing a water diuresis in conscious
rats. The ED10S (i.v. doses to cause a pos. free water clearance of 10
.mu.L/min.100 g) for BRL 52974, BRL 52656 and BRL 53114 were 181, 9 and
3.4 mg/kg, resp. Furthermore, in dogs BRL 52656 and BRL 53114 but not
BRL
52974 (30 .mu.g/kg i.v.) were able to cause a significant water diuresis.
The data demonstrate that opiate receptors behind BBB are primarily
involved in kappa agonist-induced water diuresis and possibly inhibition
of AVP secretion.
IT 145544-79-2, BRL 52974
RL: BIOL (Biological study)
(diuresis from, blood-brain barrier permeability and .kappa.-opioid
receptors in)
RN 145544-79-2 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 5-[(3,4-dichlorophenyl)acetyl]-4,5,6,7-
tetrahydro-4-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)

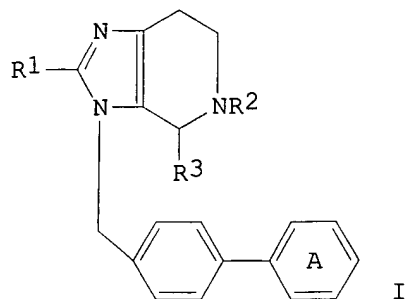


Same as reference 17

=> d bib abs hitstr 23

L49 ANSWER 23 OF 44 CAPLUS COPYRIGHT 2000 ACS
 AN 1993:495528 CAPLUS
 DN 119:95528
 TI Preparation of 3-(biphenylmethyl)-4,5,6,7-tetrahydroimidazo[4,5-c]pyridines as angiotensin II antagonists
 IN Honma, Yasushi; Sekine, Yasuo; Nomura, Sumihiro; Naito, Kazuaki; Narita, Hiroshi
 PA Tanabe Seiyaku Co., Ltd., Japan
 SO Eur. Pat. Appl., 42 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 531874 ¹⁷⁶	A1	19930317	EP 1992-114976	19920902
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CA 2077419	AA	19930311	CA 1992-2077419	19920902
	CA 2077419	C	19980825		
	AU 9222057	A1	19930311	AU 1992-22057	19920902
	AU 644540	B2	19931209		
	IL 103020	A1	19981030	IL 1992-103020	19920902
	US 5409936	A	19950425	US 1992-940336	19920903
	JP 05279361	A2	19931026	JP 1992-239078	19920908
	JP 2564784	B2	19961218		
	JP 05279362	A2	19931026	JP 1992-239079	19920908
	JP 2564785	B2	19961218		
	CN 1070912	A	19930414	CN 1992-110594	19920910
	CN 1039323	B	19980729		
	FI 98368	B	19970228	FI 1992-4044	19920910
	FI 98368	C	19970610		
	US 5424316	A	19950613	US 1993-58925	19930510
	US 5510354	A	19960423	US 1995-405201	19950316
PRAI	JP 1991-308561		19910910		
	JP 1992-53043		19920127		
	US 1992-940336		19920903		
	US 1993-58925		19930510		
OS	MARPAT 119:95528				
GI					



AB Title compds. [I; R1 = H, alkyl; R2 = H, alkylsulfonyl, R4C(:Z); R3 = CO₂H, alkoxy carbonyl; R4 = (substituted) alkyl; Z = O, (H,H); ring A may be substituted], were prepd. as angiotensin II antagonists (no data). Thus, Me 5-diphenylacetyl-4,5,6,7-tetrahydroimidazo[4,5-c]pyrimidine-4-carboxylate (prepn. given), [2'-(1-trityl-1H-tetrazol-5-yl)biphen-4-yl]methyl bromide, and NaH were stirred in DMF at ice temp.-room temp. to give Me 5-diphenylacetyl-3-[2'-(1-trityl-1H-tetrazol-5-yl)biphen-4-yl]methyl-4,5,6,7-tetrahydroimidazo[4,5-c]pyridine-4-carboxylate, together with the 1-substituted imidazopyridine. I were said to show significant hypotensive activity at 3 mg/kg orally in rats together with low toxicity.

IT **148454-54-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for (biphenylmethyl)imidazopyridine
angiotensin II antagonist)

RN 148454-54-0 CAPLUS

=> d bib abs hitstr 24

L49 ANSWER 24 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 1993:52435 CAPLUS

DN 118:52435

TI Use of heterocyclic compounds for the treatment of inflammatory pain

IN Clarke, Geoffrey Douglas; Colle, Roberto; Giardina, Giuseppe; Vecchietti, Vittorio

PA Zambeletti, Dr. Lo., S.p.A., Italy

SO PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9218115	A1	19921029	WO 1992-EP838	19920408
	W: AU, CA, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	AU 9215324	A1	19921117	AU 1992-15324	19920408
PRAI	GB 1991-8326		19910418		
	GB 1991-15143		19910713		
	WO 1992-EP838		19920408		

OS MARPAT 118:52435

AB Administration of a variety of N-contg. heterocyclic .kappa.-opioid receptor agonists which act on sensory nerve terminals diminishes the release of neurogenic inflammatory mediators and thereby decreases the transmission of nociceptive information to the central nervous system (no data). The compds. may be useful as peripheral analgesics in treatment of

painful inflammatory conditions such as arthritis and low back pain.

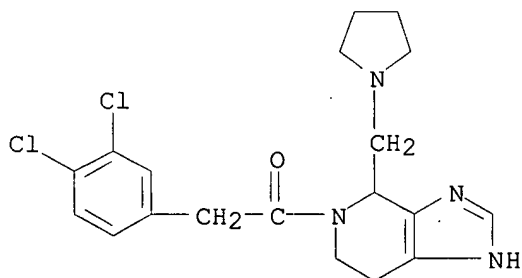
Preferred compds. include 4-(pyrrolidin-1-yl)methyl-5-(3,4-dichlorophenyl)acetyl-4,5,6,7-tetrahydroimidazo[4,5-c]pyridine, 1-(4-trifluoromethylphenylacetyl)-2-(1-pyrrolidinylmethyl)piperidine, and (2S)-1-[1-oxo-3,4-dihydro-(2H)naphth-6-yl]acetyl-2-dimethylaminomethylpiperidine-HCl.

IT 145544-79-2

RL: BIOL (Biological study)
(pain treatment with, in inflammation)

RN 145544-79-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine, 5-[(3,4-dichlorophenyl)acetyl]-4,5,6,7-tetrahydro-4-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)



Searched by John Dantzman 703-308-4488

=> d bib abs hitstr 25

L49 ANSWER 25 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 1992:651781 CAPLUS

DN 117:251781

TI Preparation of N-myristoyl amino acids and analogs as N-myristoyltransferase inhibitors

IN Vincent, Michel; Remond, Georges; Portevin, Bernard; Boutin, Jean Albert; Atassi, Ghanem

PA ADIR et Cie., Fr.

SO Eur. Pat. Appl., 51 pp.

CODEN: EPXXDW

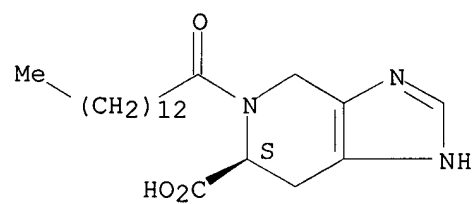
DT Patent

LA French

FAN.CNT 1

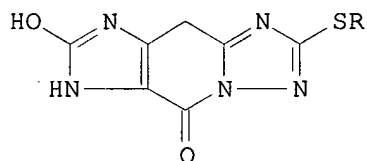
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 499521	A1	19920819	EP 1992-400341	19920211
	EP 499521	B1	19950614		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
	FR 2672598	A1	19920814	FR 1991-1502	19910211
	FR 2672598	B1	19950120		
	CA 2060967	AA	19920812	CA 1992-2060967	19920210
	AU 9210854	A1	19920813	AU 1992-10854	19920210
	AU 660007	B2	19950608		
	JP 05132454	A2	19930528	JP 1992-69911	19920210
	JP 06099379	B4	19941207		
	US 5266576	A	19931130	US 1992-833301	19920210
	ZA 9200986	A	19921125	ZA 1992-986	19920211
	ES 2076009	T3	19951016	ES 1992-400341	19920211
PRAI	FR 1991-1502		19910211		
OS	MARPAT 117:251781				
AB	R4XNR3CR1R2Y [R1 = H, alkyl, Ph, cycloalkylmethyl, 2-imidazolylmethyl, etc.; R2, R3 = H, alkyl; when R1 = H R2R3 = atoms to complete a ring; R4 = C6-21 (substituted) (O-, S-, or phenylene-interrupted) alkyl, etc.; X = CO, SO2, P(O)(OH); Y = COR5, P(O)R6R61; R5 = OH, alkoxy, OCH2CONH2, NH2, etc.; R6, R61 = H, OH, alkoxy] were prep'd. Thus, N-hydroxysuccinimide was esterified by myristic acid and the product condensed with 3-(S)-carboxy-1,2,3,4-tetrahydroisoquinoline to give N-myristoyl-3-(S)-carboxy-1,2,3,4-tetrahydroisoquinoline which had IC50 of 1.8 .times. 10-7 M against N-myristoyltransferase in vitro.				
IT	144648-99-7P				
	RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as N-myristoyltransferase inhibitor)				
RN	144648-99-7 CAPLUS				
CN	1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 4,5,6,7-tetrahydro-5-(1-oxotetradecyl)-, (S)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.

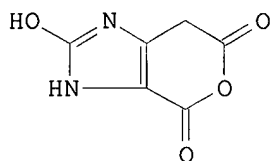


=> d bib abs hitstr 26

~~L49~~ ANSWER 26 OF 44 CAPLUS COPYRIGHT 2000 ACS
~~AN~~ 1992:612406 CAPLUS
DN 117:212406
TI Synthesis of 6,9-dihydro-7-hydroxy-2-(methylthio)-5H-imidazo[4,5-d]-s-
triazolo[1,5-a]pyridin-5-one
AU Khodeir, M. N. M.; Zoorob, H. H.; Amer, F. A.; Waly, M. A.
CS Fac. Sci., Mansoura Univ., Damietta, Egypt
SO Egypt. J. Pharm. Sci. (1992), 33(1-2), 369-78
CODEN: EJPSBZ; ISSN: 0301-5068
DT Journal
LA English
GI



I



II

AB The title compd. (I, R = Me) was prepd. in 36.5% yield by treating dihydrohydroxypyranimidazolidione II with MeSC(NH₂):NNH₂.HI and fused NaOH in DMF. I (R = Et) was similarly prepd. in 30% yield from EtSC(NH₂):NNH₂.HBr.

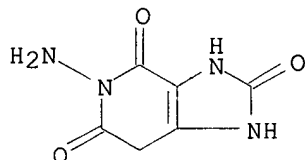
IT **127574-20-3**

RL: RCT (Reactant)

(addn. reaction of, with ammonium cyanate)

RN 127574-20-3 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-2,4,6(5H)-trione, 5-amino-3,7-dihydro- (9CI)
(CA INDEX NAME)

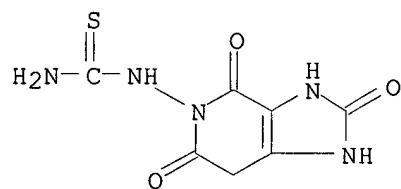


IT **143997-14-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and intramol. cyclocondensation and methylation of)

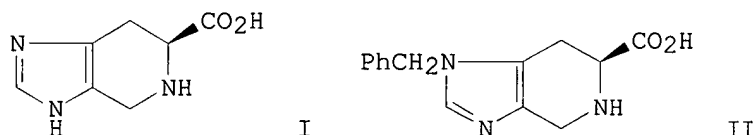
RN 143997-14-2 CAPLUS

CN Thiourea, (1,2,3,4,6,7-hexahydro-2,4,6-trioxo-5H-imidazo[4,5-c]pyridin-5-yl)- (9CI) (CA INDEX NAME)



=> d bib abs hitstr 27

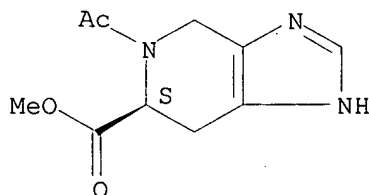
L49 ANSWER 27 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1991:229317 CAPLUS
DN 114:229317
TI 4,5,6,7-Tetrahydro-1H-imidazo[4,5-c]pyridine-6-carboxylic acids
(spinacines)
AU Klutchko, Sylvester; Hodges, John C.; Blankley, C. John; Colbry, Norman
L.
CS Parke-Davis Pharm. Res. Div., Warner-Lambert Co., Ann Arbor, MI, 48105,
USA
SO J. Heterocycl. Chem. (1991), 28(1), 97-108
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA English
OS CASREACT 114:229317
GI



AB New derivs. of the title naturally occurring amino acid I were prepd.
Thus, the cyclocondensation of 3-benzyl-L-histidine with aq. H₂CO gave
60% spinacine deriv. II. Cyclic hydantoin derivs. of spinacines are
included.

IT **133807-80-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and sapon. of)
RN 133807-80-4 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid,
5-acetyl-4,5,6,7-tetrahydro-,
methyl ester, (S)- (9CI) (CA INDEX NAME)

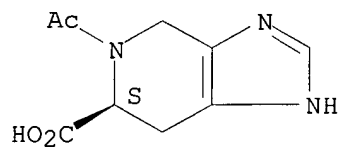
Absolute stereochemistry.



IT **133807-89-3P 133807-90-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
Searched by John Dantzman 703-308-4488

(prepn. of)
RN 133807-89-3 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid,
5-acetyl-4,5,6,7-tetrahydro-,
monohydrochloride, (S)- (9CI) (CA INDEX NAME)

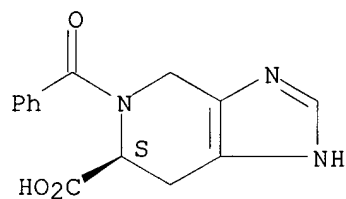
Absolute stereochemistry.



● HCl

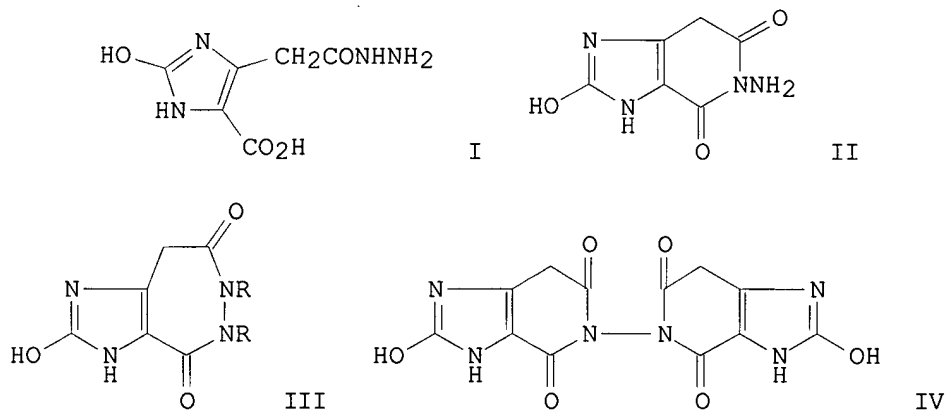
RN 133807-90-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid,
5-benzoyl-4,5,6,7-tetrahydro-,
, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d bib abs hitstr 28

~~LA~~ 9 ANSWER 28 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1990:406230 CAPLUS
DN 113:6230
TI Synthesis of imidazole-fused heterocycles: reaction of
3,4,6,7-tetrahydro-2-hydroxypyrido[3,4-d]imidazole-4,6-dione with
hydrazines and amines
AU Zoorob, H. H.; Khodeir, M. N. M.; Waly, M. A.; Amer, F. A.
CS Fac. Sci., Mansoura Univ., El-Mansoura, Egypt
SO Indian J. Chem., Sect. B (1990), 29B(1), 29-33
CODEN: IJSBDB; ISSN: 0376-4699
DT Journal
LA English
OS CASREACT 113:6230
GI



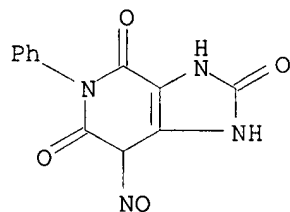
AB Reaction of the title compd. with $\text{N}_2\text{H}_4 \cdot \text{H}_2\text{O}$ affords either hydrazide I, imidazopyridine II, imidazodiazepine III ($\text{R} = \text{H}$), or dimer IV, depending upon the reaction conditions. With MeNHNHMe in AcOH , the product is III ($\text{R} = \text{Me}$), and with PhNHNH_2 the product again depends on the reaction conditions.

IT 127574-27-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation reaction of, with Et cyanoacetate)

RN 127574-27-0 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-2,4,6(5H)-trione,
3,7-dihydro-7-nitroso-5-phenyl-
(9CI) (CA INDEX NAME)

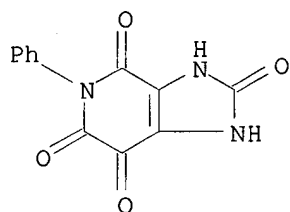


IT 127574-29-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation reaction of, with phenylhydrazine)

RN 127574-29-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-2,4,6,7(3H,5H)-tetrone, 5-phenyl- (9CI) (CA
INDEX NAME)

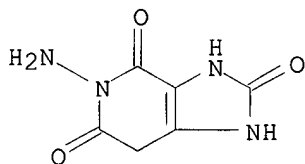


IT 127574-20-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclocondensation reactions of, with imidazopyrandon or
(carbethoxymethyl)imidazolecarboxylate deriv.)

RN 127574-20-3 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-2,4,6(5H)-trione, 5-amino-3,7-dihydro- (9CI)
(CA INDEX NAME)

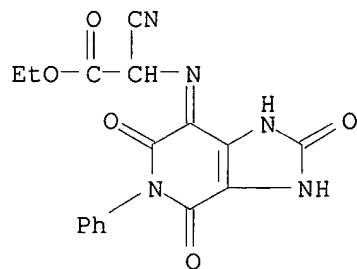


IT 127574-28-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of, trione from)

RN 127574-28-1 CAPLUS

CN Acetic acid, cyano[(1,2,3,4,5,6-hexahydro-2,4,6-trioxo-5-phenyl-7H-
imidazo[4,5-c]pyridin-7-ylidene)amino]-, ethyl ester (9CI) (CA INDEX
NAME)



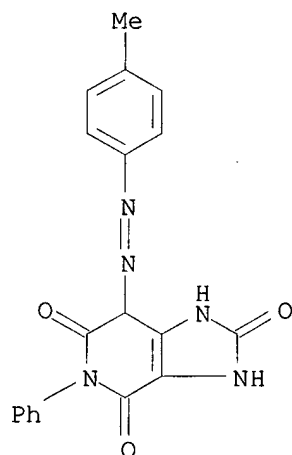
IT 127574-15-6P 127574-16-7P 127574-17-8P

127574-22-5P 127574-23-6P 127574-30-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

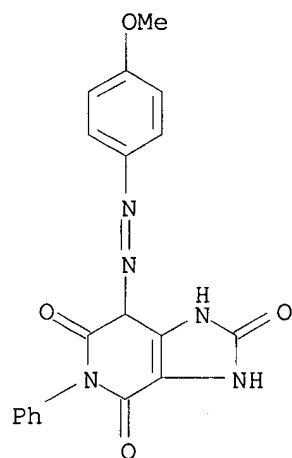
RN 127574-15-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-2,4,6(5H)-trione, 3,7-dihydro-7-[(4-methylphenyl)azo]-5-phenyl- (9CI) (CA INDEX NAME)



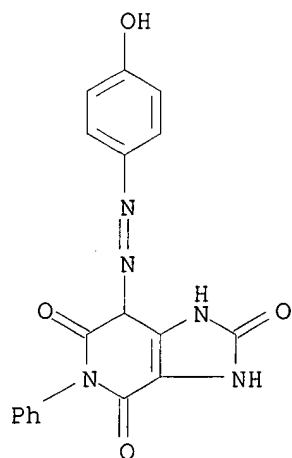
RN 127574-16-7 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-2,4,6(5H)-trione, 3,7-dihydro-7-[(4-methoxyphenyl)azo]-5-phenyl- (9CI) (CA INDEX NAME)



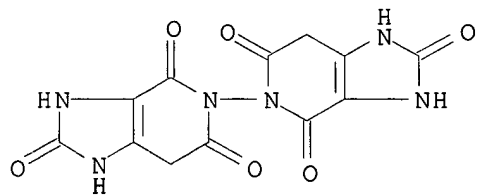
RN 127574-17-8 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-2,4,6(5H)-trione, 3,7-dihydro-7-[(4-hydroxyphenyl)azo]-5-phenyl- (9CI) (CA INDEX NAME)



RN 127574-22-5 CAPLUS

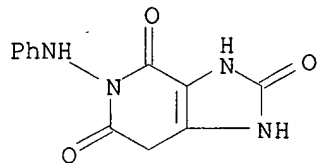
CN [5,5'-Bi-5H-imidazo[4,5-c]pyridine]-2,2',4,4',6,6' (1H,1'H)-hexone, 3,3',7,7'-tetrahydro- (9CI) (CA INDEX NAME)



RN 127574-23-6 CAPLUS

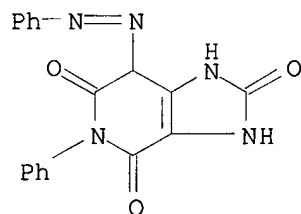
Searched by John Dantzman 703-308-4488

CN 1H-Imidazo[4,5-c]pyridine-2,4,6(5H)-trione, 3,7-dihydro-5-(phenylamino)-
(9CI) (CA INDEX NAME)



RN 127574-30-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-2,4,6(5H)-trione, 3,7-dihydro-5-phenyl-7-
(phenylazo)- (9CI) (CA INDEX NAME)

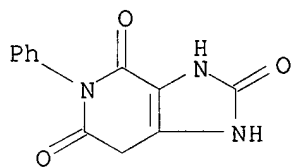


IT 127574-26-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., nitrosation, and coupling reaction of, with arenediazonium
chlorides)

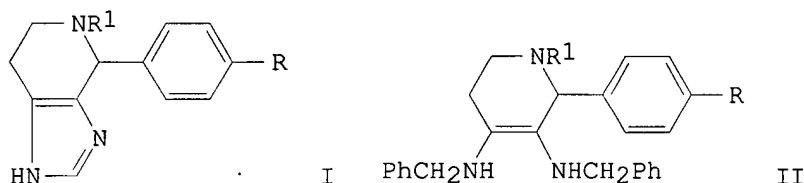
RN 127574-26-9 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-2,4,6(5H)-trione, 3,7-dihydro-5-phenyl- (9CI)
(CA INDEX NAME)

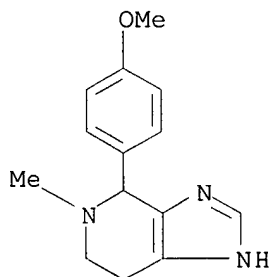


=> d bib abs hitstr 29

L49 ANSWER 29 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1990:216653 CAPLUS
DN 112:216653
TI Synthesis of 6-aryl-4,5-dibenzamido-1,2,3,6-tetrahydropyridines
AU Stocker, Fred B.; Evans, April J.
CS Dep. Chem., Macalester Coll., St. Paul, MN, 55105, USA
SO J. Org. Chem. (1990), 55(10), 3370-3
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 112:216653
GI

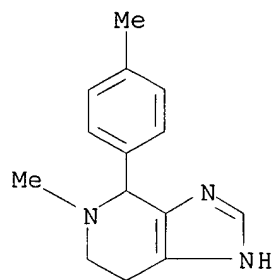


AB Bamberger reaction of 4-aryltetrahydroimidazo[4,5-c]pyridines I (R = Me, OMe, Cl; R1 = H) gave predominately I (R1 = CH₂Ph) with only small amts. of title compds. II (R1 = CH₂Ph). Reaction of I (R1 = Me), however, gave II (R1 = Me) in 65-68% yield.
IT 126036-42-8P 126036-43-9P 126036-44-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and Bamberger ring fission of, with benzoyl chloride)
RN 126036-42-8 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine,
4,5,6,7-tetrahydro-4-(4-methoxyphenyl)-5-methyl-
(9CI) (CA INDEX NAME)

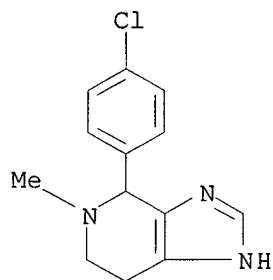


RN 126036-43-9 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine,
4,5,6,7-tetrahydro-5-methyl-4-(4-methoxyphenyl)-
-703-308-4488

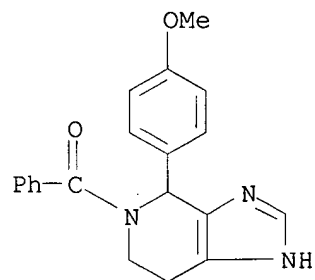
(9CI) (CA INDEX NAME)



RN 126036-44-0 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine,
4-(4-chlorophenyl)-4,5,6,7-tetrahydro-5-methyl-
(9CI) (CA INDEX NAME)

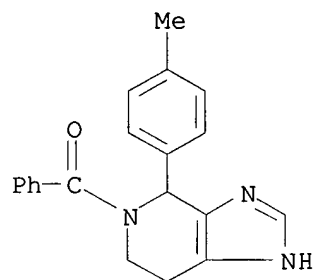


IT 126036-48-4P 126036-49-5P 126036-50-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 126036-48-4 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 5-benzoyl-4,5,6,7-tetrahydro-4-(4-
methoxyphenyl)- (9CI) (CA INDEX NAME)

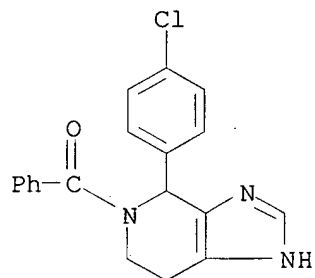


RN 126036-49-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine,
5-benzoyl-4,5,6,7-tetrahydro-4-(4-methylphenyl)-
(9CI) (CA INDEX NAME)

Searched by John Dantzman 703-308-4488



RN 126036-50-8 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine,
5-benzoyl-4-(4-chlorophenyl)-4,5,6,7-tetrahydro-
(9CI) (CA INDEX NAME)



=> d bib abs hitstr 30

L49 ANSWER 30 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 1990:158225 CAPLUS

DN 112:158225

TI Preparation of thienopyridine, pyridoindole, and imidazopyridine derivatives as analgesics

IN Vecchietti, Vittorio; Giardina, Giuseppe

PA Zambelletti, Dr. L., S.p.A., Italy

SO Eur. Pat. Appl., 37 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 333427	A1	19890920	EP 1989-302486	19890314
	EP 333427	B1	19950823		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	DK 8901240	A	19890917	DK 1989-1240	19890314
	AU 8931315	A1	19890921	AU 1989-31315	19890314
	AU 616488	B2	19911031		
	ZA 8901915	A	19900228	ZA 1989-1915	19890314
	US 4999359	A	19910312	US 1989-323617	19890314
	CA 1336909	A1	19950905	CA 1989-593618	19890314
	ES 2075849	T3	19951016	ES 1989-302486	19890314
	JP 02101062	A2	19900412	JP 1989-62376	19890316

PRAI GB 1988-6210 19880316

GB 1988-23562 19881007

OS MARPAT 112:158225

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R = (substituted) aryl, heteroaryl; R1, R2 = H,

C1-6 alkyl, C2-6 alkenyl, C3-6 cycloalkyl, C4-12 cycloalkylalkyl; R1R2 = (substituted) C2-8 polymethylene, C2-6 alkenylene; R3 = H, C1-6 alkyl,

Ph; R1R3 = (CH2)3-4; R4 = C1-6 alkyl, Ph; R5 = H; R4R5 = (CH2)1-3; X = C5-12 (fused) heterocyclyl contg. .ltoreq.4 heteroatoms/ring selected from O,

S, and N], useful as analgesics, were prepd. Thus,

4-(1-pyrrolidinylmethyl)-

4,5,6,7-tetrahydrothieno[3,2-c]pyridine (prepn. given) and 3,4-Cl2C6H3CH2CO2H in CH2Cl2 at -5.degree. were treated with DCC in CH2Cl2. The mixt. was stirred 6 h at room temp. to give N-acylthienopyridine II. The latter in the p-phenylquinone-induced writhing test in mice showed an ED50 of 0.004 mg/kg s.c. In binding to opiate receptors, II showed Ki of 1.1 nm for kappa receptors and 85 nm

for mu receptors.

IT 126149-04-0P

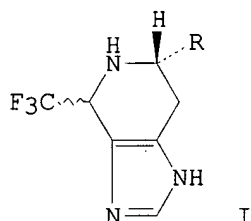
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as analgesic)

RN 126149-04-0 CAPLUS

Searched by John Dantzman 703-308-4488

=> d bib abs hitstr 31

~~149~~ ANSWER 31 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1988:132282 CAPLUS
DN 108:132282
TI Facile syntheses of 4-(trifluoromethyl)-L-spinacine and
4-(trifluoromethyl)spinaceamine
AU Fujii, Shozo; Maki, Yasuo; Kimoto, Hiroshi; Cohen, Louis A.
CS Gov. Ind. Res. Inst., Nagoya, Nagoya, 462, Japan
SO J. Fluorine Chem. (1987), 35(4), 581-9
CODEN: JFLCAR; ISSN: 0022-1139
DT Journal
LA English
OS CASREACT 108:132282
GI



AB Reaction of L-histidine with trifluoroacetaldehyde Et hemiacetal in boiling water gave 4-(trifluoromethyl)-L-spinacine (I, R = CO₂H) in near quant. yield. The product contains two diastereoisomers in the ratio 68:32 which were sepd. (silica gel) as their protected derivs., 5-N-(trifluoroacetyl)-4-(trifluoromethyl)-L-spinacine Me esters, and were regenerated by acid hydrolysis. The analogous reaction with histamine provides 4-(trifluoromethyl)spinaceamine (I, R = H) in 91% yield.

IT **113306-68-6P 113351-15-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and deprotection of)

RN 113306-68-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 4,5,6,7-tetrahydro-5-(trifluoroacetyl)-4-(trifluoromethyl)-, methyl ester, (4R-cis)- (9CI)

(CA INDEX NAME)

Absolute stereochemistry.

=> d bib abs hitstr 32

~~149~~ ANSWER 32 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 1987:3061 CAPLUS

DN 106:3061

TI Indole-, imidazole- and phenyl-alkylamines in the skin of one hundred and forty American amphibian species other than bufonids

AU Roseghini, M.; Erspamer, V.; Falconieri Erspamer, G.; Cei, J. M.

CS Inst. Med. Pharmacol., 1st. Univ. Rome, Rome, I-00100, Italy

SO Comp. Biochem. Physiol., C: Comp. Pharmacol. Toxicol. (1986), 85C(1), 139-47

CODEN: CBPCEE; ISSN: 0742-8413

DT Journal

LA English

AB Exts. prepd. from dried or fresh skins of 140 American amphibian species, other than bufonids, were subjected to chem. and biol. screening to det. the presence and concns. of arom. biogenic amines. The most frequent and abundantly occurring amine category was that of indolealkylamines, represented by their prototype 5-HT and its N-methylated derivs. Conjugated and cyclized indolealkylamines, typical for the toad skin,

were

apparently lacking. Phenylalkylamines were represented by 2 quaternary ammonium bases: leptodactyline and, very rarely, candicine.

Leptodactyline was particularly abundant in leptodactylid frogs of the genus Leptodactylus. Histamine occurred in trace amts. in different species and in large amts. only in some Leptodactylus species of the pachypus section. On the other hand, N-methylated histamines and

cyclized

histamines (spinaceamines) were confined to the skin of L. pentadactylus labyrinthicus. The possible taxonomical and evolutionary significance of amphibian skin amines is pointed out.

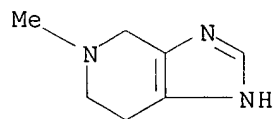
IT 10517-40-5, 6-Methylspinaceamine

RL: BIOL (Biological study)

(of skin, of amphibians)

RN 10517-40-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)

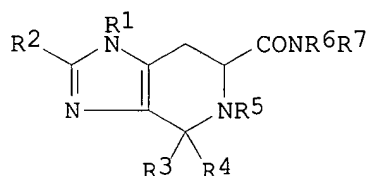


Same as ref. 8

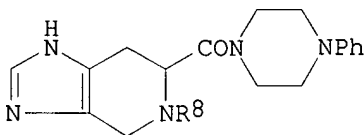
=> d bib abs hitstr 33

L49 ANSWER 33 OF 44 CAPLUS COPYRIGHT 2000 ACS
 AN 1986:88514 CAPLUS
 DN 104:88514
 TI 4,5,6,7-Tetrahydroimidazo[4,5-c]pyridine derivatives and their use in medicine
 IN Scarponi, Ugo; Cimaschi, Roberto; De Castiglione, Roberto; Verini, Antonietta
 PA Farmitalia Carlo Erba S.p.A., Italy
 SO Ger. Offen., 31 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3521303	A1	19851031	DE 1985-3521303	19850613
	GB 2158440	A1	19851113	GB 1985-14278	19850606
	GB 2158440	B2	19880602		
	BE 902611	A1	19850930	BE 1985-215149	19850607
	JP 61167687	A2	19860729	JP 1985-158479	19850719
PRAI	GB 1985-1542	19850122			
GI					



I



II

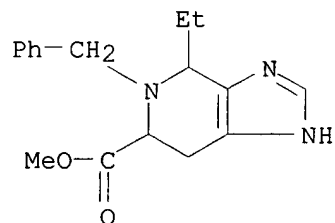
AB Tetrahydro-1H-imidazo[4,5-c]pyridine-6-carboxamides I [R1 = H, alkyl, alkenyl, (un)substituted PhCH2; R2-R4 = cycloalkyl, (un)substituted Ph, R1; R5 = R2CO, R2O2C, R6NHC(X), R2; R6R7 = adamantyl, adamantylmethyl, R2; R6R7N = heterocyclyl; X = O, S] and their 3H-tautomers were prepd. Thus, 78 g 4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine-6-carboxylic acid was acylated with PhCH2O2CCl to give 32 g 5-(benzyloxycarbonyl) deriv. which (3.013 g) was heated at 100.degree. in DMF with 1,1'-carbonyldiimidazole and 1-phenylpiperazine to give 3 g piperazinylcarbonyl deriv. II (R8 = PhCH2O2C). The latter was hydrogenated over Pd/C to give 75% II (R8 = H) (III). In mice infected with influenza APR 8 virus 50 mg III/kg orally gave 66% protection against lung lesions.

IT 100307-63-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and aminolysis of)

RN 100307-63-9 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid,
 4-ethyl-4,5,6,7-tetrahydro-5-
 (phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

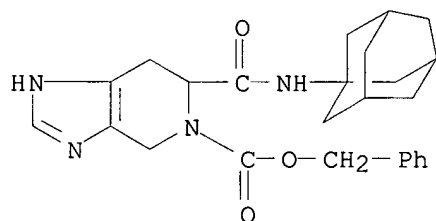


IT 100307-52-6P 100307-53-7P 100307-54-8P
100307-58-2P 100307-59-3P 100307-60-6P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as virucide)

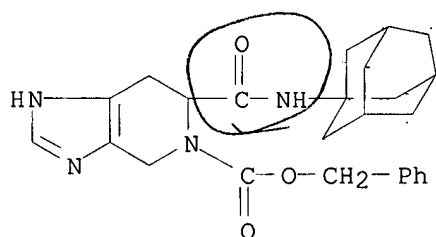
RN 100307-52-6 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine-5-carboxylic acid, 1,4,6,7-tetrahydro-6-[(tricyclo[3.3.1.1.3,7]dec-1-ylamino)carbonyl]-, phenylmethyl ester (9CI)
(CA INDEX NAME)



RN 100307-53-7 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine-5-carboxylic acid, 1,4,6,7-tetrahydro-6-[(tricyclo[3.3.1.1.3,7]dec-1-ylamino)carbonyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

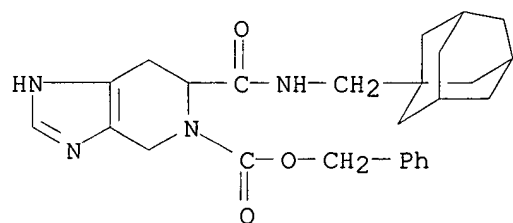


● HCl

RN 100307-54-8 CAPLUS

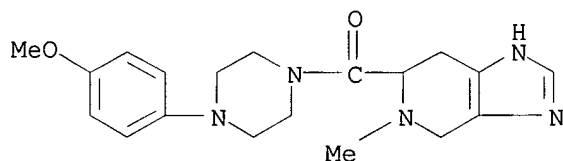
CN 5H-Imidazo[4,5-c]pyridine-5-carboxylic acid, 1,4,6,7-tetrahydro-6-[[[(tricyclo[3.3.1.1.3,7]dec-1-ylmethyl)amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Searched by John Dantzman 703-308-4488



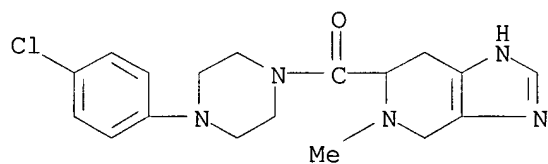
RN 100307-58-2 CAPLUS

CN Piperazine, 1-(4-methoxyphenyl)-4-[(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)carbonyl]- (9CI) (CA INDEX NAME)



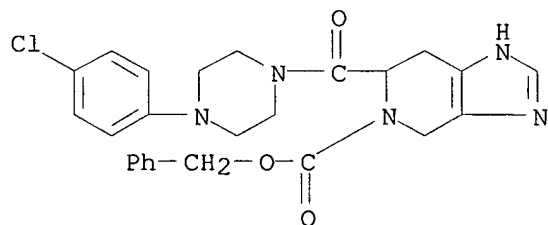
RN 100307-59-3 CAPLUS

CN Piperazine, 1-(4-chlorophenyl)-4-[(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 100307-60-6 CAPLUS

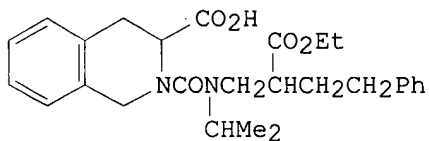
CN 5H-Imidazo[4,5-c]pyridine-5-carboxylic acid, 6-[[4-(4-chlorophenyl)-1-piperazinyl]carbonyl]-1,4,6,7-tetrahydro-, phenylmethyl ester (9CI) (CA INDEX NAME)



=> d bib abs hitstr 34

~~149~~ ANSWER 34 OF 44 CAPLUS COPYRIGHT 2000 ACS
 AN 1983:488067 CAPLUS
 DN 99:88067
 TI Urea derivatives, remedies containing them and their use
 IN Henning, Rainer; Urbach, Jansjoerg; Geiger, Rolf; Teetz, Volker;
 Schoelkens, Bernward
 PA Hoechst A.-G. , Fed. Rep. Ger.
 SO Eur. Pat. Appl., 14 pp.
 CODEN: EPXXDW
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 74070	A1	19830316	EP 1982-108019	19820901
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	DE 3134933	A1	19830331	DE 1981-3134933	19810903
	ES 515301	A1	19830501	ES 1982-515301	19820827
	FI 8203026	A	19830304	FI 1982-3026	19820901
	US 4515803	A	19850507	US 1982-413663	19820901
	NO 8202974	A	19830304	NO 1982-2974	19820902
	DK 8203934	A	19830304	DK 1982-3934	19820902
	AU 8287930	A1	19830310	AU 1982-87930	19820902
	AU 558623	B2	19870205		
	JP 58055451	A2	19830401	JP 1982-151869	19820902
	ZA 8206420	A	19830727	ZA 1982-6420	19820902
	HU 31103	O	19840428	HU 1982-2821	19820902
	HU 190698	B	19861028		
	IL 66702	A1	19870227	IL 1982-66702	19820902
	CA 1307000	A1	19920901	CA 1982-410659	19820902
	US 4624962	A	19861125	US 1985-697340	19850201
PRAI	DE 1981-3134933		19810903		
	US 1982-413663		19820901		
GI					



I

AB Ureas R1O2CCHR3NR2CONR4(CHR5)nCHR6CO2R [n = 0-3; R, R1 = H, C1-8 alkyl, alkenyl, Ph or PhCH2 (un)substituted with Me, halo, MeO, or NO2; R2 = H, C1-8 alkyl, alkenyl; R3 = H, C1-10 alkyl, substituted alkyl; R3CHNR2 complete a(n) (un)satd. 4-8 membered monocyclic or 8-10 membered bicyclic ring system, optionally contg. 1-2 O, 1-2 S, and(or) 1-4 N atoms, (un)substituted with OH, C1-3 alkyl or alkoxy, Ph; R4 = C1-8 aliph. hydrocarbyl, C3-6 cycloalkyl, (un)substituted Ph or Ph(CH2)n (n = 1-3);

R5 = H, C1-5 alkyl, OH, C1-3 alkoxy; R6 = H, C1-12 alkyl, C3-12 cycloalkyl,

Searched by John Dantzman 703-308-4488

C2-12 alkenyl, (un)substituted Ph or naphthyl] and their salts,
inhibitors
of angiotensin- converting enzyme [IC50 (inhibiting concn.) 5 .times.

10-9 to 10-6 mol/L] and thus useful as long-acting antihypertensives, were
prepd. Thus, the 2 isomers of 3(S)-substituted isoquinoline I were
prepd.

in 7 steps from 1,2,3,4-tetrahydro-3-isoquinolinecarboxylic acid,
PhCH2OH,
and PhSO3H.

IT 86633-51-4 86633-52-5 86633-53-6

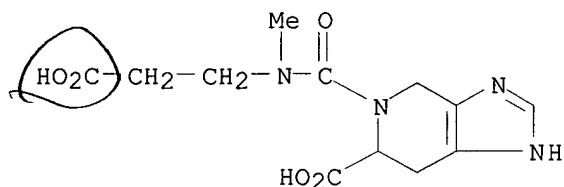
86633-54-7 86633-55-8 86670-10-2

RL: RCT (Reactant)

(prepn. as antihypertensive)

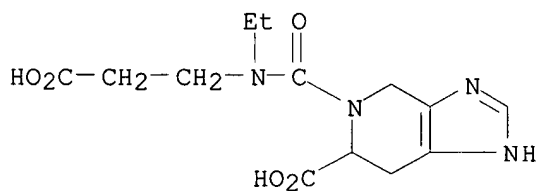
RN 86633-51-4 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-[[(2-
carboxyethyl)methylamino]carbonyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX
NAME)



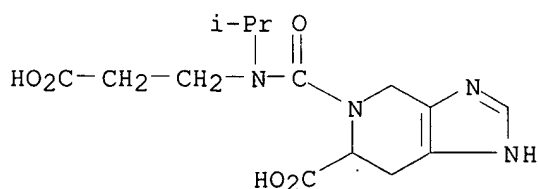
RN 86633-52-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-[[(2-
carboxyethyl)ethylamino]carbonyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX
NAME)



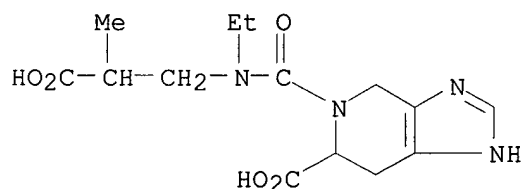
RN 86633-53-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-[[(2-carboxyethyl) (1-
methylethyl)amino]carbonyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



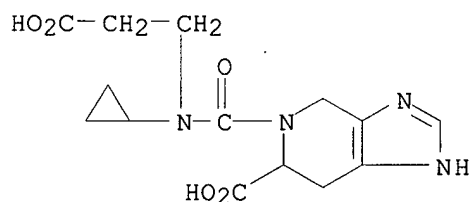
RN 86633-54-7 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-[[[2-carboxypropyl)ethylamino]carbonyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



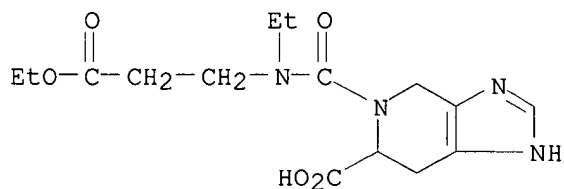
RN 86633-55-8 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-[[[2-carboxyethyl)cyclopropylamino]carbonyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



RN 86670-10-2 CAPLUS

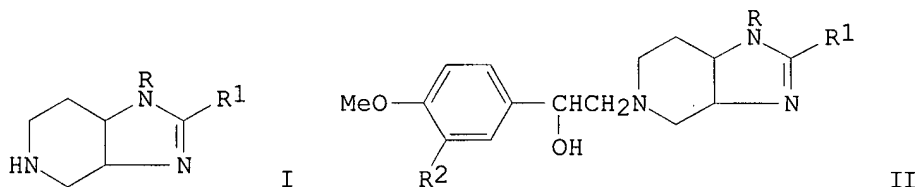
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-[[[3-ethoxy-3-oxopropyl)ethylamino]carbonyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



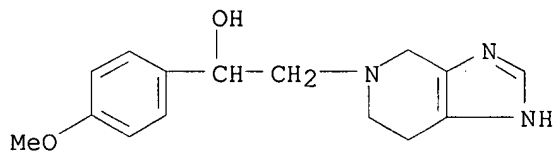
=> d bib abs hitstr 35

L49 ANSWER 35 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1983:470725 CAPLUS
DN 99:70725
TI 1- or 1,3-Substituted 4,5,6,7-tetrahydroimidazo[4,5-c]pyridines
IN Yutilov, Yu. M.; Eilazyan, O. G.
PA Institute of Physical-Organic Chemistry and Coal Chemistry, Academy of
Sciences, Ukrainian S.S.R., USSR
SO U.S.S.R.
106. From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1983, (10),
CODEN: URXXAF
DT Patent
LA Russian
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	SU 1004385	A1	19830315	SU 1981-3258836	19810309
GI					



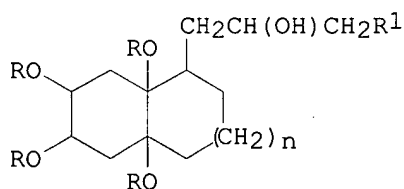
AB Title compds. I (R = Me, Et; R1 = H, Me) are prepd. by a simplified
procedure with increased yield and expanded scope by treating
methoxy-substituted 5-(.beta.-phenyl-.beta.-hydroxyethyl)-4,5,6,7-
tetrahydroimidazo[4,5-c]pyridines II (same R, R1; R2 = H, OMe) with HCl
in refluxing aq. alc.
IT **86674-44-4D**, derivs.
RL: RCT (Reactant)
(hydrolysis of)
RN 86674-44-4 CAPLUS
CN 5H-Imidazo[4,5-c]pyridine-5-ethanol, 1,4,6,7-tetrahydro-.alpha.-(4-
methoxyphenyl)- (9CI) (CA INDEX NAME)



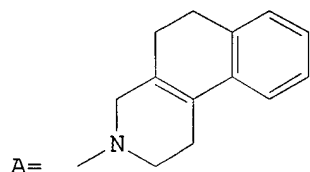
=> d bib abs hitstr 36

L49 ANSWER 36 OF 44 CAPLUS COPYRIGHT 2000 ACS
 AN 1980:146611 CAPLUS
 DN 92:146611
 TI Substituted 3,6-dihydro-1(2H)-pyridinylpropanols
 IN Hauck, Frederic P.; Fox, Rita T.; Watrous, John R.
 PA Squibb, E. R., and Sons, Inc., USA
 SO U.S., 7 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 2

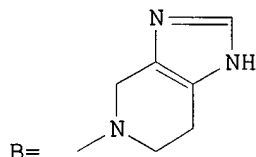
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4169200	A	19790925	US 1977-824378	19770815
	US 4127579	A	19781128	US 1977-855038	19771125
	CA 1113937	A1	19811208	CA 1978-299457	19780321
	GB 1596357	A	19810826	GB 1978-12506	19780330
	FR 2386528	A1	19781103	FR 1978-9535	19780331
	FR 2386528	B1	19810724		
	DE 2814799	A1	19781019	DE 1978-2814799	19780405
PRAI	US 1977-784888		19770405		
	US 1977-824378		19770815		
	US 1977-855038		19771125		
GI					



I



A=



B=

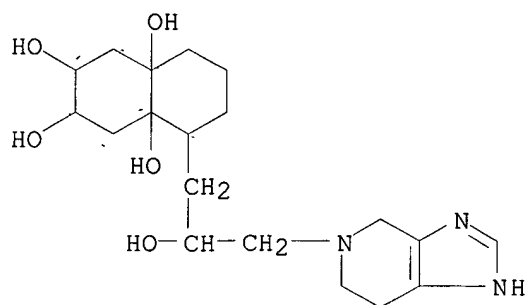
AB (Tetrahydropyridyl)isopropanols I [n = 0, 1, 2; R = alkanoyl; R1 = x-phenyl-1,2,3,6-tetrahydro-1-pyridyl, A, x-styryl-1,2,3,6-tetrahydro-1-pyridyl, 4-(2-benzoxazolyl)-1,2,3,6-tetrahydro-1-pyridyl, 1,2,3,4-tetrahydroisoquinolin-2-yl, B], useful as antihypertensives (no data), were prepd. from the tetrahydropyridines and the resp. glycidyl-substituted bicyclic compds. Thus, a mixt. of 5-glycidyl-2,3,4a,8a-tetraacetoxydecalin, 4-phenyl-1,2,3,6-tetrahydropyridine, C6H6, and EtOH was stirred .apprx.16h at 55-7.degree. to yield I (n = 1, R = Ac, R1 = 4-phenyl-1,2,3,6-tetrahydro-1-pyridyl).
 IT 72717-36-3P

Searched by John Dantzman 703-308-4488

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

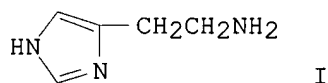
RN 72717-36-3 CAPLUS

CN 2,3,4a,8a-Naphthalenetetrol,
octahydro-5-[2-hydroxy-3-(1,4,6,7-tetrahydro-
5H-imidazo[4,5-c]pyridin-5-yl)propyl]- (9CI) (CA INDEX NAME)

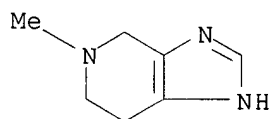


=> d bib abs hitstr 37

L49 ANSWER 37 OF 44. CAPLUS COPYRIGHT 2000 ACS
AN 1978:130630 CAPLUS
DN 88:130630
TI High pressure liquid-liquid chromatography. Separation and dosage of
imidazole bases
AU Gaetani, E.; Laureri, C. F.
CS Ist. Chim. Farm. Tossicol., Univ. Parma, Parma, Italy
SO Farmaco, Ed. Prat. (1978), 33(1), 26-33
CODEN: FRPPAO; ISSN: 0430-0912
DT Journal
LA English
GI



AB Histamine di-HCl (I-di-HCl) and some of its methylated compds. were detd.
by high-pressure liq. chromatog. (eluate visualization at 208 nm) on a
microparticulate silica gel column, pretreated with .beta.-
cyanoethyltriethoxysilane and HCl. The method is simple, selective, and
precise and dets. 1 .mu.g I/mL in blood.
IT 10517-40-5
RL: ANT (Analyte); ANST (Analytical study)
(detn. of, by high-pressure liq. chromatog.)
RN 10517-40-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX
NAME)



Same as previous

=> d bib abs hitstr 38

L49 ANSWER 38 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 1977:601535 CAPLUS

DN 87:201535

TI 4,5,6,7-Tetrahydroimidazo[4,5-c]pyridine derivatives

IN Arcari, Giuliana; Bernardi, Luigi; Falconi, Giovanni; Luini, Fulvio;
Palamidessi, Giorgio; Scarponi, Ugo

PA Societa Farmaceutici Italia S.p.A., Italy

SO Ger. Offen., 29 pp.

CODEN: GWXXBX

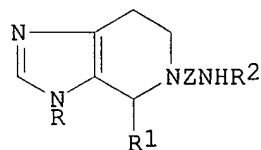
DT Patent

LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2700012	A1	19770721	DE 1977-2700012	19770103
	NL 7614577	A	19770711	NL 1976-14577	19761230
	AU 7621010	A1	19780706	AU 1976-21010	19761231
	AU 506935	B2	19800131		
	DK 7700001	A	19770708	DK 1977-177	19770103
	SE 7700041	A	19770708	SE 1977-41	19770103
	SE 422062	B	19820215		
	SE 422062	C	19820527		
	DK 146655	B	19831128	DK 1977-1	19770103
	DK 146655	C	19840507		
	AT 7700018	A	19791015	AT 1977-18	19770104
	AT 356653	B	19800512		
	CA 1075240	A1	19800408	CA 1977-269126	19770104
	JP 52085191	A2	19770715	JP 1977-306	19770105
	FR 2337726	A1	19770805	FR 1977-162	19770105
	FR 2337726	B1	19800314		
	BE 850130	A1	19770502	BE 1977-173871	19770106
	ZA 7700071	A	19780222	ZA 1977-71	19770106
	SU 667136	D	19790605	SU 1977-2435956	19770106
	CH 626084	A	19811030	CH 1977-153	19770106
	US 4141899	A	19790227	US 1977-838844	19771003
PRAI	GB 1976-573		19760107		
	GB 1976-27071		19760629		
	US 1976-650357		19760119		
	GB 1976-2707		19760629		
	US 1977-756290		19770103		

GI



AB About 50 imidazo[4,5-c]pyridines I (R = H, Me; R1 = H, Me, Me2CH, Ph,
Searched by John Dantzman 703-308-4488

cyclohexyl, thienyl, etc; R2 = Me, Et, allyl, cyclopropyl; Z = CO, CS, C(:NCN), C(:NH), C(:NEt), etc.) were prepd. and tested for antiulcer activity. Thus, 1 g 4,5,6,7-tetrahydroimidazo[4,5-c]pyridine was refluxed

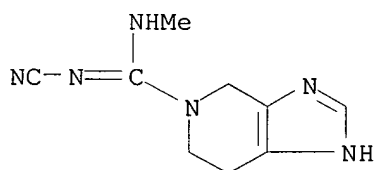
0.65 g MeNCS to give 1.15 g I (R = R1 = H, R2 = Me, Z = CS). Some I inhibited ulcer formation in rats at doses 5-25 times lower than those causing a cholinolytic effect.

IT 64402-91-1P 64402-92-2P 64402-93-3P
64402-94-4P 64402-95-5P 64402-96-6P
64402-97-7P 64403-21-0P 64403-22-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

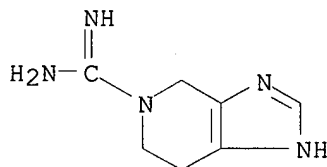
RN 64402-91-1 CAPLUS

CN 5H-Imidazo[4,5-c]pyridine-5-carboximidamide,
N-cyano-1,4,6,7-tetrahydro-N'-
methyl- (9CI) (CA INDEX NAME)



RN 64402-92-2 CAPLUS

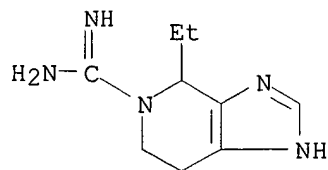
CN 5H-Imidazo[4,5-c]pyridine-5-carboximidamide, 1,4,6,7-tetrahydro-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 64402-93-3 CAPLUS

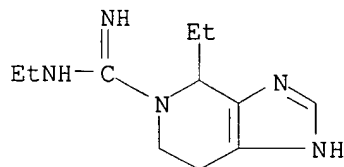
CN 5H-Imidazo[4,5-c]pyridine-5-carboximidamide, 4-ethyl-1,4,6,7-tetrahydro-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 64402-94-4 CAPLUS

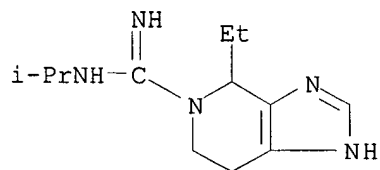
CN 5H-Imidazo[4,5-c]pyridine-5-carboximidamide, N,4-diethyl-1,4,6,7-tetrahydro-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 64402-95-5 CAPLUS

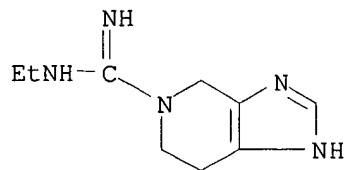
CN 5H-Imidazo[4,5-c]pyridine-5-carboximidamide, 4-ethyl-1,4,6,7-tetrahydro-N-(1-methylethyl)-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 64402-96-6 CAPLUS

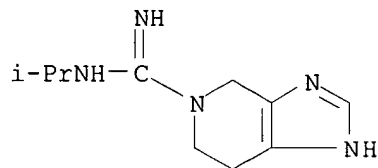
CN 5H-Imidazo[4,5-c]pyridine-5-carboximidamide, N-ethyl-1,4,6,7-tetrahydro-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 64402-97-7 CAPLUS

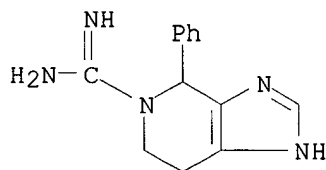
CN 5H-Imidazo[4,5-c]pyridine-5-carboximidamide, 1,4,6,7-tetrahydro-N-(1-methylethyl)-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 64403-21-0 CAPLUS

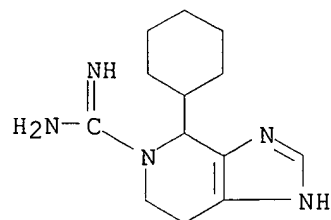
CN 5H-Imidazo[4,5-c]pyridine-5-carboximidamide, 1,4,6,7-tetrahydro-4-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 64403-22-1 CAPLUS

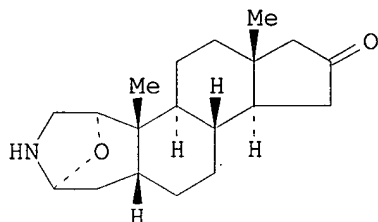
CN 5H-Imidazo[4,5-c]pyridine-5-carboximidamide, 4-cyclohexyl-1,4,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



• HCl

~~=>~~ d bib abs hitstr 39

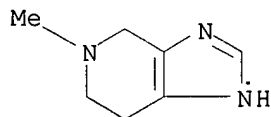
L49 ANSWER 39 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1977:479326 CAPLUS
DN 87:79326
TI Antimicrobial activity of alkaloids from amphibian venoms and effects on the ultrastructure of yeast cells
AU Preusser, H. J.; Habermehl, G.; Sablofski, M.; Schmall-Haury, D.
CS Inst. Microbiol., Tech. Univ. Darmstadt, Darmstadt, Ger.
SO Anim., Plant Microb. Toxins, Proc. Int. Symp., 4th (1976), Meeting Date 1974, Volume 1, 273-86. Editor(s): Ohsaka, Akira; Hayashi, Kyojo, Sawai, Yoshio. Publisher: Plenum, New York, N. Y.
CODEN: 35FUAR
DT Conference
LA English
GI



AB Samandarone (I) [467-52-7], samandarine [467-51-6], samandaridine [6384-73-2], spinaceamine [6882-74-2], 6-methylspinaceamine [10517-40-5], and bufotenine [487-93-4], alkaloid toxins isolated from the skin gland secretions of *Salamandra maculosa* and *Leptodactylus pentadactylus*, had bactericidal and/or fungicidal activity. The min. concn. of many of the toxins inhibiting the growth of microorganisms was approx. that of classical antibiotics. Electron-microscopic studies of *Saccharomyces cerevisiae* after treatment with *Salamandra maculosa* toxins revealed lysis in the cytoplasm and in extensive regions of the cytoplasmic membrane. Protein synthesis of the cells may be inhibited through lysis of ribosomes.

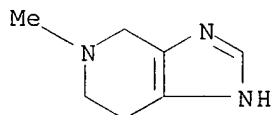
IT 10517-40-5
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(antimicrobial activity of)

RN 10517-40-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



=> d bib abs hitstr 40

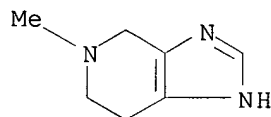
~~L49~~ ANSWER 40 OF 44 CAPLUS COPYRIGHT 2000 ACS
~~IN~~ 1976:490467 CAPLUS
DN 85:90467
TI Indole-, imidazole- and phenyl-alkylamines in the skin of one hundred amphibian species from Australia and Papua New Guinea
AU Roseghini, M.; Erspamer, V.; Endean, R.
CS Inst. Med. Pharmacol. I, Univ. Rome, Rome, Italy
SO Comp. Biochem. Physiol. C (1976), 54(1C), 31-43
CODEN: CBPCBB
DT Journal
LA English
AB The most frequently occurring amines in skins of 100 amphibian species from Australia and Papua New Guinea were indolealkylamines, represented by
5-hydroxytryptamine and its N-methylated derivs., the O-sulfates of bufotenine and bufotenidine, and the quaternary ammonium deriv. of tryptamine. Imidazolealkylamines were represented by histamine, N-acetylhistamine, N'-methylated histamines, and cyclized histamines in a few species.
IT **10517-40-5**
RL: BIOL (Biological study)
(of skin, of amphibia)
RN 10517-40-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



Same as previous

=> d/bib abs hitstr 41

~~149~~ ANSWER 41 OF 44 CAPLUS COPYRIGHT 2000 ACS
~~AN~~ 1976:162005 CAPLUS
DN 84:162005
TI New and uncommon indole and imidazole alkylamines in skins of amphibians
from Australia and Papua New Guinea
AU Roseghini, M.; Endean, R.; Temperilli, A.
CS Inst. Med. Pharmacol. I, Univ. Rome, Rome, Italy
SO Z. Naturforsch., C: Biosci. (1976), 31C(3-4), 118-20
CODEN: ZNCBDA
DT Journal
LA English
AB Exts. of the skin of some amphibians contained, in addn. to the usual
5-hydroxyindolealkylamines and histamine, bufotenidine O-sulfate,
2-(3-indolyl)ethyltrimethylammonium, and bufotenine O-sulfate. Also
present was a series of uncommon imidazolealkylamines, such as
N'-acetylhistamine, N'-methylhistamine, N',N'-dimethylhistamine,
spinaceamine, and 6-methylspinaceamine.
IT **10517-40-5**
RL: BIOL (Biological study)
(of skin, of amphibians)
RN 10517-40-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX
NAME)



=> d bib abs hitstr 42

~~149~~ ANSWER 42 OF 44 CAPLUS COPYRIGHT 2000 ACS

~~AN~~ 1976:69638 CAPLUS

~~DN~~ 84:69638

~~TI~~ Antiluteinizing hormone (LH)-releasing activity of several analogs of LH-releasing hormone

~~AU~~ Vilchez-Martinez, Jesus A.; Coy, David H.; Coy, Esther; Schally, Andrew V.; Arimura, Akira

~~CS~~ Endocrine Polypeptide Lab., VA Hosp., New Orleans, La., USA

~~SO~~ Fertil. Steril. (1975), 26(6), 554-9

CODEN: FESTAS

~~DT~~ Journal

~~LA~~ English

~~AB~~ In ovariectomized, estrogen-progesterone treated rats and in immature male

rats, after synthetic LH-releasing hormone (LH-RH) [33515-09-2] injection,

a 2 hr infusion of LH-releasing hormone analogs inhibited, but never completely, the increase in serum LH [9002-67-9]. Analogs tested were (Leu3)-LH-RH, (Leu3,desGly10)-LH-RH ethylamide [56867-47-1], (desHis2,Leu3,desGly10)-LH-RH ethylamide [56867-48-2], (Gly2,Leu3,desGly10)-LH-RH ethylamide [56867-49-3], (Leu1,desGly10)-LH-RH ethylamide [56867-50-6], (desHis2,Leu3,D-Ala6,desGly10)-LH-RH ethylamide [56867-51-7], (desHis2,D-Ala6,desGly10)-LH-RH ethylamide [56670-52-1], or (D-pGlu1,desHis2,desGly10)-LH-RH ethylamide [56867-52-8]. No significant differences were found among the analogs tested. The inhibitory potency was not improved with those peptides contg. D-alanine in position 6 of

the

chain. None of the analogs tested, blocked the LH-RH induced FSH [9002-68-0] release in these systems.

~~IT~~ 56867-45-9

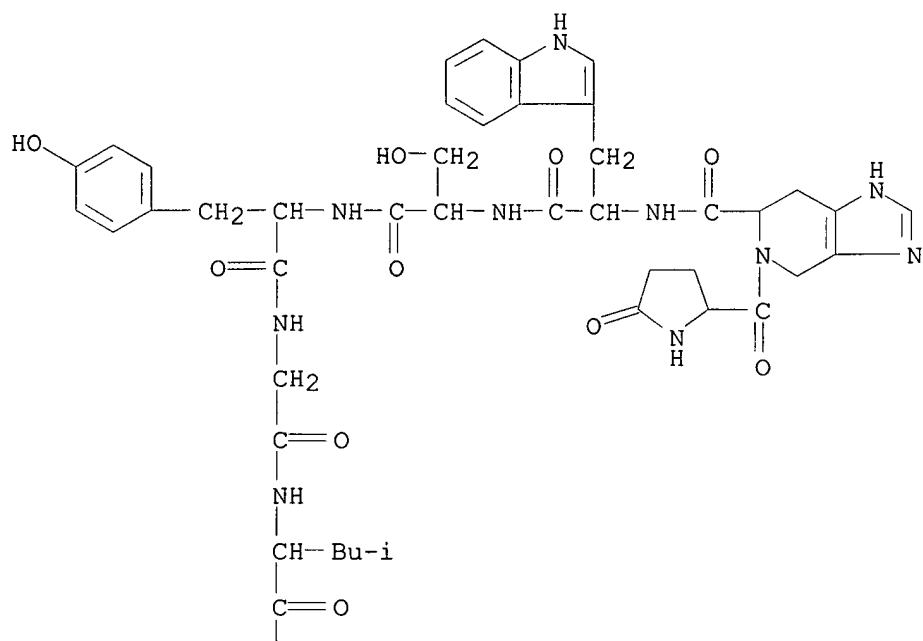
RL: BIOL (Biological study)

(LH secretion inhibition by)

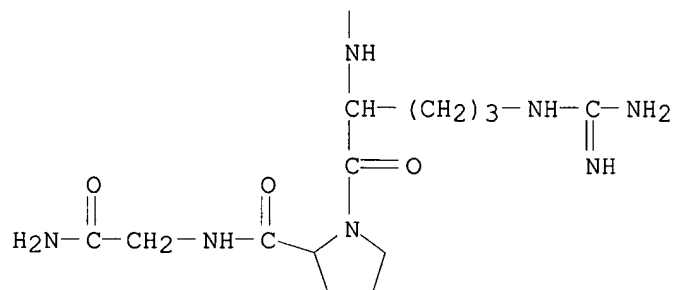
~~RN~~ 56867-45-9 CAPLUS

~~CN~~ Luteinizing hormone-releasing factor (swine), 2-(4,5,6,7-tetrahydro-1H-imidazo[4,5-c]-pyridine-6-carboxylic acid)-(9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



=> d bib abs hitstr 43

~~DA~~ 9 ANSWER 43 OF 44 CAPLUS COPYRIGHT 2000 ACS

~~AN~~ 1973:532819 CAPLUS

DN 79:132819

TI Inhibition of histamine uptake in platelets by alkaloids

AU Tuomisto, J.; Walaszek, E. J.; Pazdernik, T. L.

CS Med. Cent., Univ. Kansas, Kansas City, Kans., USA

SO Ann. Med. Exp. Biol. Fenn. (1973), 51(2), 59-64

CODEN: AMEBA7

DT Journal

LA English

AB Imidazolyethylamines, indolyethylamines, and phenyleethylamines could still inhibit histamine [51-45-6] uptake by blood platelets even when their side chain was tied to a rigid gauche conformation by a methylene bridge. This conformation resembled the gauche rotamer of the freely rotating amines. The potency of the indolyethylamine and phenyleethylamine derivatives in inhibiting histamine uptake was similar

to that of their parent amine of a free side chain, indicating that the gauche conformation can attach to the uptake receptor at the platelet membrane. Through a compound study reserpine [50-55-5] and tetrabenazine [58-46-8] showed 2 clearly separable effects. At low concns. these compounds influenced only the distribution of histamine in platelets, indicating inhibition of accumulation in amine granules. In higher concns. they also affected the uptake. With the other alkaloids, the effect on accumulation into granules was less prominent and occurred only at high concns.

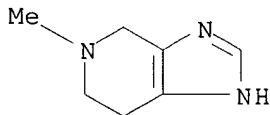
IT 10517-40-5

RL: BIOL (Biological study)

(histamine uptake by blood platelets inhibition by, conformation in relation to)

RN 10517-40-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



=> d bib abs hitstr 44

~~149~~ ANSWER 44 OF 44 CAPLUS COPYRIGHT 2000 ACS

AN 1972:135798 CAPLUS

DN 76:135798

TI Synthesis of heterocyclic compounds. 438. Biochemical studies on drugs and the central nervous system. 1. Synthesis and activity of pyridoxal derivatives

AU Kametani, T.; Koizumi, M.; Okui, K.; Nishii, Y.; Ono, M.

CS Pharm. Inst., Tohoku Univ., Sendai, Japan

SO J. Med. Chem. (1972), 15(2), 203-4

CODEN: JMCMAR

DT Journal

LA English

AB 1,2,3,4-Tetrahydro-1-pyridoxylisoquinolines (I) and II derivs. were prepd.

by cyclization of pyridoxal with 3-hydroxyphenethylamines.

4-Pyridoxyl-4,5,6,7-tetrahydro-3H-imidazo[4,5-c]pyridine (II) [4875-52-9] and triacetyl-4-pyridoxyl-4,5,6,7-tetrahydro-3H-imidazo[4,5-c]pyridine (III) [34594-38-2] have slightly more and 4,6,7-trihydroxy-1,2,3,4-tetrahydro-1-pyridoxylisoquinoline [34594-39-3] and 6-hydroxy-7-methoxy-1,2,3,4-tetrahydro-1-pyridoxylisoquinoline [34594-40-6] have the same analgesic effects as aminophylline [317-34-0] when tested orally in mice (100 mg/kg compd. in 1% gum arabic).

IT 34594-38-2

RL: BIOL (Biological study)

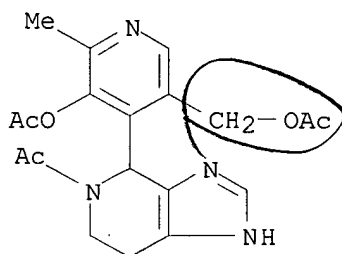
(nervous system response to)

RN 34594-38-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine,

5-acetyl-4-[3-(acetyloxy)-5-[(acetyloxy)methyl]-

2-methyl-4-pyridinyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



=> d all hitstr

L50 ANSWER 1 OF 5 CAOLD COPYRIGHT 2000 ACS

AN CA65:4319e CAOLD

TI biogenic amines and active polypeptides in the amphibian skin

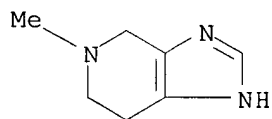
AU Erspamer, Vittorio; Falconieri Erspamer, G.

IT 50-67-9 51-45-6 56-69-9 58-82-2 61-54-1 332-80-9
487-91-2 495-77-2 587-33-7 588-05-6 608-07-1 673-46-1
673-49-4 673-50-7 1019-45-0 1134-01-6 2009-03-2 6656-13-9
6882-74-2 **10517-40-5** 13957-33-0 16369-08-7 24886-03-1
59981-63-4

IT **10517-40-5**

RN 10517-40-5 CAOLD

CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



=> d all hitstr 2

L50 ANSWER 2 OF 5 CAOLD COPYRIGHT 2000 ACS

AN CA61:6087h CAOLD

TI tyrosine O-phosphate in Drosophila

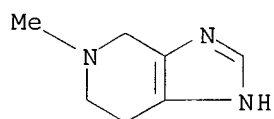
AU Mitchell, Herschel K.; Lunan, K. D.

IT 673-46-1 673-50-7 6882-74-2 **10517-40-5** 21820-51-9

IT **10517-40-5**

RN 10517-40-5 CAOLD

CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



=> d all hitstr 3

L50 ANSWER 3 OF 5 CAOLD COPYRIGHT 2000 ACS

AN CA61:5709h CAOLD

TI structure of spinaceamine

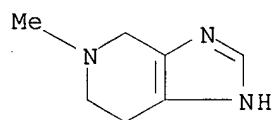
AU Vitali, Tullo; Bertaccini, G.

IT 6882-74-2 92223-95-5 92503-69-0 97193-78-7 98472-36-7

IT 92223-95-5

RN 92223-95-5 CAOLD

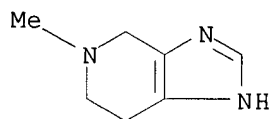
CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl-, dihydrochloride
(9CI) (CA INDEX NAME)



● 2 HCl

=> d all hitstr 4

L50 ANSWER 4 OF 5 CAOLD COPYRIGHT 2000 ACS
AN CA61:4755b CAOLD
TI nucleic acid content of tissues of cecropia silkmoth pupae
AU Linzen, Bernt; Wyatt, G. R.
IT 501-22-4 673-46-1 673-50-7 6882-74-2 **10517-40-5**
IT **10517-40-5**
RN 10517-40-5 CAOLD
CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX
NAME)



=> d all hitstr 5

L50 ANSWER 5 OF 5 CAOLD COPYRIGHT 2000 ACS

AN CA59:6754c CAOLD

TI eye pigment of the cockroach

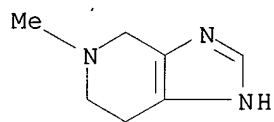
AU Wolken, Jerome J.; Scher, I. J.

IT 673-46-1 673-50-7 6882-74-2 **10517-40-5**

IT **10517-40-5**

RN 10517-40-5 CAOLD

CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



=> d all hitstr 6

5 ANSWERS ARE AVAILABLE. SPECIFIED ANSWER NUMBER EXCEEDS ANSWER SET
SIZE